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18551

SEARCH REQUEST FORM

Examiner # (Mandatory): 71100 Requester's Full Name: Cybille Delacruz

Art Unit 1654 Location (Bldg/Room#): 9B03 Phone (circle 305 306 308) 3227

Serial Number: 09/007,268 Results Format Preferred (circle): PAPER DISK E-MAIL

Title of Invention Hydroxybenzylamino Derivatives of Nitrogen Containing Heterocycles

Inventors (please provide full names): John A Lowe; Terry Rosen

Earliest Priority Date: 5/5/92

Keywords (include any known synonyms registry numbers, explanation of initialisms):

depression . mania

RECEIVED
AUG 18 1999
C-TECH/OPEN DIV. CORP
(STIC)

Point of Contact:
John Dantzman
Technical Info. Specialist
CM1 1E05 Tel: 308-4488

Search Topic:

Please write detailed statement of the search topic, and the concept of the invention. Describe as specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples of relevant citations, authors, etc., if known. You may include a copy of the abstract and the broadcast or most relevant claim(s).

Please search the attached compounds A & B.
See attached.

compounds are used in
the treatment of depression

Thank you.
JM

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STAFF USE ONLY

Searcher: JOHN DANTZMAN
Searcher Phone #: _____
Searcher Location: _____
Date Picked Up: _____
Date Completed: 9-7-99
Clerical Prep Time: 10
Terminal Time: 50
Number of Databases: _____

Type of Search

_____	N.A. Sequence
_____	A.A. Sequence
2	Structure (#) 3
_____	Bibliographic
_____	Litigation1
_____	Fulltext
_____	Procurement
_____	Other

Vendors (include cost where applicable)

✓	STN
_____	Questel/Orbit
_____	Lexis/Nexis
_____	WWW/Internet
_____	In-house sequence systems (list)
_____	Dialog
_____	Dr. Link
_____	Westlaw
_____	Other (specify)

IMPORTANT INFORMATION ABOUT YOUR SEQUENCE SEARCH:

Compugen Sequence searching hardware and software explained:

This is the new sequence searching system that is currently being phased into as a replacement for the Maspar/Mpsrch platform. This system has been tested by both searchers and examiners, and has shown equivalent results to the Maspar system for the same databases. The results output format for all Compugen printed results are essentially the same **except for translations.**

Translation searching on Compugen explained:

The Compugen system utilizes Framesearch software for translations of proteins to nucleotides, and nucleotides to proteins. Some examiners have found these to be superior to the backtranslate software on Maspars.

FrameSearch searches a group of protein sequences for similarity to one or more nucleotide query sequences, or searches a group of nucleotide sequences for similarity to one or more protein query sequences. For each sequence comparison, the program finds an optimal alignment between the protein sequence and the corresponding codons on each the nucleotide sequence. Optimal alignments may include reading frame shifts. Please see any of the professional searching staff if you need assistance with this format.

File extensions for Compugen results transferred to floppy disks.

Compugen system search results will be delivered in one of two possible formats:

1. Standard concatenated files with .flp extension.
2. Compressed .zip files which decompressed yield two files as described below:

US08123456.cmr - Contains all commercial databases, may include Issued
US08123456.pen - Contains pending file results only

VERY IMPORTANT NOTE ABOUT PENDING FILE SEARCHES.

If your search contains file names with the following bolded extensions:
US08123456.**rap** US08123456.**rnp**

Do not leave this search in the case, during prosecution, or after the case issues, since it contains pending data which is confidential.

QUESTIONS? Contact any of the following:

Dilip Pandya, Chief, Information Branch, 308-4268

Professional searching staff:
John Dantzman (308-4488); Jan Delaval (308-4498); Mary Hale (308-4258); Barb O'Bryen (308-4291); David Schreiber (308-4292); Paula Sheppard (308-4499); Mark Spencer (308-4266); Beverly Shears (308-4994); Alex Waclawiw (308-4491).

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=> D  HIS

     (FILE 'REGISTRY' ENTERED AT 07:17:01 ON 04 SEP 1999)
               DEL HIS Y

     FILE 'HCAPLUS' ENTERED AT 08:05:27 ON 04 SEP 1999
L1           718 S LOWE J?/AU
L2           103 S ROSEN T?/AU
L3             7 S L1 AND L2
               SELECT RN L3 1-7

     FILE 'REGISTRY' ENTERED AT 08:06:02 ON 04 SEP 1999
L4           200 S E1-200
L5           135 S E200-334
L6           334 S L4 OR L5
L7           251 S L6 AND C6/ES AND NRS>1
L8           246 S L7 AND N/ELS

     FILE 'HCAPLUS' ENTERED AT 08:07:15 ON 04 SEP 1999
L9             7 S L3 AND L8
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INVENTOR SEARCH

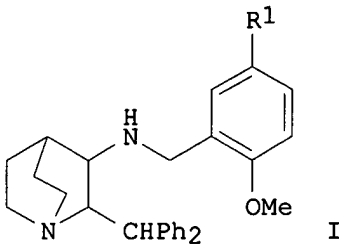
Searched by John Dantzman 308-4488

=> D BIB ABS

L9 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:518291 HCAPLUS
TI Preparation of quinuclidine derivatives
IN Ito, Fumitaka; Kondo, Hiroshi; Nakane, Masami; Shimada, Kaoru; **Lowe, John Adams, III; Rosen, Terry Jay**
PA Pfizer Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5939433	A	19990817	US 1997-846909	19970430

GI



I

AB The title compds. I (R1 = Me, Et, iso-Pr, sec-Bu and tert-butyl) and its pharmaceutically acceptable salts were prepd. as substance P antagonists and useful in the treatment of gastrointestinal disorders, inflammatory disorders, central nervous system disorders and pain (no data). Thus, (2S,3S)-N-(2-methoxyphenylmethyl)-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octan-3-amine underwent hydrogenolysis followed by reductive condensation with 5-isopropyl-2-methoxybenzaldehyde in presence of triacetoxyborohydride to give (2S,3S)-N-(5-isopropyl-2-methoxyphenylmethyl)-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octan-3-amine methanesulfonate.

=> D HITSTR

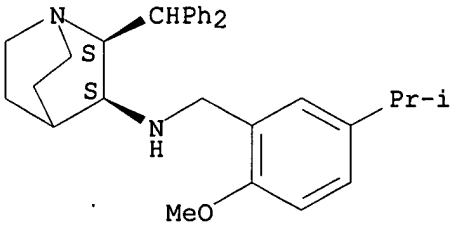
L9 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 1999 ACS
IT **147780-91-4P 147780-92-5P 147780-93-6P**
212957-56-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pharmaceutically active quinuclidine derivs.)
RN 147780-91-4 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, (2S)-2-(diphenylmethyl)-N-[[2-methoxy-5-

(1-methylethyl)phenyl]methyl]-, (3S)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

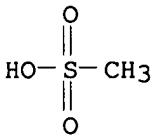
CRN 147116-64-1
CMF C31 H38 N2 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 75-75-2
CMF C H4 O3 S

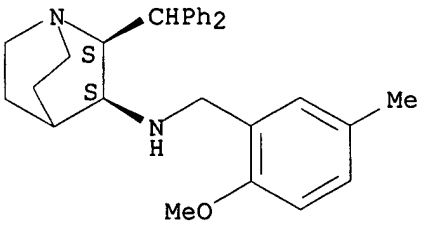


RN 147780-92-5 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, (2S)-2-(diphenylmethyl)-N-[(2-methoxy-5-methylphenyl)methyl]-, (3S)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

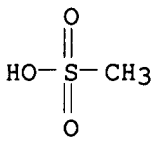
CRN 147116-66-3
CMF C29 H34 N2 O
CDES *

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

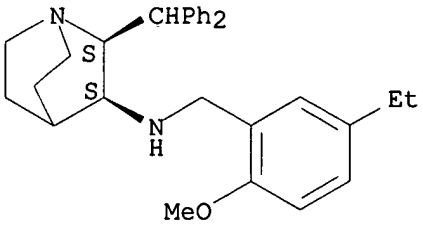


RN 147780-93-6 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, (2S)-2-(diphenylmethyl)-N-[(5-ethyl-2-methoxyphenyl)methyl]-, (3S)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

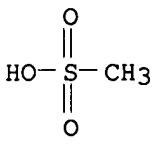
CRN 147116-65-2
CMF C30 H36 N2 O
CDES *

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

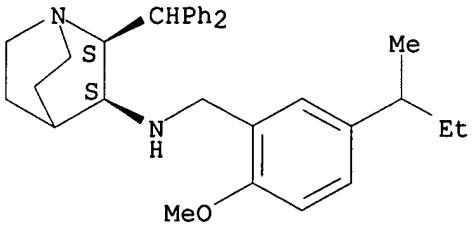


RN 212957-56-7 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(1-methylpropyl)phenyl]methyl]-, (2S,3S)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

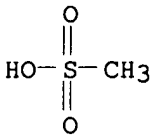
CRN 190839-44-2
CMF C32 H40 N2 O

Absolute stereochemistry.



CM 2

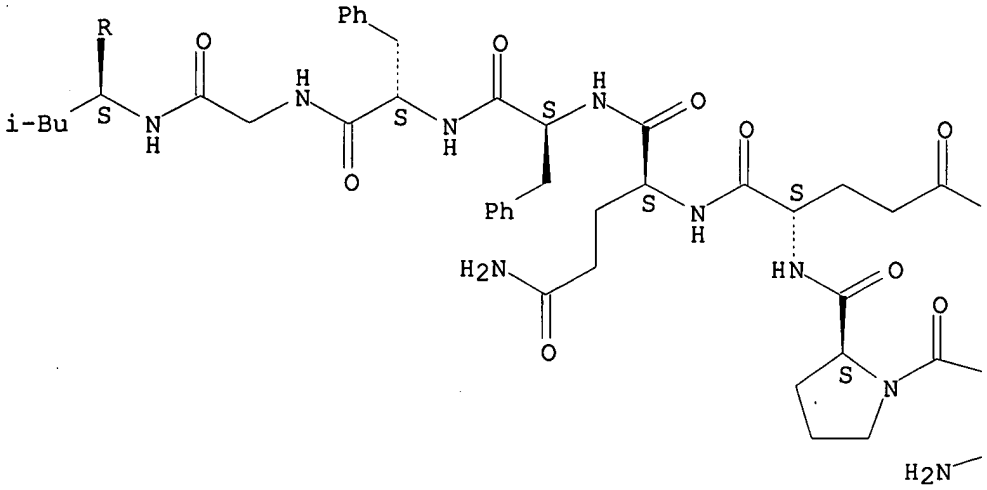
CRN 75-75-2
CMF C H4 O3 S



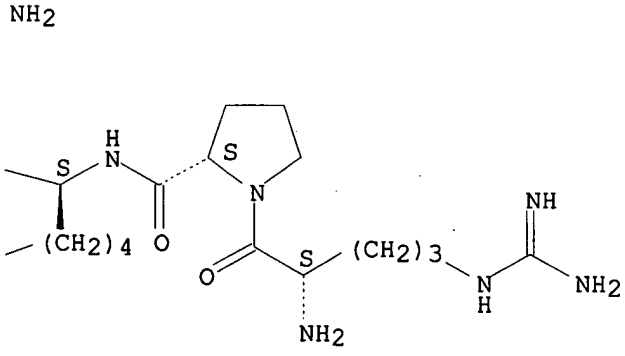
IT **33507-63-0**, Substance P (peptide)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of pharmaceutically active quinuclidine derivs.)
RN 33507-63-0 HCAPLUS
CN Substance P (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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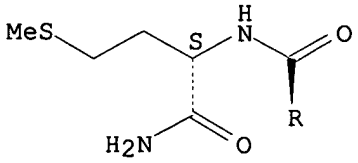
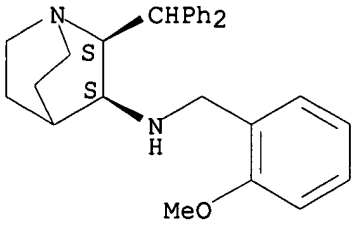


Searched by John Dantzman 308-4488

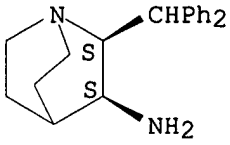
IT **132746-60-2**
RL: RCT (Reactant)
(prepn. of pharmaceutically active quinuclidine derivs.)
RN 132746-60-2 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[(2-methoxyphenyl)methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **142035-23-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pharmaceutically active quinuclidine derivs.)
RN 142035-23-2 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-, (2S,3S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



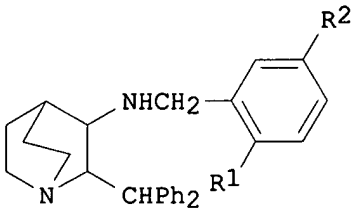
=> D BIB ABS 2

L9 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:604662 HCAPLUS
DN 129:230640
TI Preparation of 2-diphenylmethyl-3-(benzylamino)quinuclidine derivatives as substance P antagonists
IN Ito, Fumitaka; Kondo, Hiroshi; Nakane, Masami; Shimada, Kaoru; **Lowe, John Adams, III; Rosen, Terry Jay**
PA Pfizer Inc., USA
SO U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 708,404, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5807867	A	19980915	US 1994-211120	19940523
WO 9221677	A1	19921210	WO 1992-US3317	19920428

PI
W: AU, BG, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RO, RU, US
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG

PRAI US 1991-708404 19910531
WO 1992-US3317 19920428
OS MARPAT 129:230640
GI



I

AB Compds. of the formula (I; wherein R1 is methoxy and R2 is selected from the group consisting of Me, Et, iso-Pr, sec-Bu and tert-butyl) and the pharmaceutically acceptable salts of such compds. are prepd. These compds. are substance P antagonists and useful in the treatment of gastrointestinal disorders, inflammatory disorders, central nervous system disorders and pain (no data). Thus, triacetoxy borohydride was added in portions to a soln. of 5-isopropoxy-2-methoxybenzaldehyde and (2S,3S)-N-(2-methoxyphenyl)methyl-1-azabicyclo[2.2.2]-octan-3-amine in CH2Cl2 and the resulting mixt. was stirred until the amine disappeared to give I (R1 = OMe, R2 = iso-Pr).

=> D BIB ABS 3

L9 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:430066 HCAPLUS
DN 129:95404
TI Preparation of [(Fluoroalkoxy)benzylamino]piperidine derivatives as substance P receptor antagonists
IN **Lowe, John Adams, III; Rosen, Terry Jay**
PA Pfizer Inc., USA
SO U.S., 19 pp. Cont.-in-part of U. S. 717,943, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 9300331	A1	19930107	WO 1992-US3571	19920505
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	HU 70499	A2	19951030	HU 1995-836	19920505
	US 5744480	A	19980428	US 1995-443418	19950522
PRAI	US 1991-717943	19910620			
	WO 1992-US3571	19920505			
	US 1993-167881	19931214			
	HU 1993-3668	19931220			

OS MARPAT 129:95404
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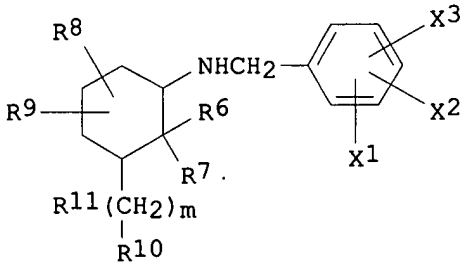


I

AB The present invention relates to novel fluoroalkoxybenzylamino derivs. of nitrogen contg. heterocyclic compds. [I; X1 = H, C1-10 alkoxy or C1-10 alkyl each optionally substituted with 1-3 F atoms; X2, X3 = halo, H, NO2, C1-10 alkoxy optionally substituted with 1-3 F atoms, C1-10 alkyl optionally substituted with 1-3 F atoms, CF3, OH, Ph, cyano, etc.; m = 0-8; any one of the carbon-carbon single bonds of (CH2)m may optionally be replaced by a CH:CH or C.tplbond.C and any of the carbon atoms of said (CH2)m may be optionally substituted with R11; R6 = H, straight or

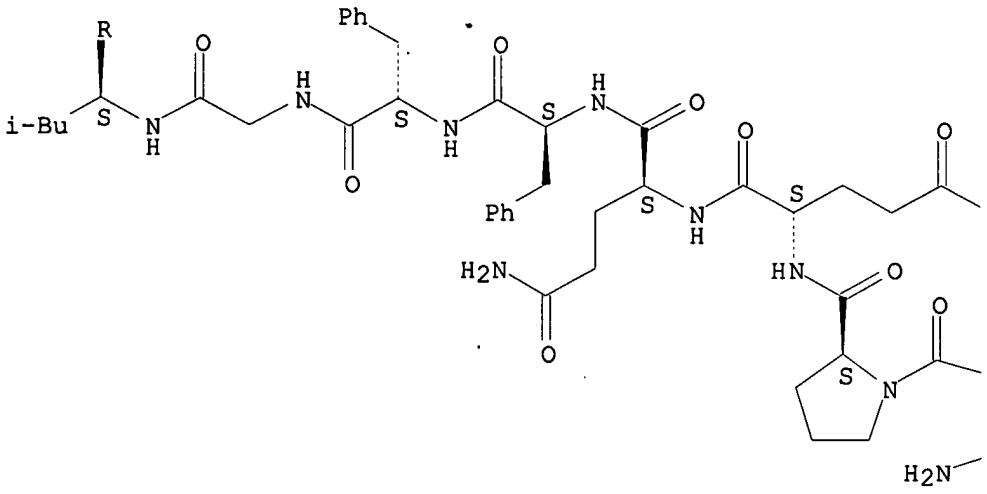
branched alkyl, C3-7 cycloalkyl (wherein one of the carbon atoms may be optionally replaced by N, O, or S), aryl, phenyl-C2-6 alkyl, etc.; R7 = h, Ph, C1-6 alkyl; or CR6R6 forms a C3-7 satd. carbocyclic ring wherein one of the ring carbon atoms may be replaced by O, N, or S; R8, R9 = H, OH, halo, NH2, oxo, cyano, hydroxy-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkylamino, di(C1-6 alkyl)amino, C1-6 alkoxy, C1-6 alkoxy-carbonyl, etc.; or R8 and R9 together with the carbon to which they are attached , form a C3-6 satd. carbocyclic ring that forms a spiro compd. with the N-contg. ring to which they are attached; R10 = acylamino, sulfonylamino, a radical listed in R6, R8, and R9; R11 = :NOH, OH, halo, NH2, etc.]. These novel compds. are useful in the treatment of inflammatory and central nervous system disorders, as well as other disorders (no data). The few antagonists thus far described in the recent past are generally peptide-like in nature and are therefore too labile from a metabolic point of view to serve as practical therapeutic agents in the treatment of disease. The non-peptidic antagonists of the present invention, on the other hand, do not possess this drawback, being far more stable from a metabolic point of view than the agents referred to above. Thus, (2S,3S)-3-amino-2-phenylpiperidine underwent reductive alkylation by 2-(2,2,2-trifluoroethoxy)benzaldehyde using sodium triacetoxyborohydride in AcOH to give (2S,3S)-2-phenyl-3-[2-(2,2,2-trifluoroethoxy)benzylamino]piperidine hydrochloride.

=> D HITSTR 3

L9 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 1999 ACS
IT **33507-63-0**, Substance P
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(prepn. of [(Fluoroalkoxy)benzylamino]piperidine derivs. as substance P receptor antagonists as central nervous system agents and antiinflammatory agents)
RN 33507-63-0 HCAPLUS
CN Substance P (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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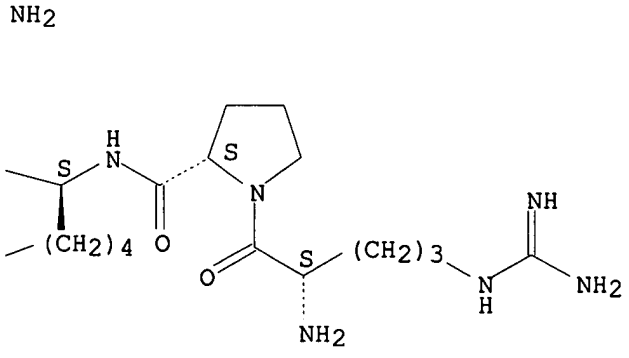

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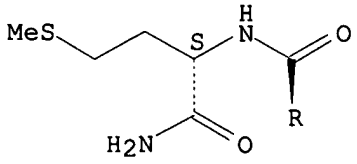
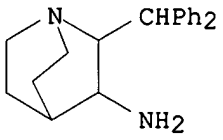
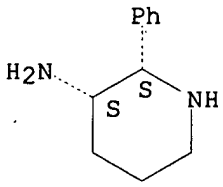


IT **129912-96-5 136871-75-5**, (2S,3S)-3-Amino-2-phenylpiperidine
RL: RCT (Reactant)
(prepn. of [(Fluoroalkoxy)benzylamino]piperidine derivs. as substance P
receptor antagonists as central nervous system agents and antiinflammatory agents)
RN 129912-96-5 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)- (9CI) (CA INDEX NAME)



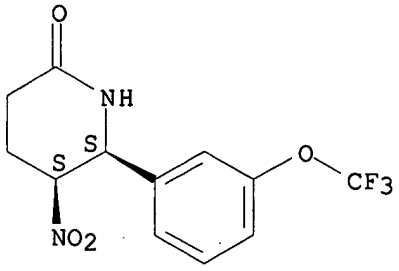
RN 136871-75-5 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



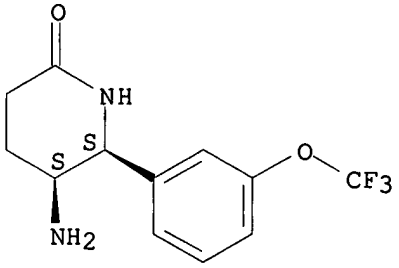
IT **147249-31-8P 147249-32-9P 209666-24-0P 209666-25-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of [(Fluoroalkoxy)benzylamino]piperidine derivs. as substance P
receptor antagonists as central nervous system agents and antiinflammatory agents)
RN 147249-31-8 HCAPLUS
CN 2-Piperidinone, 5-nitro-6-[3-(trifluoromethoxy)phenyl]-, (5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

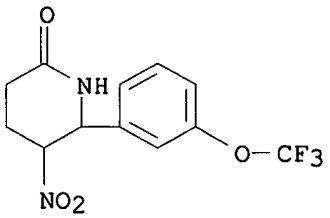


RN 147249-32-9 HCAPLUS
CN 2-Piperidinone, 5-amino-6-[3-(trifluoromethoxy)phenyl]-, (5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

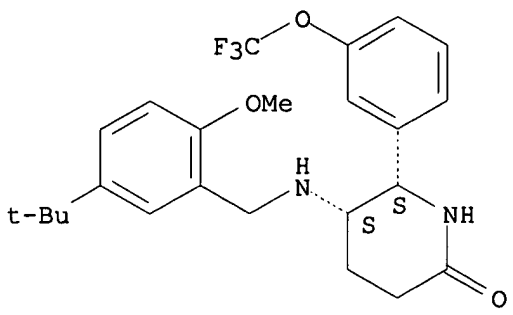


RN 209666-24-0 HCAPLUS
CN 2-Piperidinone, 5-nitro-6-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 209666-25-1 HCAPLUS
CN 2-Piperidinone,
5-[[[5-(1,1-dimethylethyl)-2-methoxyphenyl]methyl]amino]-6-[3-(trifluoromethoxy)phenyl]-, (5R,6R)-rel- (9CI) (CA INDEX NAME)

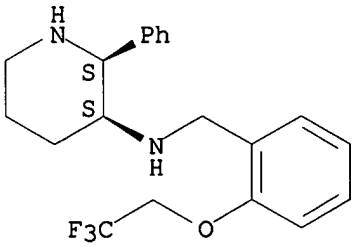
Relative stereochemistry.



IT **145741-98-6P 145741-99-7P 145742-00-3P**
145742-01-4P 145742-28-5P 145742-29-6P
145742-33-2P 147249-22-7P 155018-94-3P
209665-98-5P 209665-99-6P 209666-00-2P
209666-01-3P 209666-02-4P 209666-03-5P
209666-04-6P 209666-05-7P 209666-06-8P
209666-07-9P 209666-08-0P 209666-09-1P
209666-10-4P 209666-11-5P 209666-12-6P
209666-13-7P 209666-14-8P 209666-15-9P
209666-16-0P 209666-17-1P 209666-18-2P
209666-19-3P 209666-20-6P 209666-21-7P
209666-22-8P 209666-23-9P 209683-31-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(Fluoroalkoxy)benzylamino]piperidine derivs. as substance P
receptor antagonists as central nervous system agents and antiinflammatory agents)

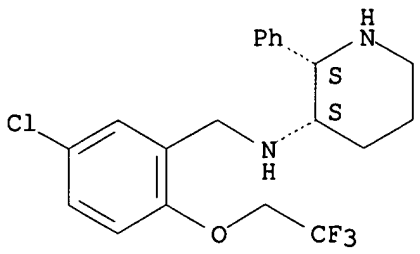
RN 145741-98-6 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



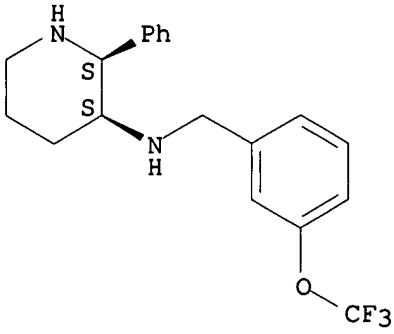
RN 145741-99-7 HCAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



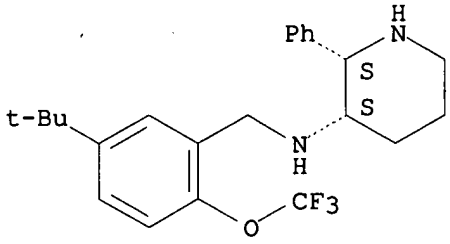
RN 145742-00-3 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



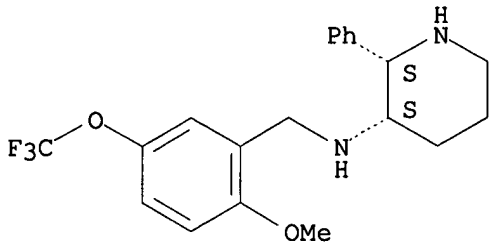
RN 145742-01-4 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



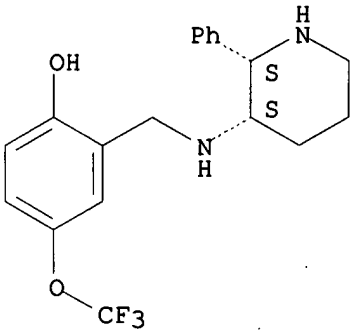
RN 145742-28-5 HCAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



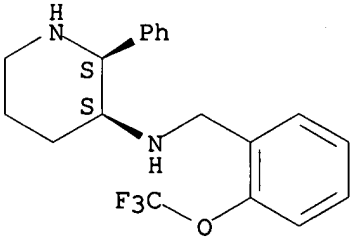
RN 145742-29-6 HCAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

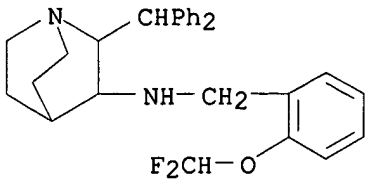


RN 145742-33-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

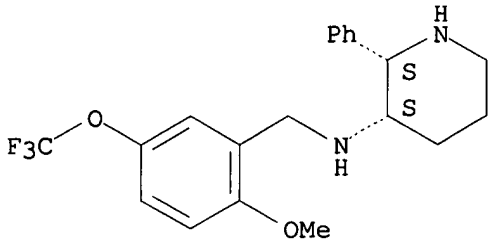


RN 147249-22-7 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-[[2-(difluoromethoxy)phenyl]methyl]-2-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 155018-94-3 HCAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

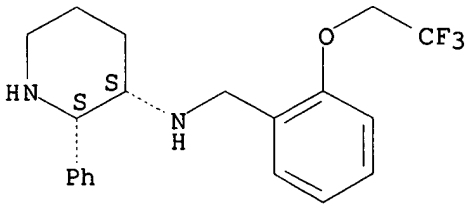
Absolute stereochemistry.



● HCl

RN 209665-98-5 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

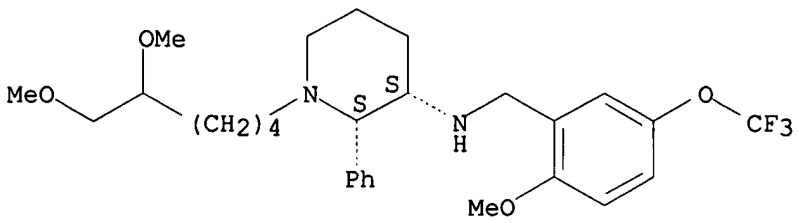


● HCl

RN 209665-99-6 HCAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

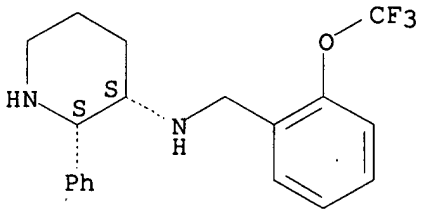
Searched by John Dantzman 308-4488



● HCl

RN 209666-00-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

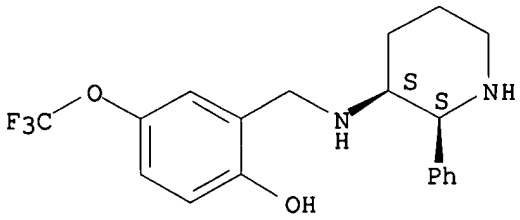
Absolute stereochemistry.



● HCl

RN 209666-01-3 HCAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

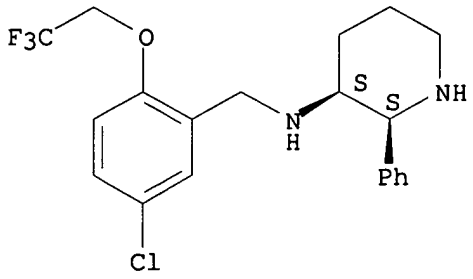


● HCl

RN 209666-02-4 HCAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-

phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

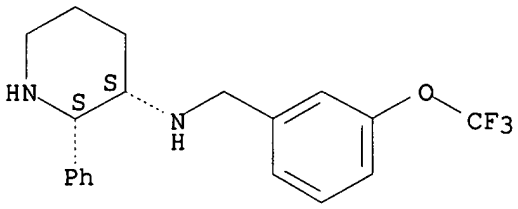
Absolute stereochemistry.



● HCl

RN 209666-03-5 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

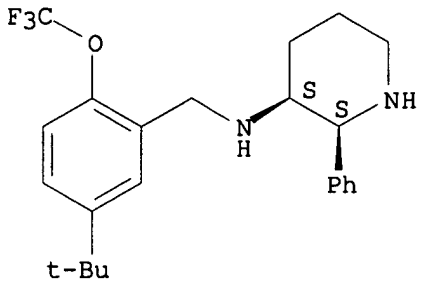
Absolute stereochemistry.



● HCl

RN 209666-04-6 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

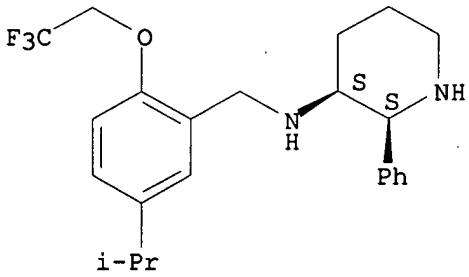
Absolute stereochemistry.



● HCl

RN 209666-05-7 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)-(9CI) (CA INDEX NAME)

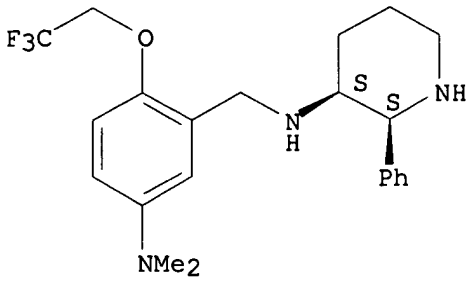
Absolute stereochemistry.



● HCl

RN 209666-06-8 HCAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)-(9CI) (CA INDEX NAME)

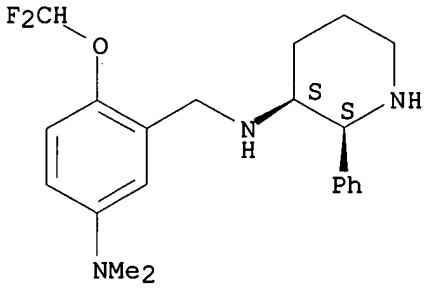
Absolute stereochemistry.



● HCl

RN 209666-07-9 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-
2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

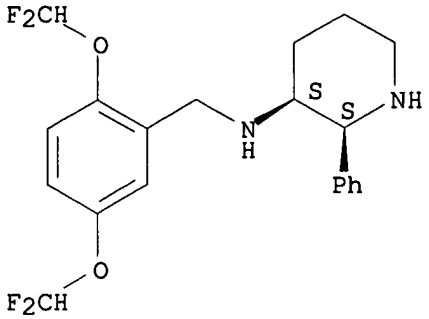
Absolute stereochemistry.



● HCl

RN 209666-08-0 HCAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-,
monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

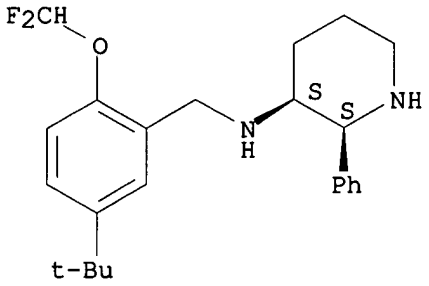
Absolute stereochemistry.



● HCl

RN 209666-09-1 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

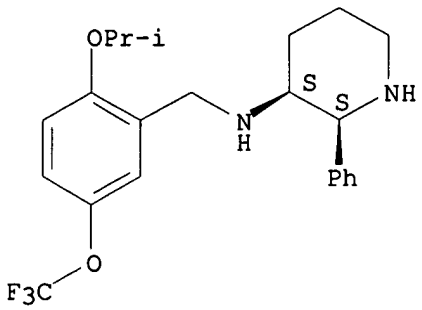
Absolute stereochemistry.



● HCl

RN 209666-10-4 HCAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

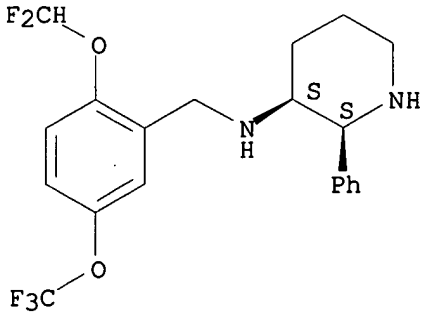
Absolute stereochemistry.



● HCl

RN 209666-11-5 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

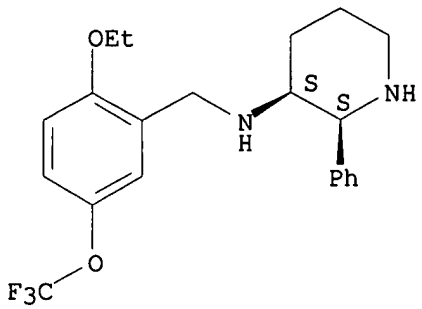
Absolute stereochemistry.



● HCl

RN 209666-12-6 HCAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

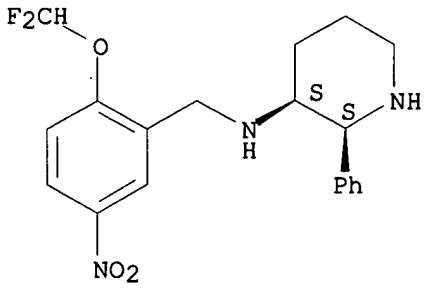
Absolute stereochemistry.



● HCl

RN 209666-13-7 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

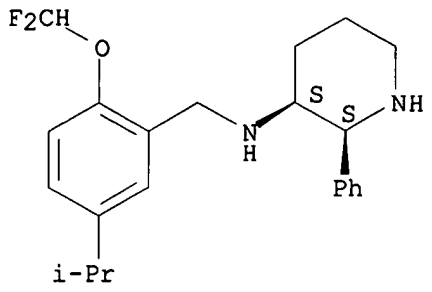
Absolute stereochemistry.



● HCl

RN 209666-14-8 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

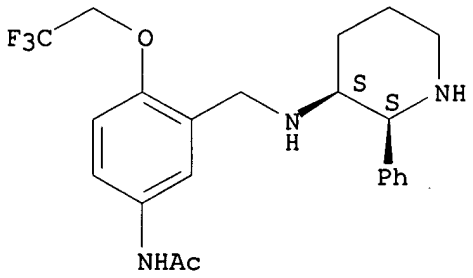
Absolute stereochemistry.



● HCl

RN 209666-15-9 HCAPLUS
CN Acetamide, N-[3-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

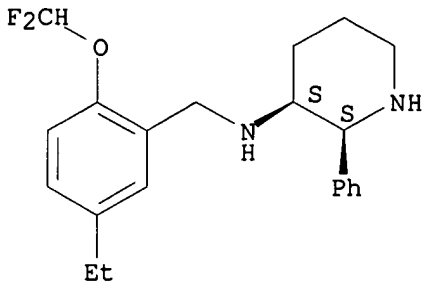
Absolute stereochemistry.



● HCl

RN 209666-16-0 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

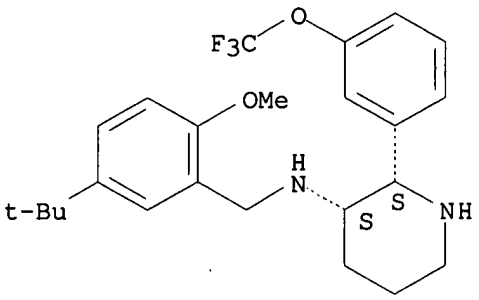
Absolute stereochemistry.



● HCl

RN 209666-17-1 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-methoxyphenyl]methyl]-2-[3-(trifluoromethoxy)phenyl]-, monohydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

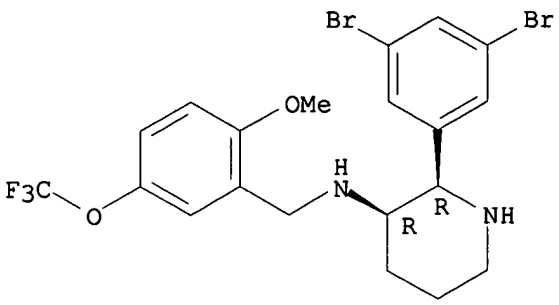
Relative stereochemistry.



● HCl

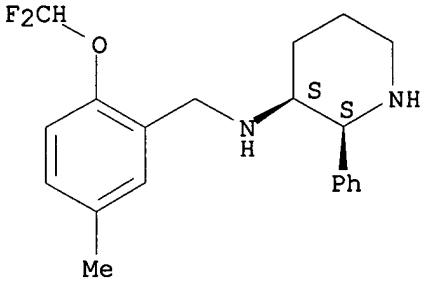
RN 209666-18-2 HCAPLUS
CN 3-Piperidinamine, 2-(3,5-dibromophenyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 209666-19-3 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-methylphenyl]methyl]-2-phenyl-
, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

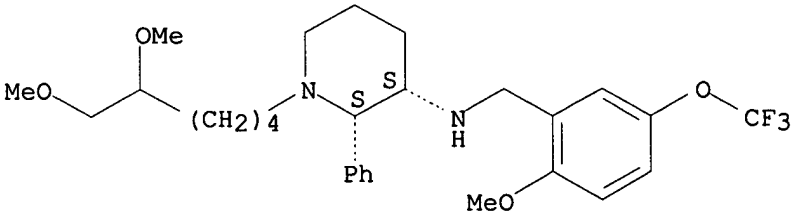
Absolute stereochemistry.



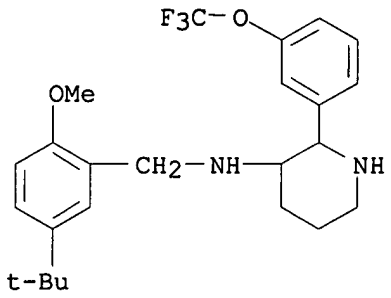
● HCl

RN 209666-20-6 HCAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-
(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX
NAME)

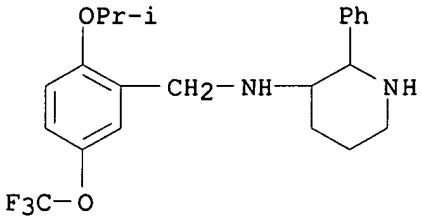
Absolute stereochemistry.



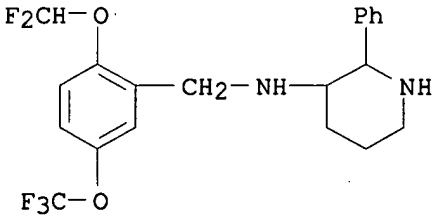
RN 209666-21-7 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-methoxyphenyl]methyl]-2-[3-
(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 209666-22-8 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl- (9CI) (CA INDEX NAME)



RN 209666-23-9 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl- (9CI) (CA INDEX NAME)



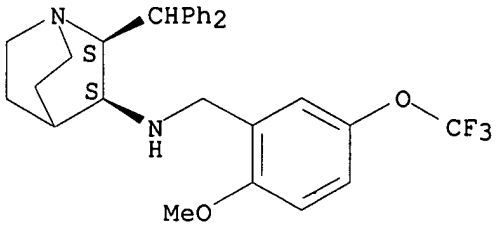
RN 209683-31-8 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-
(trifluoromethoxy)phenyl]methyl]-, (2S,3S)-, monomethanesulfonate (9CI)
(CA INDEX NAME)

CM 1

CRN 147249-24-9
CMF C29 H31 F3 N2 O2

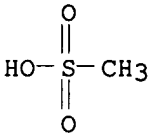
Absolute stereochemistry.

Searched by John Dantzman 308-4488



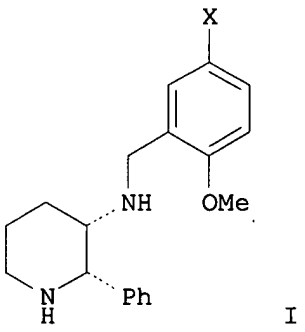
CM 2

CRN 75-75-2
CMF C H4 O3 S



=> D BIB ABS 4

L9 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:131081 HCAPLUS
DN 128:230216
TI Synthesis and structure-activity relationships of CP-122,721, a second-generation NK-1 receptor antagonist
AU **Rosen, Terry J.**; Coffman, Karen J.; Mclean, Stafford; Crawford, Rosemary T.; Bryce, Dianne K.; Gohda, Yoshiko; Tsuchiya, Megumi; Nagahisa, Atsushi; Nakane, Masami; **Lowe, John A., III**
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Bioorg. Med. Chem. Lett. (1998), 8(3), 281-284
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



I

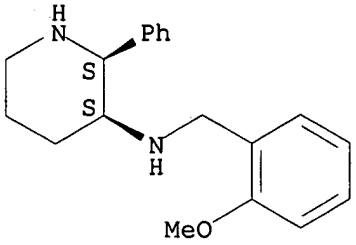
AB The synthesis and SAR of benzylamine side chain analogs of the NK-1 receptor antagonist CP-99,994 I (X = H) are described. The 5-trifluoromethoxy analog, CP-122,721 I (X = CF3), shows superior in vivo blockade of NK-1 receptor mediated responses.

=> D 4 HITSTR

L9 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 1999 ACS
IT **136982-36-0**, CP-99,994
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
BIOL (Biological study)
(prepn., neurokinin-1 receptor antagonist activity, and structure activity relationship of (benzylamino)phenylpiperidines)
RN 136982-36-0 HCAPLUS
CN 3-Piperidinamine, N-[(2-methoxyphenyl)methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

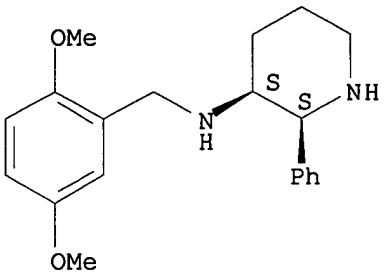
Searched by John Dantzman 308-4488

Absolute stereochemistry.



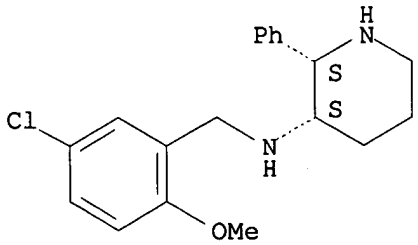
IT **136871-74-4P 136872-01-0P 145742-20-7P**
145742-21-8P 145742-23-0P 145742-28-5P
145742-29-6P 145742-33-2P 160503-02-6P
204444-24-6P 204444-25-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., neurokinin-1 receptor antagonist activity, and structure activity relationship of (benzylamino)phenylpiperidines)
RN 136871-74-4 HCAPLUS
CN 3-Piperidinamine, N-[(2,5-dimethoxyphenyl)methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 136872-01-0 HCAPLUS
CN 3-Piperidinamine, N-[(5-chloro-2-methoxyphenyl)methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

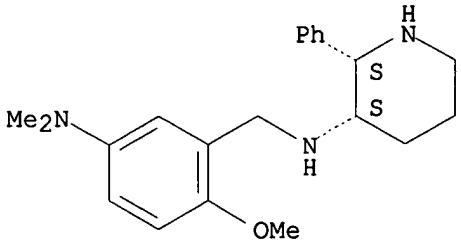
Absolute stereochemistry.



Searched by John Dantzman 308-4488

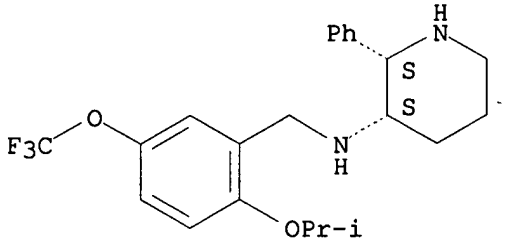
RN 145742-20-7 HCAPLUS
CN 3-Piperidinamine,
N-[[5-(dimethylamino)-2-methoxyphenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



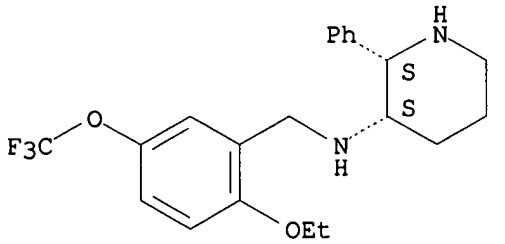
RN 145742-21-8 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



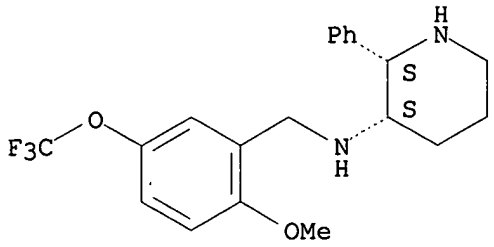
RN 145742-23-0 HCAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



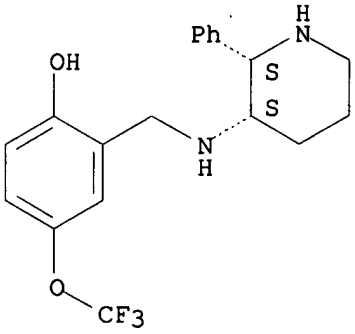
RN 145742-28-5 HCAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



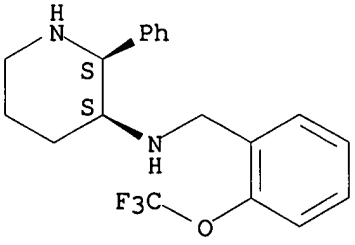
RN 145742-29-6 HCAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

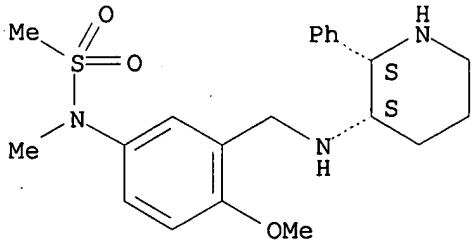
Absolute stereochemistry.



RN 160503-02-6 HCAPLUS
CN Methanesulfonamide, N-[4-methoxy-3-[[(2-phenyl-3-piperidinyl)amino]methyl]phenyl]-N-methyl-, (2S-cis)- (9CI) (CA INDEX NAME)

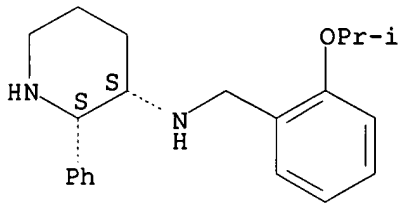
Absolute stereochemistry.

Searched by John Dantzman 308-4488



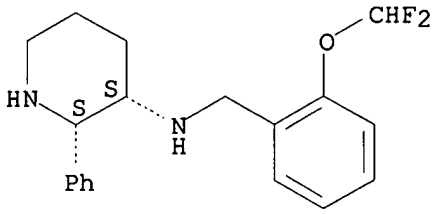
RN 204444-24-6 HCAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204444-25-7 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS 5

L9 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:315540 HCAPLUS
DN 122:105856
TI Preparation of substituted benzylamino nitrogen containing non-aromatic heterocycles and their pharmaceutical compositions as substance P receptor antagonists
IN Howard, Harry R., Jr.; Ikunaka, Masaya; Ito, Fumitaka; **Lowe, John A., III**; Nakane, Masami; O'Neill, Brian T.; **Rosen, Terry R.**; Satake, Kunio
PA Pfizer Inc., USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9404496	A1	19940303	WO 1993-US4063	19930505
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 655996	A1	19950607	EP 1993-910925	19930505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508755	T2	19950928	JP 1993-506227	19930505
CN 1088917	A	19940706	CN 1993-109599	19930818
US 5721255	A	19980224	US 1995-387765	19950215
PRAI US 1992-932392		19920819		
WO 1993-US4063		19930505		

OS MARPAT 122:105856
GI For diagram(s), see printed CA Issue.
AB Title compds. I [ring A is an aryl group selected from Ph, naphthyl, thienyl, dihydroquinolinyl, indolinyl; CH2NR2R3 side chain is attached to a C atom of ring A; W = H, C1-6 alkyl, S-(C1-3) alkyl, halo, C1-6 alkoxy optionally substituted with 1-3 F atoms; R1 = a variety of amino, amido, and S(O)v-contg. groups (v = 0-2), etc.; R2 = H, CO2(C1-10 alkyl); R3 = a wide variety of substituted N-contg. satd. heterocycles] are prepd. as substance P receptor antagonists. The novel compds. I are useful in the treatment of inflammatory and central nervous system disorders, as well as other disorders (no data). Included are pharmaceutical compns. for use in treatment or prevention of inflammatory diseases, anxiety, colitis, depression or dysthymic disorders, psychosis, pain, allergies, chronic obstructive airways disease, hypersensitivity disorders, vasospastic diseases, fibrosing and collagen diseases, reflex sympathetic dystrophy, addiction disorders, stress related somatic disorders, peripheral neuropathy, neuralgia, neuropathol. disorders, disorders related to immune enhancement or suppression and rheumatic disease in a mammal. Some of the 62 example compds. of the invention for which the prepns. and characterization data are described include cis-3-(5-fluoro-2-methylthiobenzyl)amino-2-phenylpiperidine dihydrochloride,

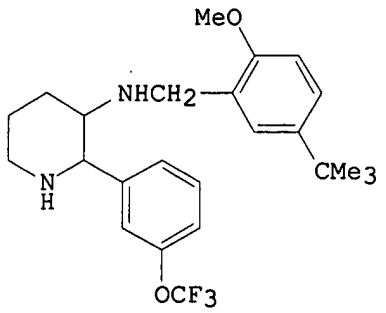
(+)-(2S,3S)-3-[2-methoxy-5-(N-isopropyl-N-methanesulfonylamino)benzyl]amino-2-phenylpiperidine dihydrochloride,
(1SR,2SR,3SR,4RS)-3-(2-methoxy-5-(N-methyl-N-methanesulfonylamino)benzyl)amino-2-benzhydryl-[2.2.1]azanorbornane dihydrochloride, and (2S,3S)-N-(2-methoxy-5-methylthiophenyl)methyl-2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine mesylate.

=> D BIB ABS 6

L9 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:254758 HCAPLUS
DN 118:254758
TI Preparation of 3-[(fluoroalkoxy)benzylamino]piperidines and analogs as substance P antagonists
IN **Lowe, John Adams, III; Rosen, Terry Jay**
PA Pfizer Inc., USA
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9300331	A1	19930107	WO 1992-US3571	19920505
	W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	CA 2109613	AA	19930107	CA 1992-2109613	19920505
	CA 2109613	C	19961119		
	AU 9218893	A1	19930125	AU 1992-18893	19920505
	AU 657967	B2	19950330		
	EP 589924	A1	19940406	EP 1992-911210	19920505
	EP 589924	B1	19960904		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06506473	T2	19940721	JP 1992-510950	19920505
	JP 07110850	B4	19951129		
	HU 70499	A2	19951030	HU 1995-836	19920505
	BR 9206161	A	19951031	BR 1992-6161	19920505
	AT 142199	E	19960915	AT 1992-911210	19920505
	ES 2092113	T3	19961116	ES 1992-911210	19920505
	PL 170516	B1	19961231	PL 1992-310851	19920505
	PL 172054	B1	19970731	PL 1992-301884	19920505
	ZA 9204528	A	19921220	ZA 1992-4528	19920619
	CN 1067655	A	19930106	CN 1992-104778	19920619
	US 5773450	A	19980630	US 1993-167881	19931214
	NO 9304691	A	19931217	NO 1993-4691	19931217
	NO 180715	B	19970224		
	NO 180715	C	19970604		
	HU 67434	A2	19950428	HU 1993-3668	19931220
PRAI	US 1991-717943	19910620			
	WO 1992-US3571	19920505			
	HU 1993-3668	19931220			

OS MARPAT 118:254758
GI



I

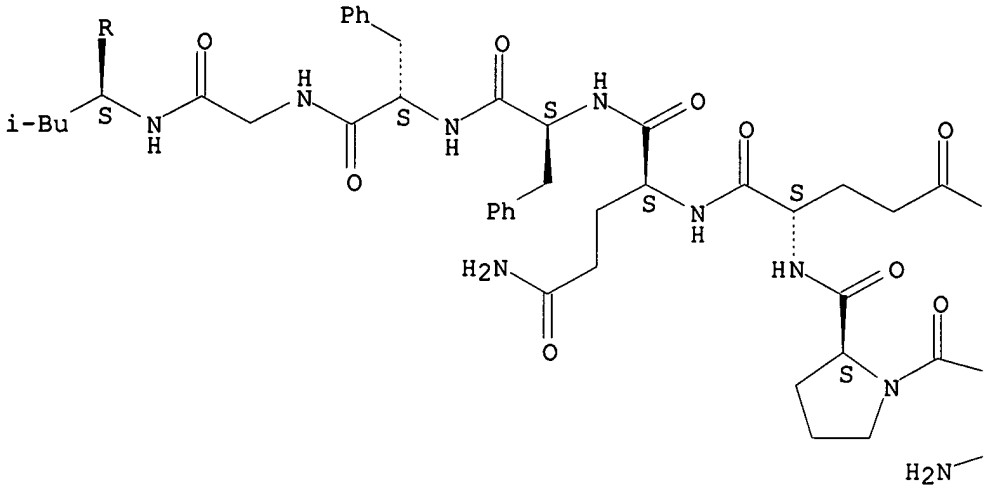
AB Title compds., e.g., X1X2X3C6H2CH2NHR [R = aza(bi)cycloalkyl, etc.; X1 = H, (fluoro)alkyl, -alkoxy; X2, X3 = H, halo, NO2, (fluoro)alkyl, -alkoxy, etc.] were prepd. as substance P antagonists (no data). Thus, 3-(F3CO)C6H4CHO was cyclocondensed with O2N(CH2)3CO2Me and AcNH4 and the product reduced to give cis-5-amino-6-(3-trifluoromethoxyphenyl)piperidin-2-one which was reductively condensed with 2,5-(MeO)(Me3C)C6H3CHO to give, after keto group redn., title compd. cis-I.

=> D HITSTR 6

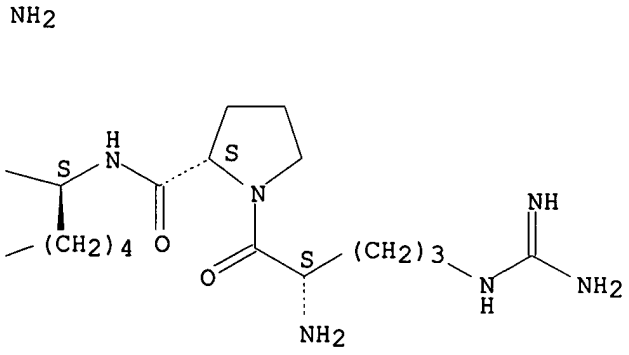
L9 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 1999 ACS
IT **33507-63-0**, Substance P
RL: RCT (Reactant)
(antagonists of, [(fluoroalkoxy)benzylamino]piperidines and analogs as)
RN 33507-63-0 HCAPLUS
CN Substance P (9CI) (CA INDEX NAME)

Absolute stereochemistry.

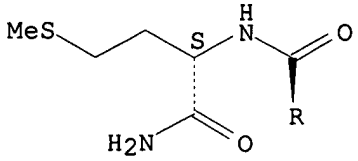
PAGE 1-A



PAGE 1-B

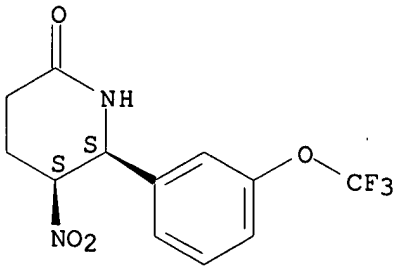


PAGE 2-A



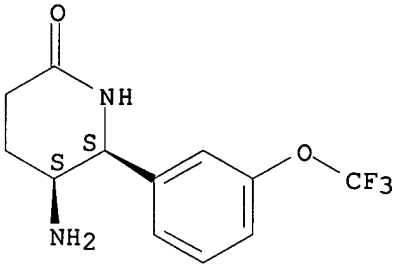
IT **147249-31-8P 147249-32-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of substance P antagonists)
RN 147249-31-8 HCAPLUS
CN 2-Piperidinone, 5-nitro-6-[3-(trifluoromethoxy)phenyl]-, (5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 147249-32-9 HCAPLUS
CN 2-Piperidinone, 5-amino-6-[3-(trifluoromethoxy)phenyl]-, (5R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **145741-98-6P 145741-99-7P 145742-00-3P**
145742-01-4P 145742-02-5P 145742-17-2P
145742-18-3P 145742-19-4P 145742-21-8P
145742-22-9P 145742-23-0P 145742-25-2P
145742-26-3P 145742-28-5P 145742-29-6P
145742-30-9P 145742-31-0P 145742-33-2P
145742-69-4P 145877-22-1P 145877-23-2P
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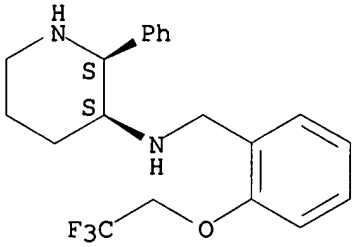
145877-49-2P 145877-50-5P 145877-52-7P
145877-53-8P 145877-54-9P 145877-57-2P
147231-98-9P 147231-99-0P 147232-00-6P
147232-01-7P 147232-02-8P 147232-03-9P
147232-04-0P 147249-22-7P 147249-23-8P
147249-24-9P 147249-25-0P 147249-26-1P
147852-80-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as substance P antagonist)

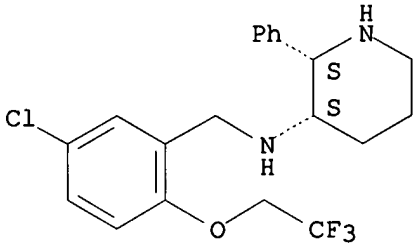
RN 145741-98-6 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



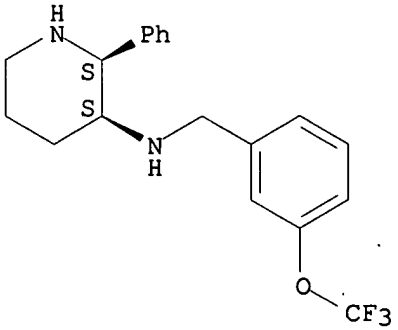
RN 145741-99-7 HCAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



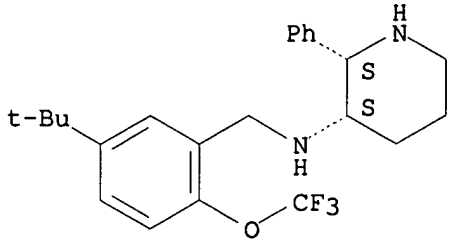
RN 145742-00-3 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



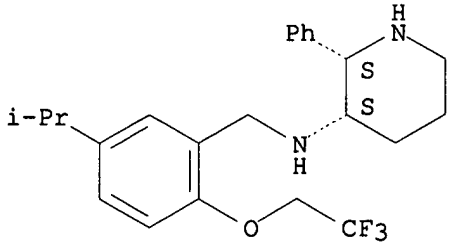
RN 145742-01-4 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



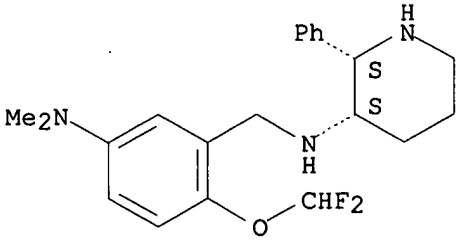
RN 145742-02-5 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



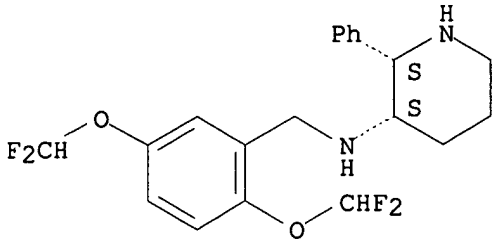
RN 145742-17-2 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



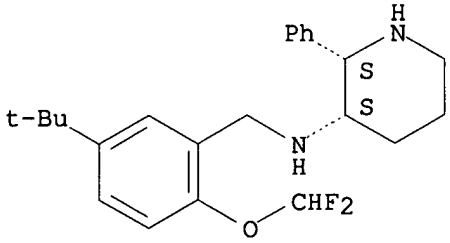
RN 145742-18-3 HCAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



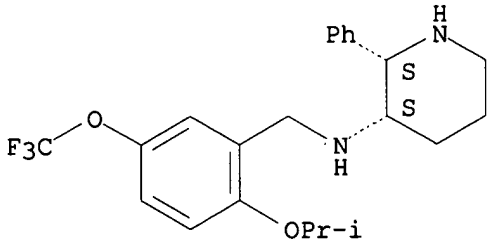
RN 145742-19-4 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



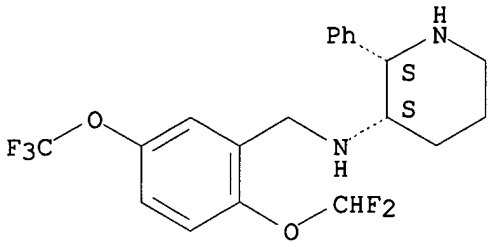
RN 145742-21-8 HCAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



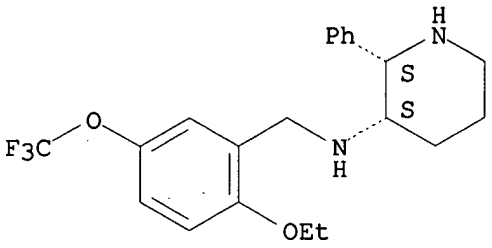
RN 145742-22-9 HCAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



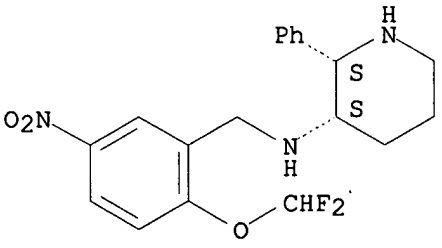
RN 145742-23-0 HCAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



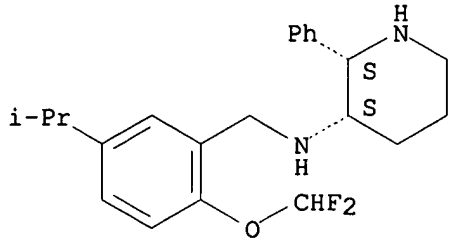
RN 145742-25-2 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



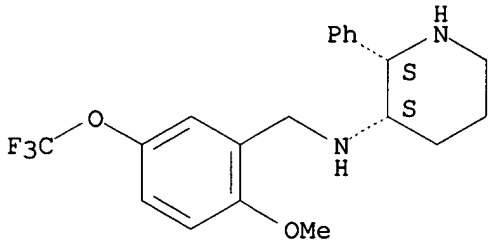
RN 145742-26-3 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



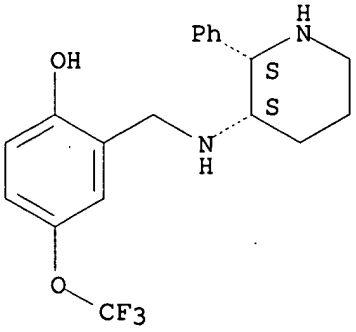
RN 145742-28-5 HCAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



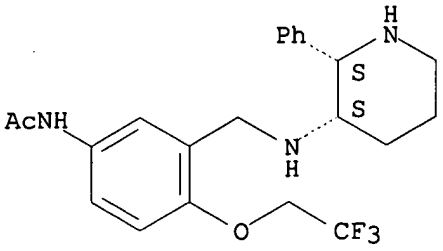
RN 145742-29-6 HCAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



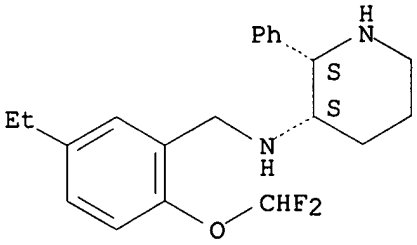
RN 145742-30-9 HCAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



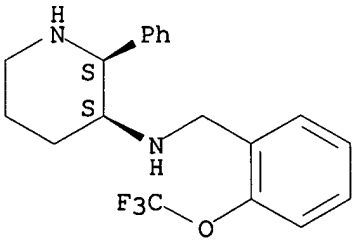
RN 145742-31-0 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



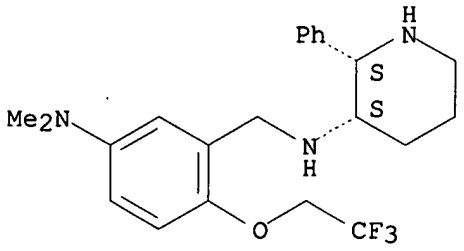
RN 145742-33-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
(2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



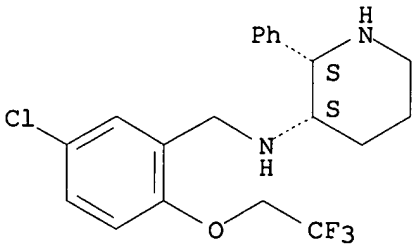
RN 145742-69-4 HCAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-
trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 145877-22-1 HCAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

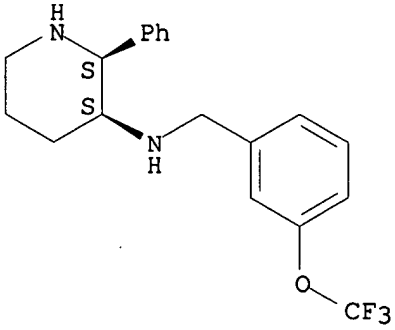
Absolute stereochemistry.



● 2 HCl

RN 145877-23-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

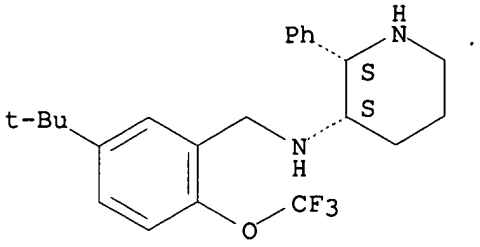
Absolute stereochemistry.



● 2 HCl

RN 145877-24-3 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)-(9CI) (CA INDEX NAME)

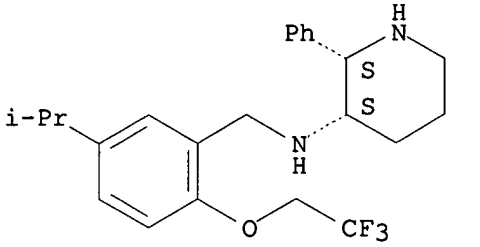
Absolute stereochemistry.



● 2 HCl

RN 145877-25-4 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)-(9CI)
(CA INDEX NAME)

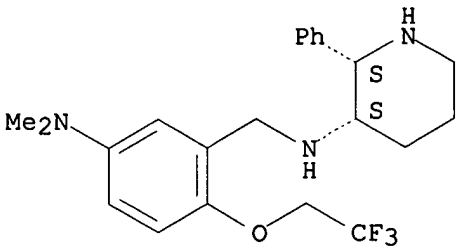
Absolute stereochemistry.



● 2 HCl

RN 145877-27-6 HCAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

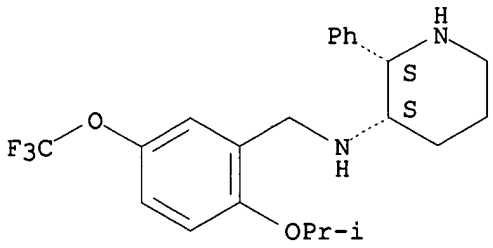
Absolute stereochemistry.



● x HCl

RN 145877-45-8 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

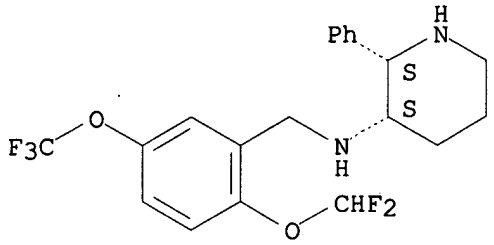
Absolute stereochemistry.



● 2 HCl

RN 145877-46-9 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

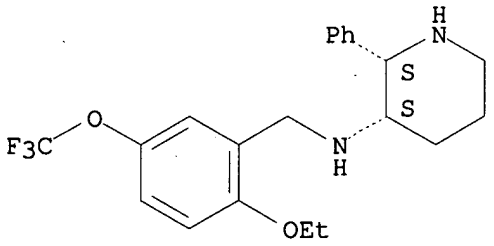
Absolute stereochemistry.



● 2 HCl

RN 145877-47-0 HCAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

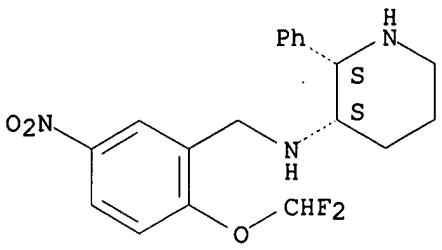
Absolute stereochemistry.



● 2 HCl

RN 145877-49-2 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

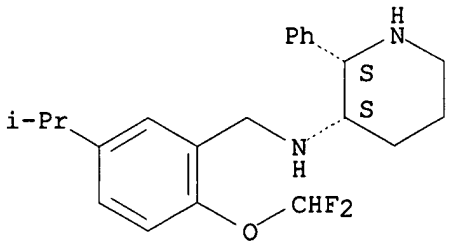
Absolute stereochemistry.



● x HCl

RN 145877-50-5 HCAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

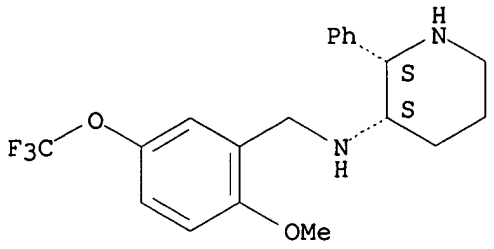
Absolute stereochemistry.



● 2 HCl

RN 145877-52-7 HCAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

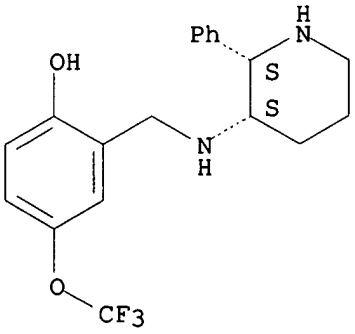
Absolute stereochemistry.



● 2 HCl

RN 145877-53-8 HCAPLUS
CN Phenol, 2-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

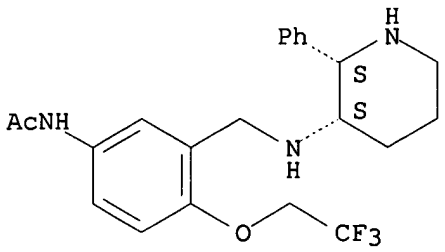
Absolute stereochemistry.



● 2 HCl

RN 145877-54-9 HCAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

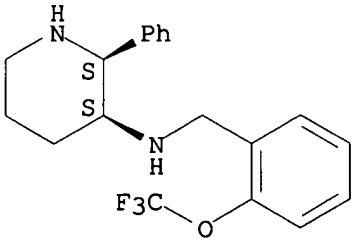
Absolute stereochemistry.



● x HCl

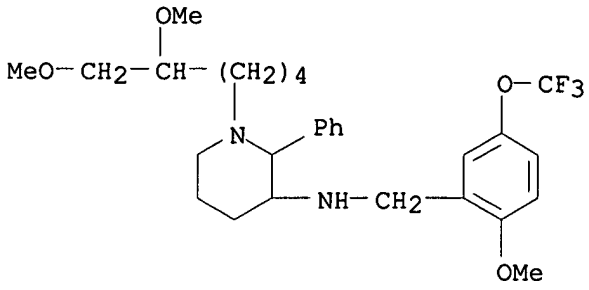
RN 145877-57-2 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

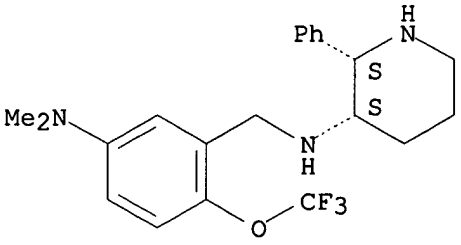
RN 147231-98-9 HCAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 147231-99-0 HCAPLUS
CN 3-Piperidinamine,
N-[[5-(dimethylamino)-2-(trifluoromethoxy)phenyl]methyl]-
2-phenyl-, trihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

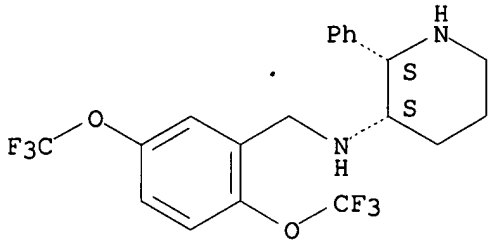
Absolute stereochemistry.



● 3 HCl

RN 147232-00-6 HCAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(trifluoromethoxy)phenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

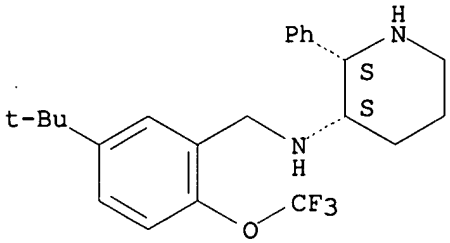
Absolute stereochemistry.



● x HCl

RN 147232-01-7 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)-(9CI)
(CA INDEX NAME)

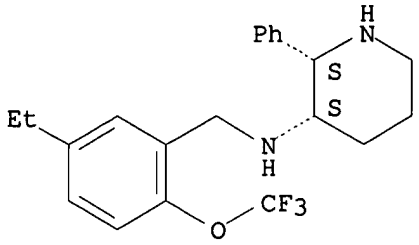
Absolute stereochemistry.



● x HCl

RN 147232-02-8 HCAPLUS
CN 3-Piperidinamine, N-[[5-ethyl-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

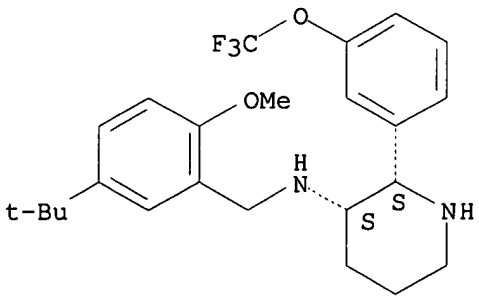
Absolute stereochemistry.



● 2 HCl

RN 147232-03-9 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-methoxyphenyl]methyl]-2-[3-(trifluoromethoxy)phenyl]-, hydrochloride, cis- (9CI) (CA INDEX NAME)

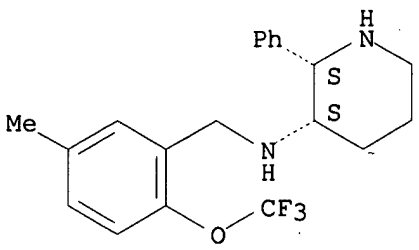
Relative stereochemistry.



● x HCl

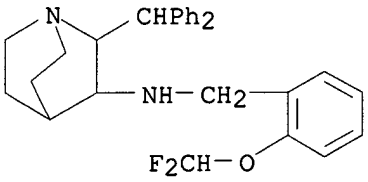
RN 147232-04-0 HCAPLUS
CN 3-Piperidinamine, N-[[5-methyl-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



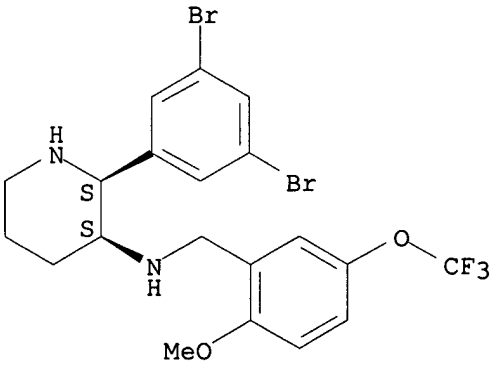
● 2 HCl

RN 147249-22-7 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-[[2-(difluoromethoxy)phenyl]methyl]-2-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 147249-23-8 HCAPLUS
CN 3-Piperidinamine, 2-(3,5-dibromophenyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

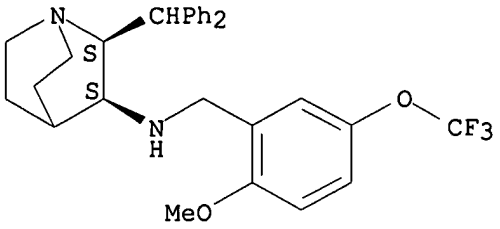
Absolute stereochemistry.



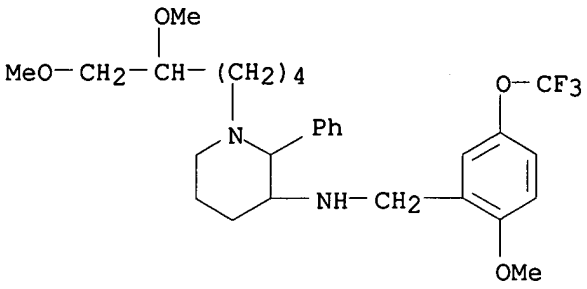
RN 147249-24-9 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman 308-4488

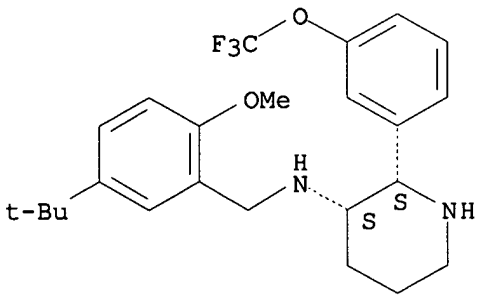


RN 147249-25-0 HCAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 147249-26-1 HCAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-methoxyphenyl]methyl]-2-[3-(trifluoromethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

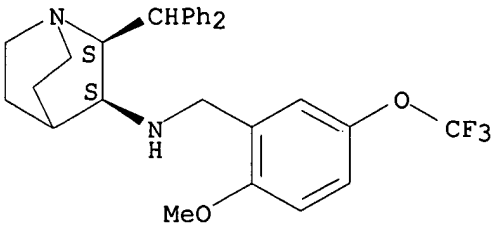


RN 147852-80-0 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-, (2S-cis)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

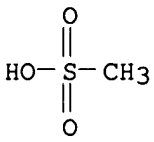
CRN 147249-24-9
CMF C29 H31 F3 N2 O2

Absolute stereochemistry.

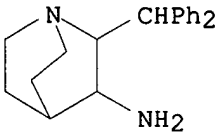


CM 2

CRN 75-75-2
CMF C H4 O3 S

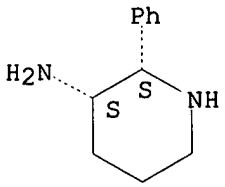


IT **129912-96-5 136871-75-5**
RL: RCT (Reactant)
(reaction of, in prepn. of substance P antagonists)
RN 129912-96-5 HCAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, 2-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 136871-75-5 HCAPLUS
CN 3-Piperidinamine, 2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

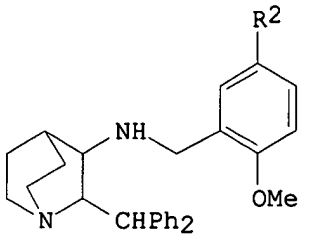


=> D BIB ABS 7

L9 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:254756 HCAPLUS
DN 118:254756
TI Preparation of 2-diphenylmethyl-3-benzylaminoquinuclidines as substance P antagonists
IN Ito, Fumitaka; Kondo, Hiroshi; Shimada, Kaoru; Nakane, Masami; **Lowe, John Adams, III; Rosen, Terry Jay**; Yang, Bingwei Vera
PA Pfizer Inc., USA
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9221677	A1	19921210	WO 1992-US3317	19920428
	W: AU, BG, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RO, RU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
	AU 9219901	A1	19930108	AU 1992-19901	19920428
	AU 657552	B2	19950316		
	EP 587723	A1	19940323	EP 1992-912601	19920428
	EP 587723	B1	19960306		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06504292	T2	19940519	JP 1992-500353	19920428
	JP 07033386	B4	19950412		
	BR 9206073	A	19941206	BR 1992-6073	19920428
	HU 70151	A2	19950928	HU 1993-3393	19920428
	RO 110499	B1	19960130	RO 1993-1581	19920428
	AT 135006	E	19960315	AT 1992-912601	19920428
	ES 2084361	T3	19960501	ES 1992-912601	19920428
	CZ 281403	B6	19960911	CZ 1992-3906	19920428
	PL 171379	B1	19970430	PL 1992-301472	19920428
	SK 278788	B6	19980204	SK 1992-3906	19920428
	CA 2102179	C	19981027	CA 1992-2102179	19920428
	IL 102008	A1	19951208	IL 1992-102008	19920526
	ZA 9203942	A	19931129	ZA 1992-3942	19920529
	CN 1067428	A	19921230	CN 1992-104129	19920530
	NO 9304312	A	19931129	NO 1993-4312	19931129
	US 5807867	A	19980915	US 1994-211120	19940523
	JP 07285965	A2	19951031	JP 1994-241456	19941005
	JP 2645225	B2	19970825		
PRAI	US 1991-708404	19910531			
	WO 1992-US3317	19920428			

OS MARPAT 118:254756
GI



I

AB Title compds. (I; R2 = Me2CH, Me3C, Me, Et, sec-Bu), were prepd. as substance P antagonists useful against a variety of diseases (no data). Thus, (2S, 3S)-2-diphenylmethyl-1-azabicyclo[2.2.2]-octane-3-amine (prepn. given) was stirred with 5-isopropyl-2-methoxybenzaldehyde and Na triacetoxyborohydride in CH2Cl2 to give 2S,3S-I (R2 = Me2CH).

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=> D HIS

     (FILE 'HOME' ENTERED AT 08:12:33 ON 04 SEP 1999)

     FILE 'REGISTRY' ENTERED AT 08:12:54 ON 04 SEP 1999
L1                STR
L2                SCR 1839
L3             50 S L1 AND L2
L4                STR L1
L5             50 S L4
L6                STR L4
L7             50 S L6
L8           1243 S L6 FUL

     FILE 'CAPLUS' ENTERED AT 08:18:25 ON 04 SEP 1999

     FILE 'REGISTRY' ENTERED AT 08:18:38 ON 04 SEP 1999
                SAV L8 DELA007/A
L9                STR L6
L10            10 S L9 SSS SAM SUB=L8
L11           128 S L9 SSS FUL SUB=L8

     FILE 'CAPLUS' ENTERED AT 08:21:45 ON 04 SEP 1999
L12            51 S L11

     FILE 'REGISTRY' ENTERED AT 08:22:43 ON 04 SEP 1999
L13             2 S  208831-17-8 OR 208831-18-9
L14             1 S 145742-28-5
L15            57 S C20H23F3N2O2
L16             9 S L15 AND L11

     FILE 'CAPLUS' ENTERED AT 08:27:37 ON 04 SEP 1999
L17            31 S L16
L18            31 S L16 AND L11
L19            20 S L12 NOT L18

     FILE 'CAOLD' ENTERED AT 08:40:47 ON 04 SEP 1999
L20             0 S L16
L21             0 S L11

     FILE 'REGISTRY' ENTERED AT 08:41:38 ON 04 SEP 1999
L22               STR L6
L23               STR L9
L24             0 S L23 SSS SAM SUB=L8
L25               STR L23
L26            45 S L25 SSS SAM SUB=L8

     FILE 'CAPLUS' ENTERED AT 08:46:09 ON 04 SEP 1999
L27            47 S L26
L28            12 S L27 NOT L12

     FILE 'CAOLD' ENTERED AT 08:47:05 ON 04 SEP 1999
L29             0 S L26 NOT L12
L30             7 S L8
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=> D BIB ABS HITSTR

L19 ANSWER 1 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1999:126827 CAPLUS
DN 130:191898
TI Substance P inhibitors in combination with NMDA blockers for treating pain
IN Caruso, Frank S.
PA Algos Pharmaceutical Corporation, USA
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

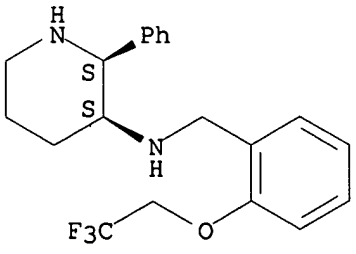
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907413	A1	19990218	WO 1998-US10707	19980526
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9876960	A1	19990301	AU 1998-76960	19980526
PRAI	US 1997-55233		19970811		
	WO 1998-US10707		19980526		

AB The analgesic effectiveness of a substance P receptor antagonist is significantly potentiated by administering a substance P receptor antagonist with a nontoxic NMDA receptor antagonist and/or a nontoxic substance that blocks at least one major intracellular consequence of NMDA receptor activation.

IT **145741-98-6 145742-21-8 145742-23-0**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substance P inhibitor-NMDA blocker combination for treating pain)

RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

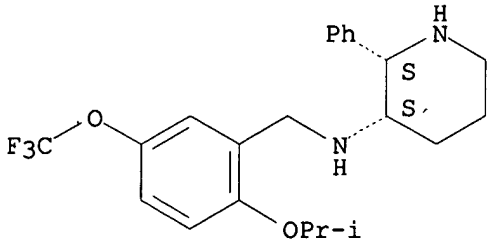
Absolute stereochemistry.



RN 145742-21-8 CAPLUS

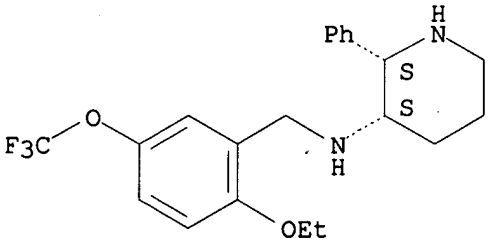
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

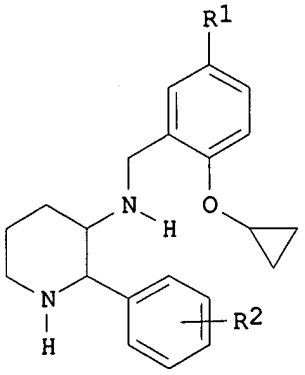


=> D BIB ABS HITSTR 2

L19 ANSWER 2 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1999:34897 CAPLUS
DN 130:95483
TI Preparation of substituted 3-(benzylamino)piperidines for the treatment or
prevention of physiological disorders associated with an excess of tachykinins
IN Elliott, Jason Matthew
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900368	A1	19990107	WO 1998-GB1856	19980623
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9881220	A1	19990119	AU 1998-81220	19980623

PRAI GB 1997-13715 19970627
GB 1997-20998 19971003
WO 1998-GB1856 19980623
OS MARPAT 130:95483
GI



I

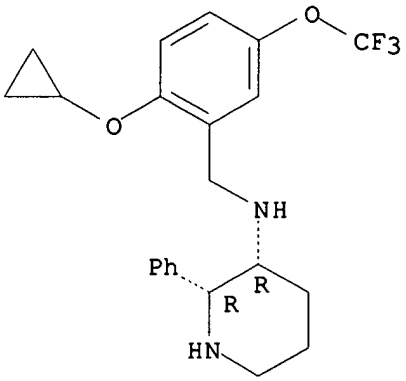
AB The title compds. [I; R1 = fluoroC1-2alkoxy; R2 = H, halo, C1-4alkyl, C1-4alkoxy, fluoroC1-4alkyl, fluoroC1-4alkoxy] and their pharmaceutically

acceptable salts, particularly useful in the treatment or prevention of pain or inflammation, migraine, emesis, postherpetic neuralgia, depression or anxiety, were prepd. and formulated. Thus, reaction of 2-cyclopropoxy-5-(trifluoromethoxy)benzaldehyde with (.+-.)-(2R*,3R*)-1-(tert-butoxycarbonyl)-2-phenylpiperidin-3-amine (prepn. of both reagents given) in the presence of citric acid and 3.ANG. mol. sieves in methanol afforded 20% (.+-.)-(2R*,3R*)-I.2HCl [R1 = CF3O; R2 = H] which showed IC50 of 0.17 nM at the human NK1 receptor. Compds. I are effective in the treatment of the conditions assocd. with an excess of tachykinins at 0.05-10 mg/kg/day.

IT **208831-17-8P 208831-18-9P 219586-30-8P 219586-31-9P 219586-32-0P 219586-33-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 3-(benzylamino)piperidines for the treatment or prevention of physiol. disorders assocd. with an excess of tachykinins)

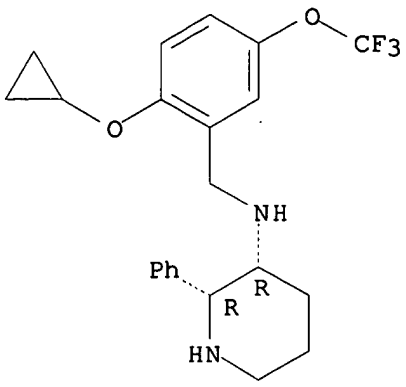
RN 208831-17-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

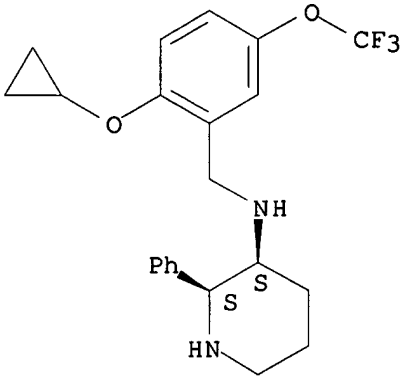
Relative stereochemistry.



● 2 HCl

RN 219586-30-8 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

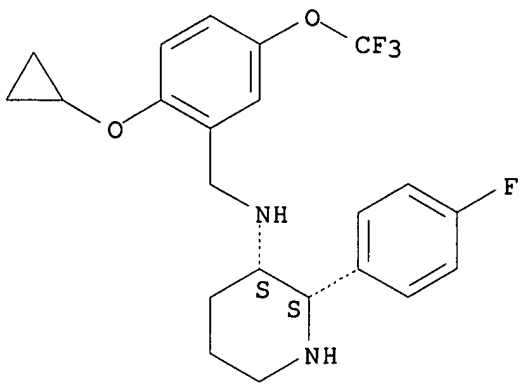
Absolute stereochemistry.



● 2 HCl

RN 219586-31-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-(4-fluorophenyl)-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX
NAME)

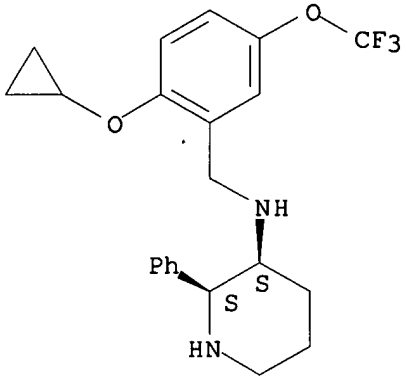
Relative stereochemistry.



● 2 HCl

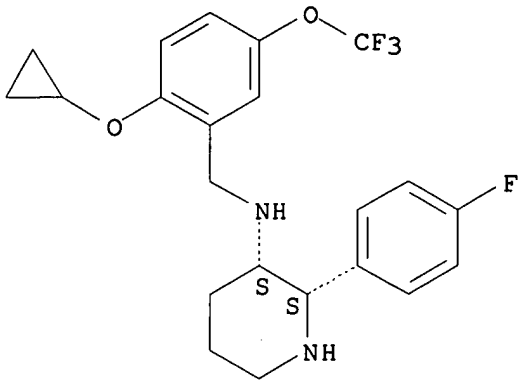
RN 219586-32-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 219586-33-1 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-(4-fluorophenyl)-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.


O
CF3
O
F
NH
S
S
NH

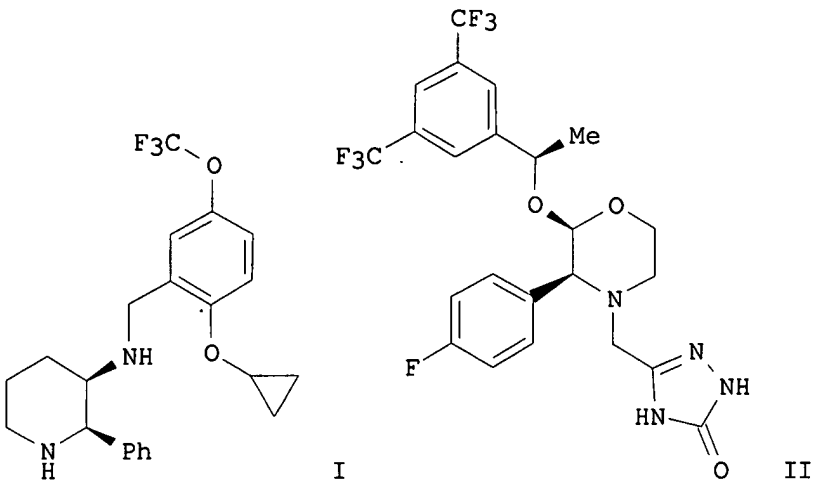
=> D BIB ABS HITSTR 3

L19 ANSWER 3 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394219 CAPLUS
DN 129:67789
TI Use of NK-1 receptor antagonists for treating cognitive disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824447	A1	19980611	WO 1997-EP6940	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855613	A1	19980629	AU 1998-55613	19971125

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-17299	19970814
	WO 1997-EP6940	19971125

GI



I II

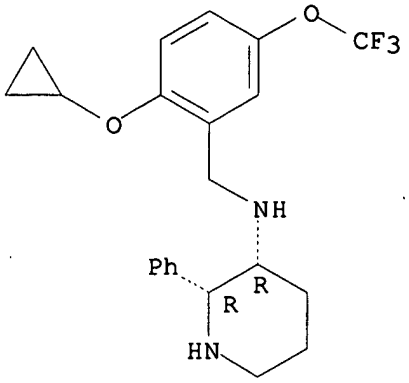
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in an oral medicament for the treatment or prevention of cognitive disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, reductive N-alkylation of (.+-.)-(2R3R,2S3S)-1-(tert-butoxycarbonyl)-2-phenylpiperidin-3-amine by 2-cyclopropoxy-5-(trifluoromethoxy)benzaldehyde and NaBH4 in MeOH in the presence of citric acid, followed by removal of the BOC group with CF3CO2H in CH2Cl2, gave title compd. I, isolated as the di-HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating cognitive disorders)

RN 208831-17-8 CAPLUS

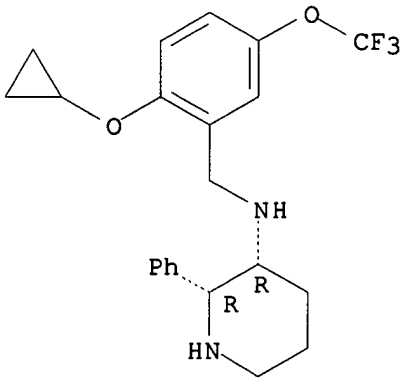
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

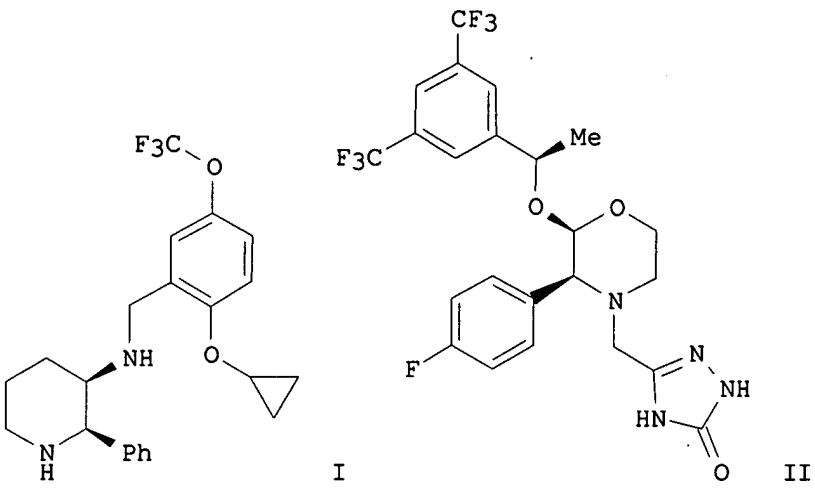
=> D BIB ABS HITSTR 4

L19 ANSWER 4 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394218 CAPLUS
DN 129:67788
TI Use of NK-1 receptor antagonists for treating movement disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824446	A1	19980611	WO 1997-EP6692	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855594	A1	19980629	AU 1998-55594	19971125
	US 5925627	A	19990720	US 1997-980931	19971201

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-17425	19970815
	GB 1997-21193	19971007
	WO 1997-EP6692	19971125

GI



I II

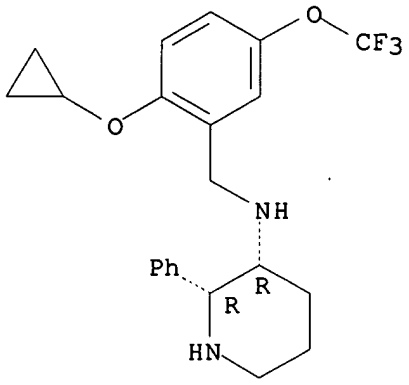
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in an oral medicament for the treatment or prevention of movement disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and compds. in particular, are mentioned in claims. Disorders mentioned in claims include dyskinesias, akinesias, various forms of Parkinsonism, and Gilles de la Tourette syndrome. Synthetic prepns. of 3 such compds. are given in detail. For instance, reductive N-alkylation of (.+-.)-(2R3R,2S3S)-1-(tert-butoxycarbonyl)-2-phenylpiperidin-3-amine by 2-cyclopropoxy-5-(trifluoromethoxy)benzaldehyde and NaBH4 in MeOH in the presence of citric acid, followed by removal of the BOC group with CF3CO2H in CH2Cl2, gave title compd. I, isolated as the di-HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating movement disorders)

RN 208831-17-8 CAPLUS

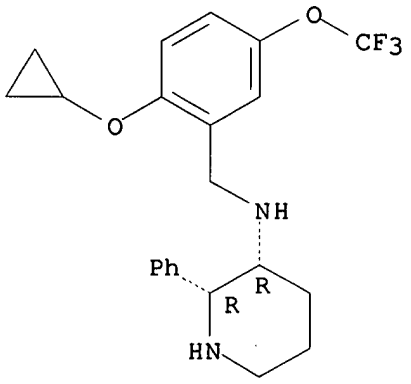
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



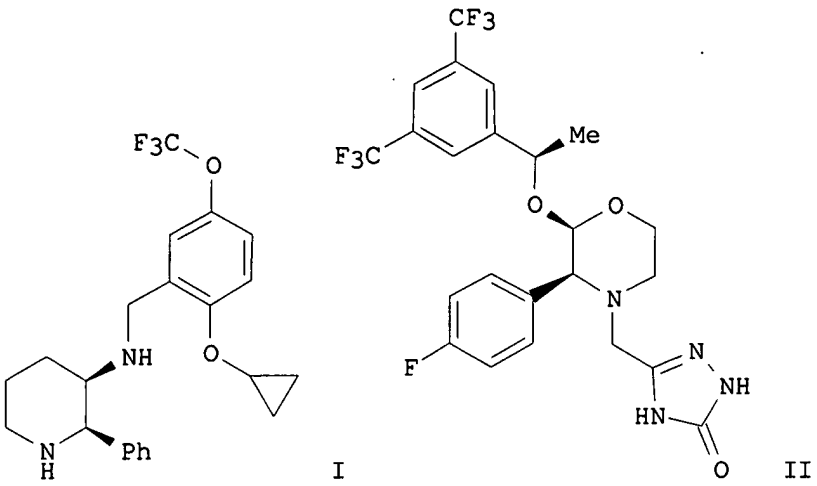
● 2 HCl

=> D BIB ABS HITSTR 5

L19 ANSWER 5 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394217 CAPLUS
DN 129:67787
TI Use of NK-1 receptor antagonists for treating schizophrenic disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824445	A1	19980611	WO 1997-EP6691	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9857530	A1	19980629	AU 1998-57530	19971125

PRAI GB 1996-25051 19961202
GB 1997-1459 19970124
GB 1997-13715 19970627
GB 1997-16491 19970804
GB 1997-21191 19971007
WO 1997-EP6691 19971125
GI



I II

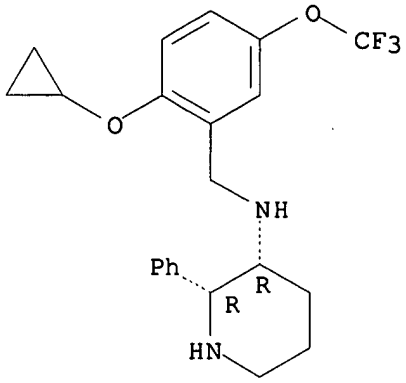
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in an oral medicament for the treatment or prevention of schizophrenic disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, reductive N-alkylation of (.+-.)-(2R3R,2S3S)-1-(tert-butoxycarbonyl)-2-phenylpiperidin-3-amine by
2-cyclopropoxy-5-(trifluoromethoxy)benzaldehyde
and NaBH4 in MeOH in the presence of citric acid, followed by removal of the BOC group with CF3CO2H in CH2Cl2, gave title compd. I, isolated as the
di-HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating schizophrenic disorders)

RN 208831-17-8 CAPLUS

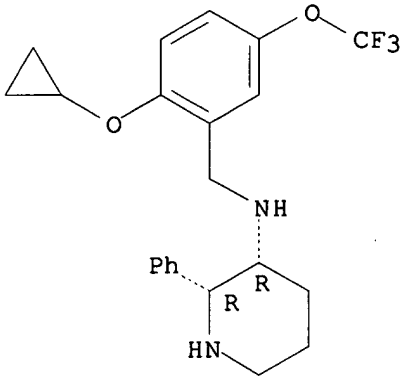
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

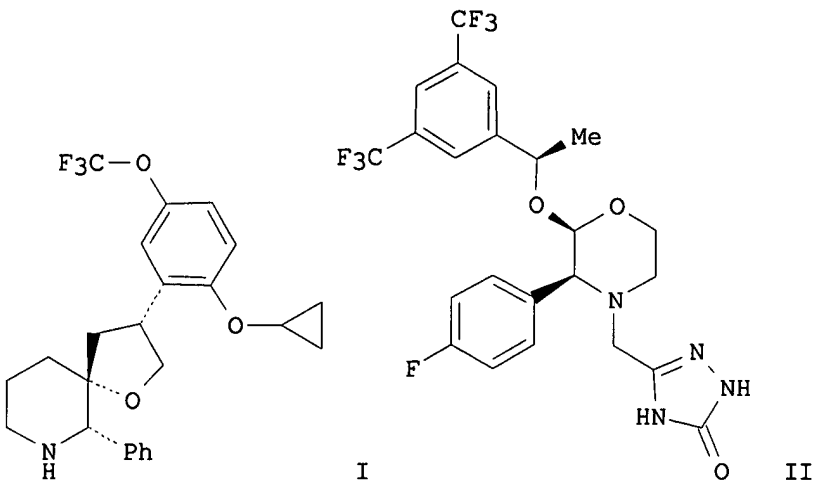
=> D BIB ABS HITSTR 6

L19 ANSWER 6 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394216 CAPLUS
DN 129:67786
TI Use of NK-1 receptor antagonists for treating substance use disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824444	A1	19980611	WO 1997-EP6690	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855593	A1	19980629	AU 1998-55593	19971125
	US 5919781	A	19990706	US 1997-980927	19971201

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-17097	19970812
	WO 1997-EP6690	19971125

GI



I II

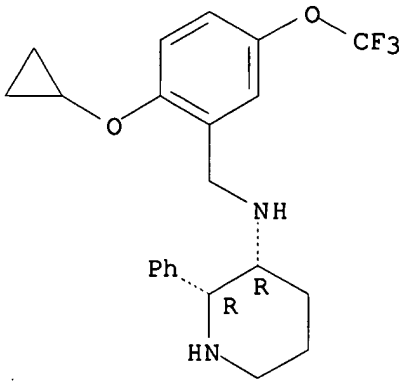
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in a medicament for the treatment or prevention of substance use disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, stereoselective Grignard reaction with HC.tplbond.CCH2OSiMe3, desilylation of the product, partial hydrogenation to give a (Z)-olefinic diol, and cyclization by Mitsunobu reaction, to give (5R,6S)-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]dec-3-ene. This compd. underwent Pd-catalyzed arylation with 2-(benzyloxy)-3-(trifluoromethoxy)iodobenzene, followed by hydrogenolysis of the benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating substance use disorders)

RN 208831-17-8 CAPLUS

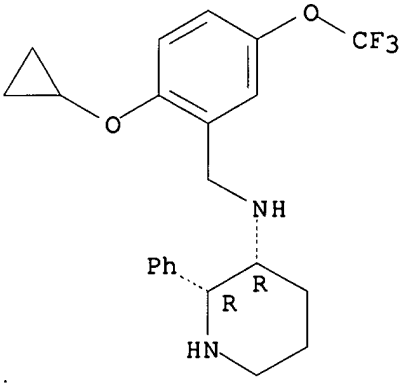
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

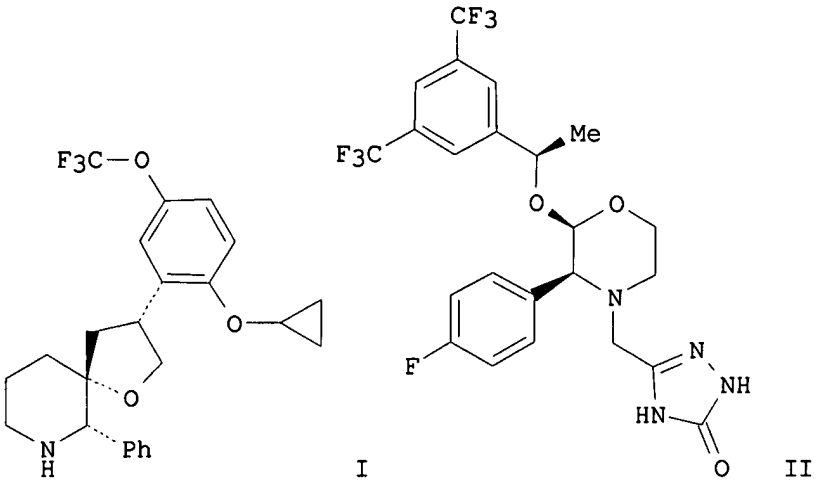
=> D BIB ABS HITSTR 7

L19 ANSWER 7 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394215 CAPLUS
DN 129:67785
TI Use of NK-1 receptor antagonists for treating bipolar disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824443	A1	19980611	WO 1997-EP6688	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855592	A1	19980629	AU 1998-55592	19971125

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-16467	19970804
	GB 1997-21192	19971007
	WO 1997-EP6688	19971125

GI



I II

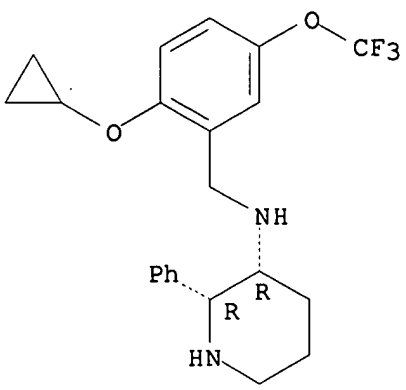
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in a medicament for the treatment or prevention of bipolar disorder. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, stereoselective Grignard reaction with HC.tplbond.CCH2OSiMe3, desilylation of the product, partial hydrogenation to give a (Z)-olefinic diol, and cyclization by Mitsunobu reaction, to give (5R,6S)-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]dec-3-ene. This compd. underwent Pd-catalyzed arylation with 2-(benzyloxy)-3-(trifluoromethoxy)iodobenzene, followed by hydrogenolysis of the benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating bipolar disorders)

RN 208831-17-8 CAPLUS

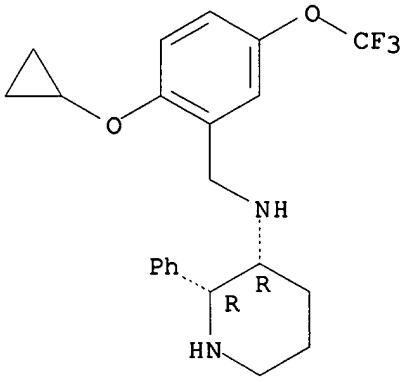
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

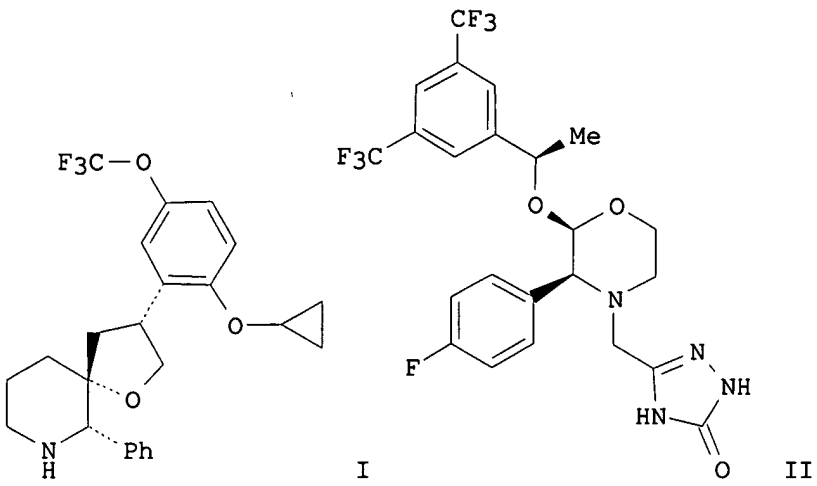
=> D BIB ABS HITSTR 8

L19 ANSWER 8 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394214 CAPLUS
DN 129:67784
TI Use of NK-1 receptor antagonists for treating sexual dysfunction
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824442	A1	19980611	WO 1997-EP6687	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9854858	A1	19980629	AU 1998-54858	19971125
	US 5929054	A	19990727	US 1997-980730	19971201

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-17260	19970814
	WO 1997-EP6687	19971125

GI



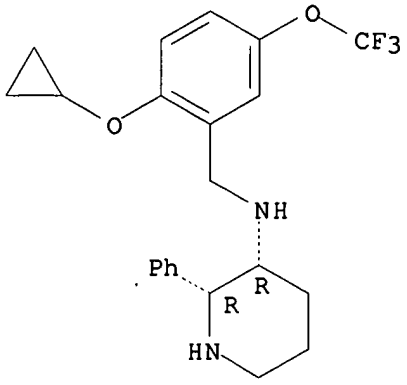
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist in an oral medicament for the treatment or prevention of sexual dysfunctions. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, stereoselective Grignard reaction with HC.tplbond.CCH2OSiMe3, desilylation of the product, partial hydrogenation to give a (Z)-olefinic diol, and cyclization by Mitsunobu reaction, to give (5R,6S)-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]dec-3-ene. This compd. underwent Pd-catalyzed arylation with 2-(benzyloxy)-3-(trifluoromethoxy)iodobenzene, followed by hydrogenolysis of the benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating sexual dysfunction)

RN 208831-17-8 CAPLUS

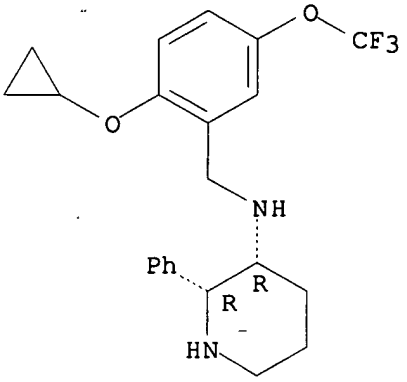
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

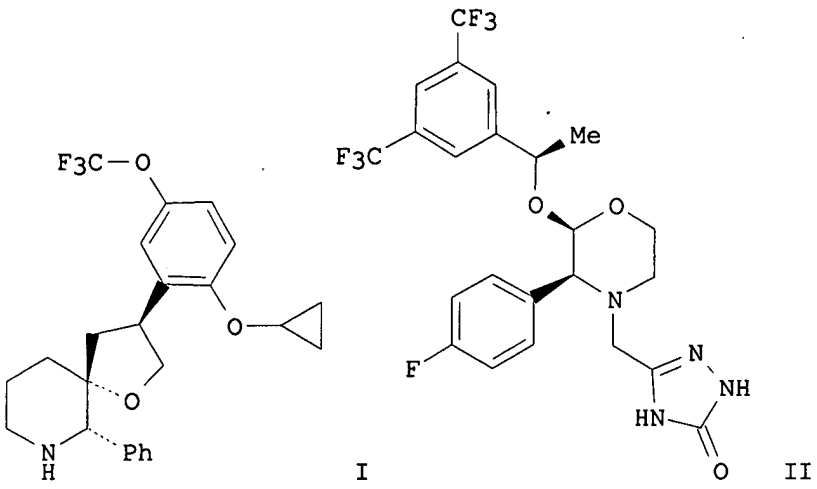
=> D BIB ABS HITSTR 9

L19 ANSWER 9 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394213 CAPLUS
DN 129:67783
TI Use of NK-1 receptor antagonists for treating major depressive disorders with anxiety
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824441	A1	19980611	WO 1997-EP6686	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855591	A1	19980629	AU 1998-55591	19971125

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-16472	19970804
	GB 1997-21177	19971007
	WO 1997-EP6686	19971125

GI

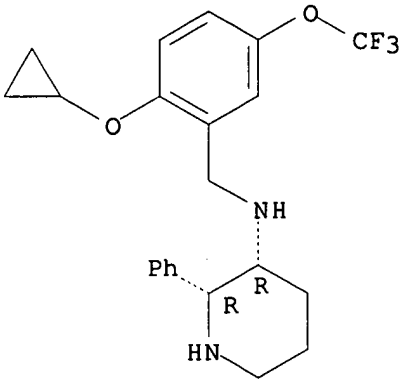


AB The invention provides the use of an orally active, long acting, CNS-penetrant NK-1 receptor antagonist in an oral medicament for the treatment or prevention of major depressive disorders with anxiety. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, Grignard reaction with CH2:C(CH2OPh)CH2Cl, cyclization to give an oxaazaspirodecane system, and ozonolysis of the introduced methylene group, to give (5R,6S)-3-oxo-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]decane. This ketone was converted to an enol triflate, followed by stannylation, etherification, deprotective hydrogenolysis of an introduced benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I, isolated as the HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating major depressive disorders with anxiety)

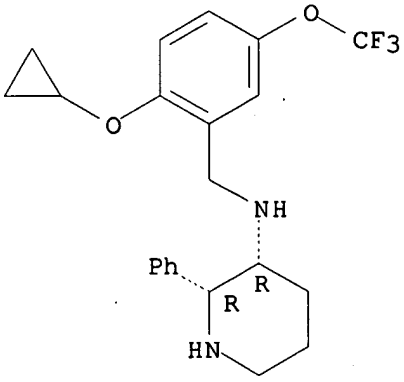
RN 208831-17-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



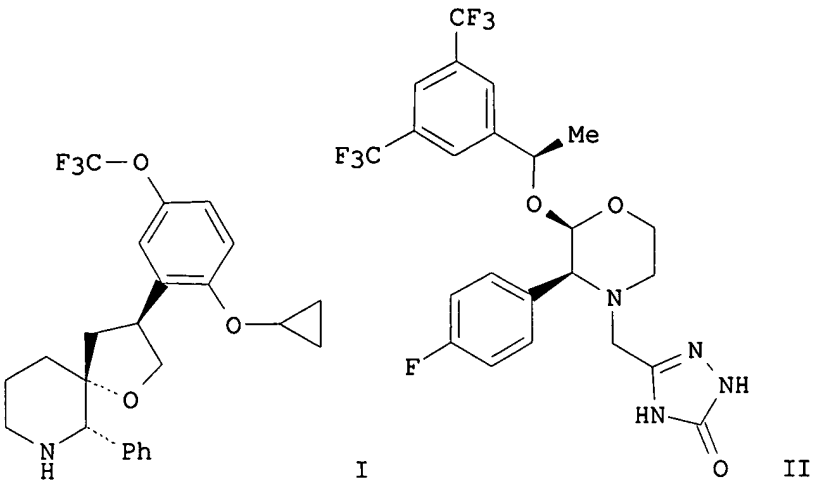
● 2 HCl

=> D BIB ABS HITSTR 10

L19 ANSWER 10 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394212 CAPLUS
DN 129:67782
TI Use of NK-1 receptor antagonists for treating stress disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824440	A1	19980611	WO 1997-EP6684	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855590	A1	19980629	AU 1998-55590	19971125

PRAI GB 1996-25051 19961202
GB 1997-1459 19970124
GB 1997-13715 19970627
GB 1997-16482 19970804
GB 1997-21171 19971007
WO 1997-EP6684 19971125
GI



I II

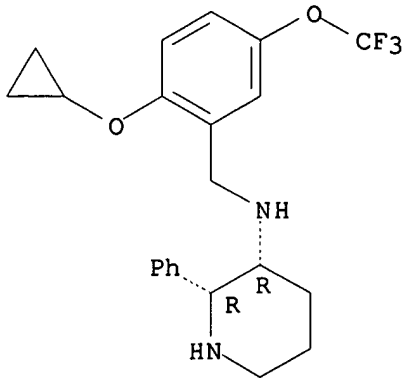
AB The invention provides the use of an orally active, long-acting, CNS-penetrant NK-1 receptor antagonist for the treatment or prevention of stress disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, Grignard reaction with CH2:C(CH2OPh)CH2Cl, cyclization to give an oxaazaspirodecane system, and ozonolysis of the introduced methylene group, to give (5R,6S)-3-oxo-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]decane. This ketone was converted to an enol triflate, followed by stannylation, etherification, deprotective hydrogenolysis of an introduced benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I, isolated as the HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating stress disorders)

RN 208831-17-8 CAPLUS

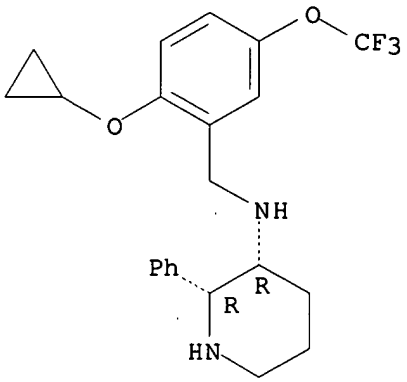
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



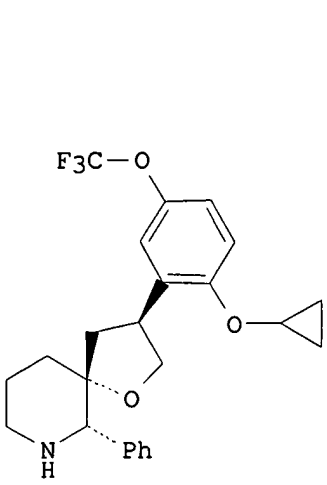
● 2 HCl

=> D BIB ABS HITSTR 11

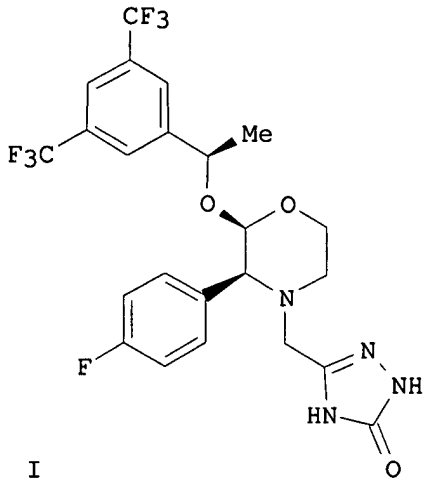
L19 ANSWER 11 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394211 CAPLUS
DN 129:67781
TI Use of NK-1 receptor antagonists for treating severe anxiety disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824439	A1	19980611	WO 1997-EP6683	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9857527	A1	19980629	AU 1998-57527	19971125

PRAI GB 1996-25051 19961202
GB 1997-1459 19970124
GB 1997-13715 19970627
GB 1997-16471 19970804
GB 1997-21220 19971007
WO 1997-EP6683 19971125
GI



I



II

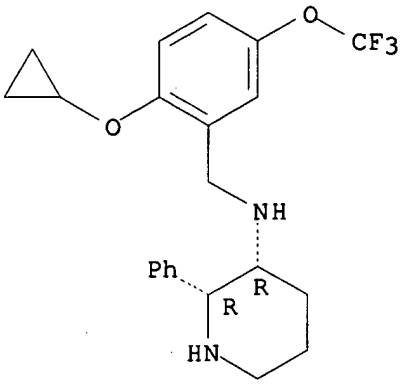
AB The invention provides the use of an orally active, long acting, CNS-penetrant NK-1 receptor antagonist, in an oral medicament for the treatment or prevention of severe anxiety disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, Grignard reaction with CH2:C(CH2OPh)CH2Cl, cyclization to give an oxaazaspirodecane system, and ozonolysis of the introduced methylene group, to give (5R,6S)-3-oxo-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]decane. This ketone was converted to an enol triflate, followed by stannylation, etherification, deprotective hydrogenolysis of an introduced benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I, isolated as the HCl salt. Another compd., II, bound to human NK-1 receptor with an IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating severe anxiety disorders)

RN 208831-17-8 CAPLUS

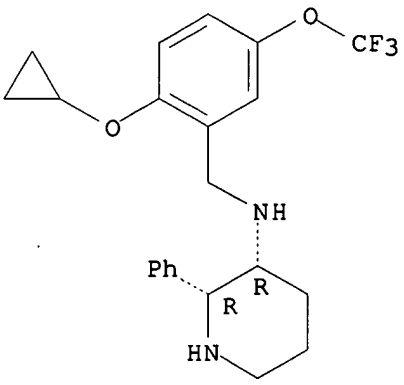
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

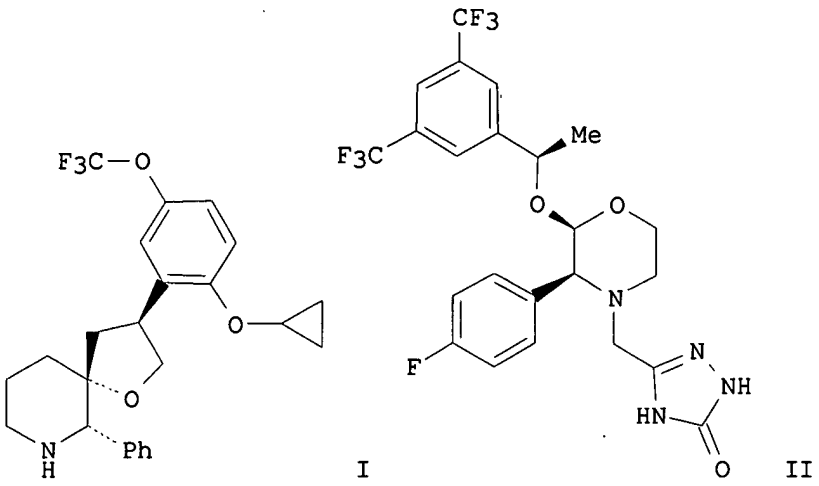
=> D BIB ABS HITSTR 12

L19 ANSWER 12 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1998:394210 CAPLUS
DN 129:67780
TI Use of NK-1 receptor antagonists for treating major depressive disorders
IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; et al.
PA Merck Sharp & Dohme Limited, UK; Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824438	A1	19980611	WO 1997-EP6682	19971125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9855589	A1	19980629	AU 1998-55589	19971125

PRAI	GB 1996-25051	19961202
	GB 1997-1459	19970124
	GB 1997-13715	19970627
	GB 1997-16485	19970804
	GB 1997-21190	19971007
	WO 1997-EP6682	19971125

GI



I II

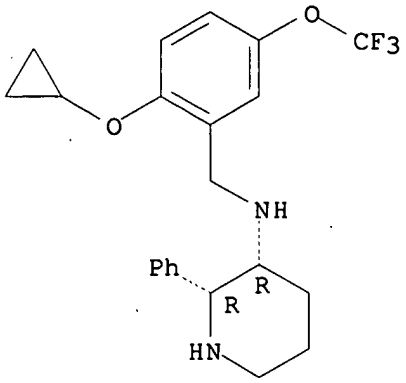
AB The invention provides the use of a CNS-penetrant NK-1 receptor antagonist in an oral, once-a-day medicament for the treatment of major depressive disorders. Also provided are methods of treatment using such an NK-1 receptor antagonist, and pharmaceutical compns. comprising it. Compds. from six prior patent applications, and 15 compds. in particular, are mentioned in claims. Synthetic prepns. of 3 such compds. are given in detail. For instance, (2S,3S)-1-(tert-butoxycarbonyl)-3-hydroxy-2-phenylpiperidine underwent a sequence of alc. oxidn. to the ketone, Grignard reaction with CH2:C(CH2OPh)CH2Cl, cyclization to give an oxaazaspirodecane system, and ozonolysis of the introduced methylene group, to give (5R,6S)-3-oxo-6-phenyl-1-oxa-7-(tert-butoxycarbonyl)-7-azaspiro[4.5]decane. This ketone was converted to an enol triflate, followed by stannylation, etherification, deprotective hydrogenolysis of an introduced benzyl ether, etherification with 1-iodocyclopropyl Ph sulfide, reductive removal of the PhS moiety, and acidic removal of the BOC group, to give title compd. I, isolated as the HCl salt. Another compd., II, bound to human NK-1 receptor with IC50 of 0.1 nM. II was also active as an NK-1 antagonist in vivo, and in particular in the gerbil foot-tapping test, the ferret cisplatin-induced emesis test, and the guinea pig vocalization assay.

IT **208831-17-8P 208831-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of NK-1 receptor antagonists for treating major depressive disorders)

RN 208831-17-8 CAPLUS

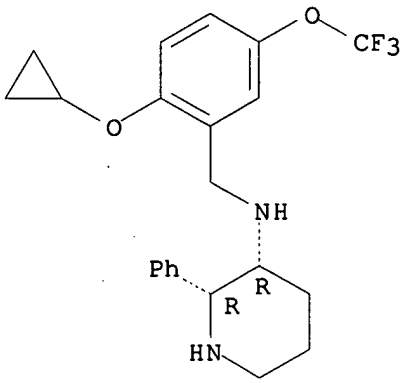
CN 3-Piperidinamine, N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 208831-18-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(cyclopropyloxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



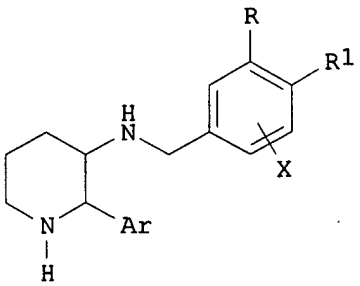
● 2 HCl

=> D BIB ABS HITSTR 13

L19 ANSWER 13 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1997:278969 CAPLUS
DN 126:264015
TI Preparation of substituted benzylaminopiperidines as substance P antagonists
IN Satake, Kunio; Shishido, Yuji; Wakabayashi, Hiroaki
PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.; Satake, Kunio; Shishido, Yuji; Wakabayashi, Hiroaki
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9708144	A1	19970306	WO 1996-IB572	19960610
	W: AU, BG, BR, BY, CA, CN, CZ, HU, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2227814	AA	19970306	CA 1996-2227814	19960610
	AU 9657769	A1	19970319	AU 1996-57769	19960610
	AU 702698	B2	19990304		
	EP 861235	A1	19980902	EP 1996-914375	19960610
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI				
	CN 1193961	A	19980923	CN 1996-196503	19960610
	JP 10510554	T2	19981013	JP 1996-510015	19960610
	NO 9800751	A	19980223	NO 1998-751	19980223
PRAI	WO 1995-IB683	19950824			
	JP 1988-I B9500683	19950824			
	WO 1996-IB572	19960610			

OS MARPAT 126:264015
GI



I

AB The title compds. [I; R = halo C1-C8 alkyl, halo C2-C8 alkenyl, halo C2-C8

alkynyl, etc.; R1 = H, halo, C1-C6 alkoxy; RR1 = (un)substituted fused C4-C6 cycloalkyl (wherein one carbon atom is optionally replaced by oxygen); X = C1-C6 alkoxy, halo C1-C6 alkoxy, PhO, halo; Ar = halo (un)substituted Ph], useful in treating a gastrointestinal disorder, a central nervous system (CNS) disorder, an inflammatory disease, emesis, urinary incontinence, pain, migraine, sunburn, diseases, disorders and adverse conditions caused by Helicobacter pylori, or angiogenesis in a mammalian subject, esp. humans, were prepd. Thus, reaction of (2S,3S)-2-phenylpiperidin-3-amine.2HCl with 2-fluoro-5-trifluoromethylbenzaldehyde in the presence of NaBH(OAc)3 in CH2Cl2 afforded (2S,3S)-I.2HCl [R = 5-CF3; R1 = H; X = 2-F; Ar = Ph]. Compds. I are effective at 0.06-2 mg/kg/day.

IT **188725-60-2P 188725-84-0P**

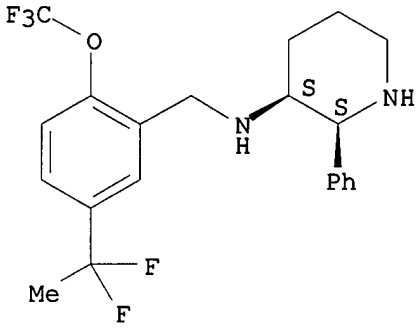
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted benzylaminopiperidines as substance P antagonists)

RN 188725-60-2 CAPLUS

CN 3-Piperidinamine, N-[[5-(1,1-difluoroethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

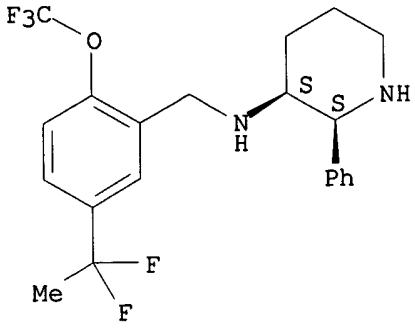


● 2 HCl

RN 188725-84-0 CAPLUS

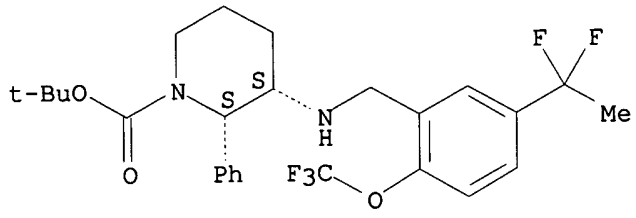
CN 3-Piperidinamine, N-[[5-(1,1-difluoroethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **188726-05-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted benzylaminopiperidines as substance P antagonists)
RN 188726-05-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[[5-(1,1-difluoroethyl)-2-(trifluoromethoxy)phenyl]methyl]amino]-2-phenyl-, 1,1-dimethylethyl ester,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



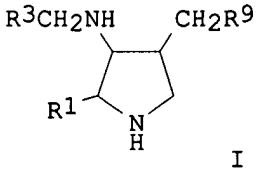
=> D BIB ABS HITSTR 14

L19 ANSWER 14 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1997:140417 CAPLUS
DN 126:199447
TI Azanorbornane derivatives as substance P receptor antagonists
IN O'Neill, Brian T.
PA Pfizer Inc., USA
SO U.S., 25 pp. Cont.-in-part of U.S. Ser. No. 719,889, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

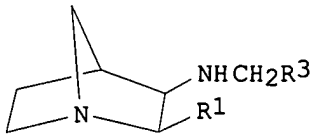
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5604252	A	19970218	US 1993-167851	19931214
	WO 9300330	A2	19930107	WO 1992-US4697	19920611
	WO 9300330	A3	19930304		

W: AU, CA, FI, HU, JP, KR, NO, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

PRAI US 1991-719889 19910621
WO 1992-US4697 19920611
US 1991-719884 19910621
OS MARPAT 126:199447
GI



I



II

AB Pyrrolidines I and azanorbornanes II [R1 = Ph, Ph2CH; R3 = 2-MeOC6H4, 2-CF3OC6H4, 2,5-MeO(CF3O)C6H3, 2,5-(MeO)ClC6H3, 2,5-MeO(Me2CH)C6H3, 2,5-MeO(EtMeCH)C6H3, 2,5-MeO(Me3C)C6H3, 2,4,5-(MeO)Me2C6H2, 2,5-Me(Me3C)C6H3; R9 = CO2H, CH2OH, CH2OMe, CONMe2] are substance P receptor antagonists for inclusion in antipsychotic pharmaceutical compns.

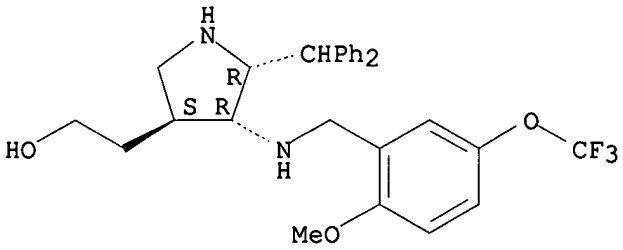
I (R1 = Ph, R3 = 2-MeOC6H4, R9 = CH2OH), prepd. via cycloaddn. of PhCH2NHCH:CHCO2Me with PhCH:CHNO2, epimerization, redn., and condensation with 2-MeOC6H4CHO, was cyclized to II via treatment with SOCl2 in CH2Cl2 followed by DBU in MeCN.

IT **187799-21-9P 187799-29-7P 187799-34-4P**
187799-63-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolidine and azanorbornane derivs. as substance P receptor antagonists)

RN 187799-21-9 CAPLUS
CN 3-Pyrrolidineethanol, 5-(diphenylmethyl)-4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-, (3.alpha.,4.beta.,5.beta.)-(9CI)

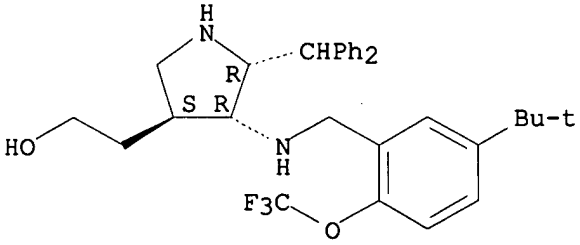
(CA INDEX NAME)

Relative stereochemistry.



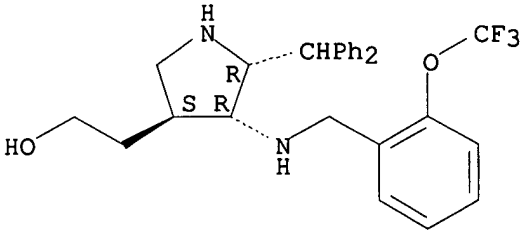
RN 187799-29-7 CAPLUS
CN 3-Pyrrolidineethanol, 4-[[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]amino]-5-(diphenylmethyl)-, (3.alpha.,4.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



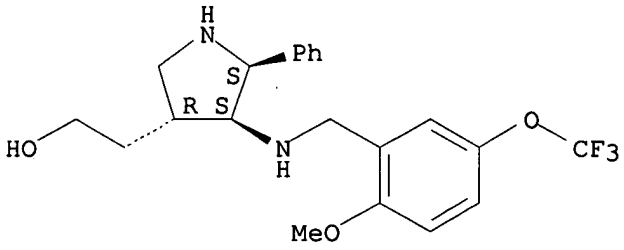
RN 187799-34-4 CAPLUS
CN 3-Pyrrolidineethanol, 5-(diphenylmethyl)-4-[[[2-(trifluoromethoxy)phenyl]methyl]amino]-, (3.alpha.,4.beta.,5.beta.)-(9CI)
(CA INDEX NAME)

Relative stereochemistry.



RN 187799-63-9 CAPLUS
CN 3-Pyrrolidineethanol, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-5-phenyl-, (3.alpha.,4.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

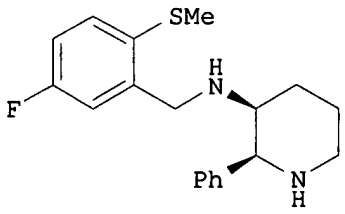


=> D BIB ABS HITSTR 15

L19 ANSWER 15 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1996:646442 CAPLUS
DN 125:300828
TI Nonaromatic heterocycles containing substituted benzylamine nitrogen, useful as substance P receptor antagonists.
IN Howard, Harry R., Jr.; Ikunaka, Masaya; Ito, Fumitaka; Lowe, John A., III;
Nakane, Masami; O'Neill, Brian T.
PA Pfizer Inc., USA
SO Span., 52 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI ES 2087813	A1	19960716	ES 1993-1771	19930809
ES 2087813	B1	19970201		

OS MARPAT 125:300828
GI



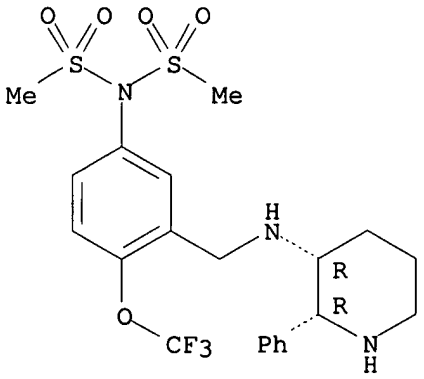
II

AB Title compds. R1A(W)CH2NR2R3 (I) are claimed [wherein A = benzene, naphthalene, thiophene, dihydroquinoline, or indoline nucleus (amine-bearing sidechain is attached to a ring C atom); W = H, alkyl, alkylthio, halo, (fluoro)alkoxy; R1 = (un)substituted amino, alkyl- or arylthio, -sulfinyl, or -sulfonyl, aryloxy, etc.; R2 = H, alkoxycarbonyl; R3 = various N-contg. aliph. mono-, bi-, and polycyclic systems, attached at a C atom], as well as their pharmaceutically acceptable salts. I are substance P receptor antagonists (no data), useful as antiinflammatories, CNS agents, etc. Examples cover prepn. of approx. 60 invention compds., 50 intermediates, plus a variety of salts and/or free bases. For example,
formylation of p-FC6H4SMe with MeOCHCl2 and TiCl4 gave 5-fluoro-2-(methylthio)benzaldehyde, which underwent reductive amination with cis-3-amino-6-oxo-2-phenylpiperidine and subsequent redn. of the oxo group with BH3.THF to give title compd. II.
IT **160502-52-3P 160502-54-5P 160502-94-3P**
160503-06-0P 160503-08-2P 160503-30-0P
182822-60-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of nonarom. heterocyclic benzylamine derivs. as substance P receptor antagonists)

RN 160502-52-3 CAPLUS
CN Methanesulfonamide, N-(methylsulfonyl)-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

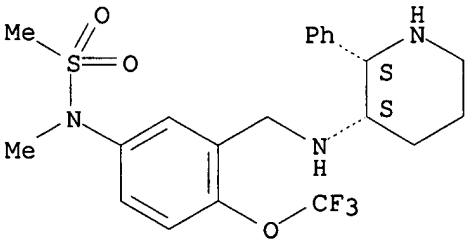
Relative stereochemistry.



● 2 HCl

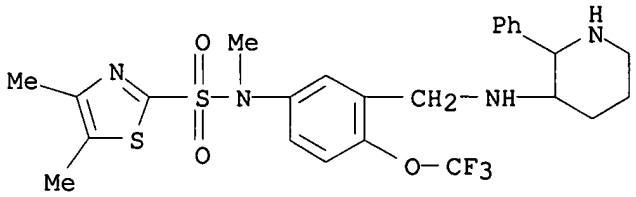
RN 160502-54-5 CAPLUS
CN Methanesulfonamide, N-methyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

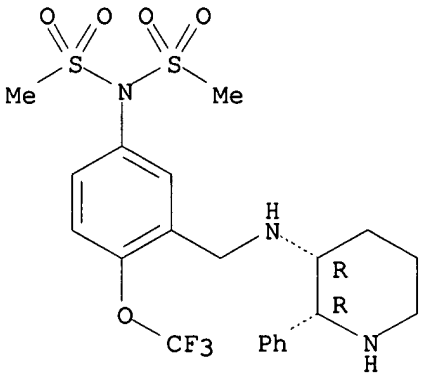
RN 160502-94-3 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

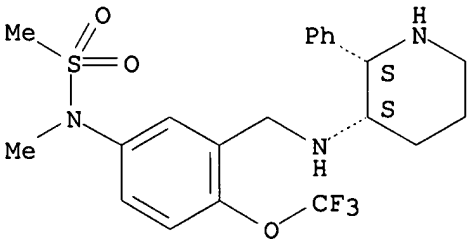
RN 160503-06-0 CAPLUS
CN Methanesulfonamide, N-(methylsulfonyl)-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 160503-08-2 CAPLUS
CN Methanesulfonamide, N-methyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

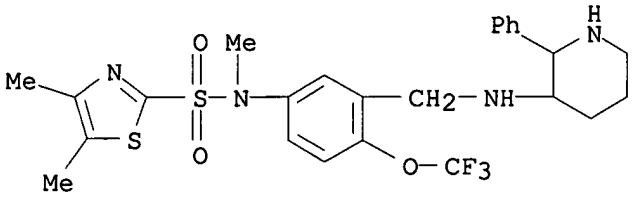
Relative stereochemistry.



RN 160503-30-0 CAPLUS

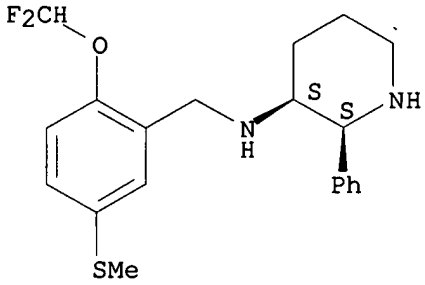
Searched by John Dantzman 308-4488

CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 182822-60-2 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(methylthio)phenyl]methyl]-2-phenyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

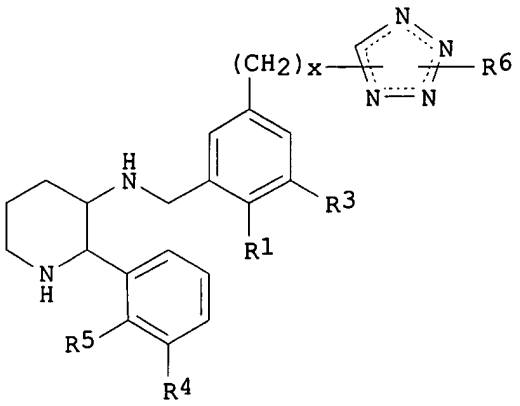


=> D BIB ABS HITSTR 16

L19 ANSWER 16 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1996:537692 CAPLUS
DN 125:195658
TI Preparation of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amino]piperidine tachykinin antagonists
IN Armour, Duncan Robert; Giblin, Gerald Martin Paul; Pennell, Andrew Michael
Kenneth; Sharratt, Peter John
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9621661	A1	19960718	WO 1996-EP82	19960110
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
	AU 9644378	A1	19960731	AU 1996-44378	19960110
	EP 802912	A1	19971029	EP 1996-900578	19960110
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	JP 10511973	T2	19981117	JP 1996-521428	19960110
PRAI	GB 1995-549	19950112			
	GB 1995-5639	19950321			
	GB 1995-5640	19950321			
	WO 1996-EP82	19960110			

OS MARPAT 125:195658
GI



I

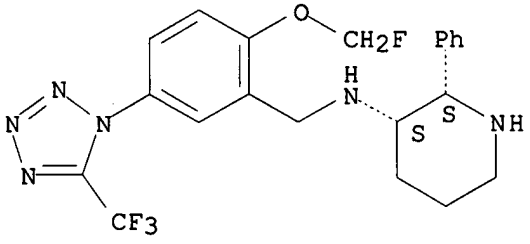
AB The title compds. [I; R1 = (cycloalkyl)alkyloxy, fluoroalkyloxy, etc.; R3 = H, halogen; R4, R5 = H, halogen, C1-4 alkyl, C1-4 alkoxy, CF3, etc.; R6 = H, C1-4 alkyl, (cyclopropyl)alkyl, Ph, etc.], useful in the treatment of diseases mediated by tachykinins, are prepd. and I-contg. formulations presented. Thus, (2S)-phenylpiperidin-(3S)-ylamine was reacted with 2-cyclopentoxy-5-tetrazol-1-ylbenzaldehyde with triacetoxyborohydride followed by treatment with HCl, producing (2-cyclopentoxy-5-tetrazol-1-ylbenzyl)-([2S,3S]-2-phenylpiperidin-3-yl)amine dihydrochloride.

IT **180574-19-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amino]piperidine tachykinin antagonists)

RN 180574-19-0 CAPLUS

CN 3-Piperidinamine, N-[[2-(fluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



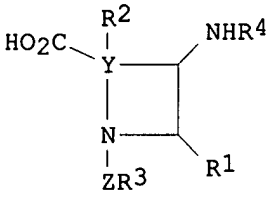
● 2 HCl

=> D BIB ABS HITSTR 17

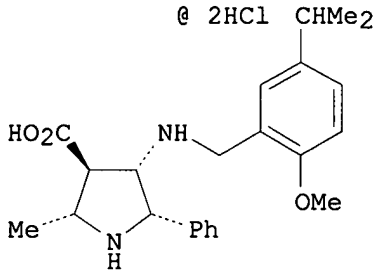
L19 ANSWER 17 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1995:826481 CAPLUS
DN 123:227980
TI Preparation of 3-amino-5-carboxypiperidine and 3-amino-4-carboxypyrrolidine tachykinin antagonists
IN Ikunaka, Masaya; Shishido, Yuuji; Nakane, Masami
PA Pfizer Inc., USA; Pfizer Pharmaceuticals Inc.
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9507886	A1	19950323	WO 1994-JP1514	19940913
W: CA, FI, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2171637	AA	19950323	CA 1994-2171637	19940913
EP 719253	A1	19960703	EP 1994-926394	19940913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10509414	T2	19980914	JP 1994-509087	19940913
FI 9601239	A	19960315	FI 1996-1239	19960315
PRAI JP 1993-255064	19930917			
WO 1994-JP1514	19940913			

OS MARPAT 123:227980
GI



I



II

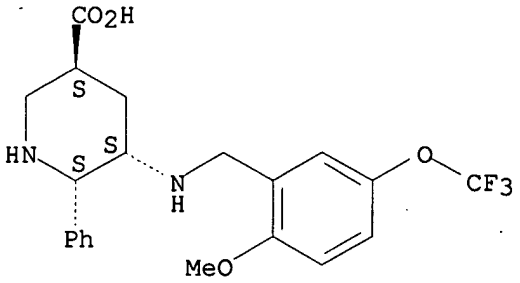
AB The title compds. [I; R1 = (un)substituted Ph, biphenyl, indolyl, naphthyl, thienyl, furyl, pyridyl, etc.; R2 = H, C1-6 alkyl; R3 = H, CN, OH, NH2, CO2H; R4 = (un)substituted PhCH2, (un)substituted heterocyclyl; Y = C2-4 alkylene; Z = direct bond, C1-6 alkylene], useful as tachykinin antagonists (no data) for the treatment of gastrointestinal (no data) and CNS disorders (no data), are prepd. Thus, (2S,3S,4S,5R)-4-carboxy-3-[N-(5-isopropyl-2-methoxybenzyl)amino]-5-methyl-2-phenylpyrrolidine dihydrochloride, II, was prepd. in 27 steps from PhCHO.
IT **168321-02-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(claimed compd.; prepn. of 3-amino-5-carboxypiperidine and 3-amino-4-carboxypyrrolidine tachykinin antagonists)

RN 168321-02-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **168320-99-8P 168321-01-5P**

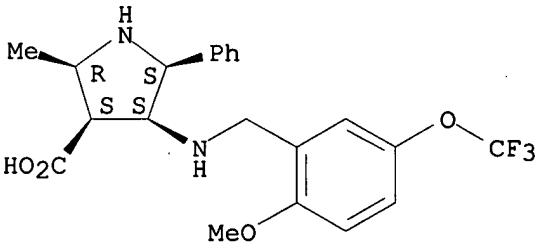
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3-amino-5-carboxypiperidine and 3-amino-4-carboxypyrrolidine tachykinin antagonists)

RN 168320-99-8 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-methyl-5-phenyl-, dihydrochloride, (2.alpha.,3.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 2 HCl

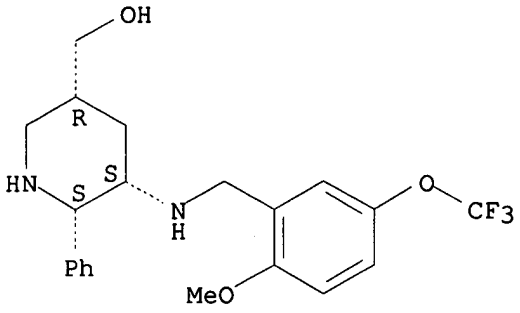
RN 168321-01-5 CAPLUS

CN 3-Piperidinemethanol, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, (3.alpha.,5.alpha.,6.alpha.)-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 168321-00-4
CMF C21 H25 F3 N2 O3
CDES 2:3A,5A,6A

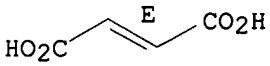
Relative stereochemistry.



CM 2

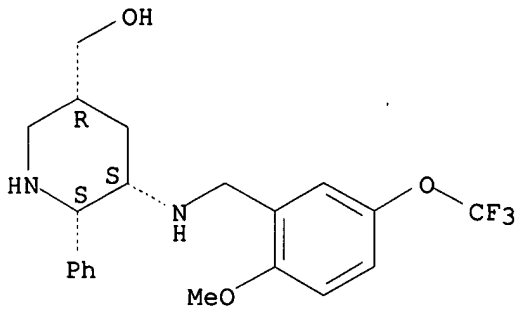
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



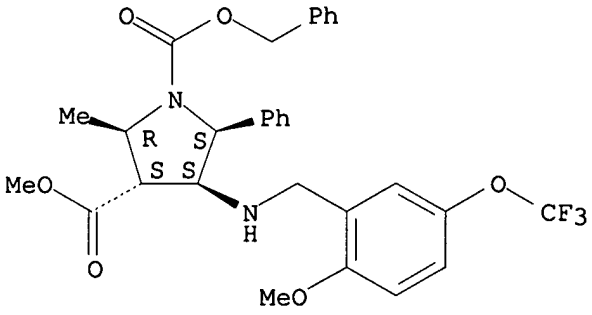
IT **168321-00-4P 168321-25-3P 168321-26-4P**
168321-44-6P 168321-45-7P 168321-46-8P
168321-47-9P 168321-49-1P 168321-50-4P
168321-51-5P 168321-59-3P 168321-60-6P
168321-61-7P 168321-62-8P 168321-64-0P
168608-23-9P 168608-24-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-amino-5-carboxypiperidine and 3-amino-4-carboxypyrrolidine tachykinin antagonists from)
RN 168321-00-4 CAPLUS
CN 3-Piperidinemethanol, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, (3.alpha.,5.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



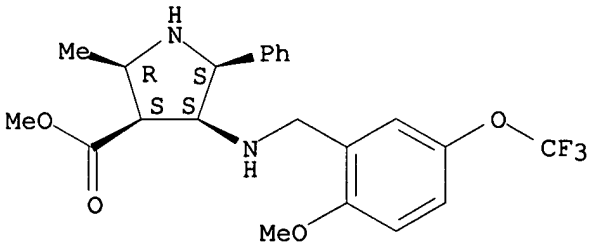
RN 168321-25-3 CAPLUS
CN 1,3-Pyrrolidinedicarboxylic acid, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-methyl-5-phenyl-, 3-methyl 1-(phenylmethyl) ester, (2.alpha.,3.beta.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



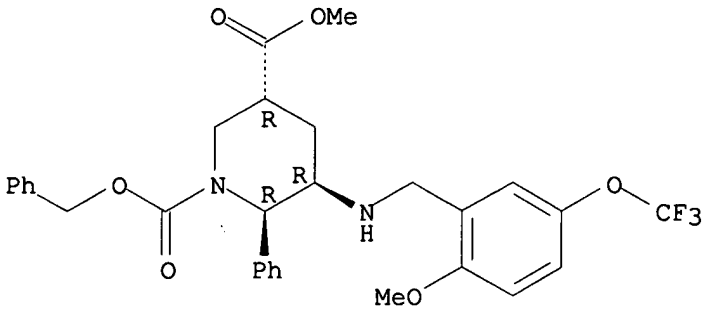
RN 168321-26-4 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-methyl-5-phenyl-, methyl ester, (2.alpha.,3.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



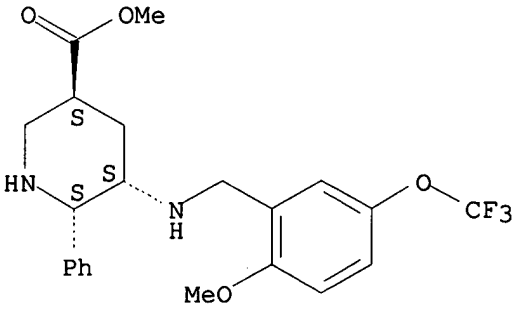
RN 168321-44-6 CAPLUS
CN 1,3-Piperidinedicarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, 3-methyl 1-(phenylmethyl) ester, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



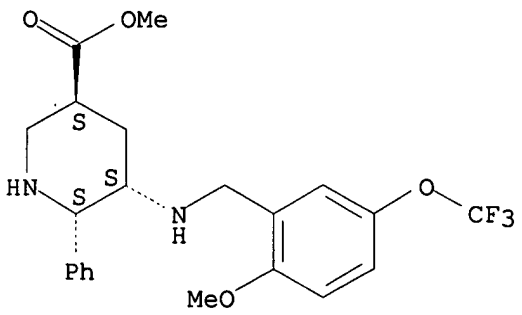
RN 168321-45-7 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, methyl ester, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168321-46-8 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, methyl ester, dihydrochloride, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

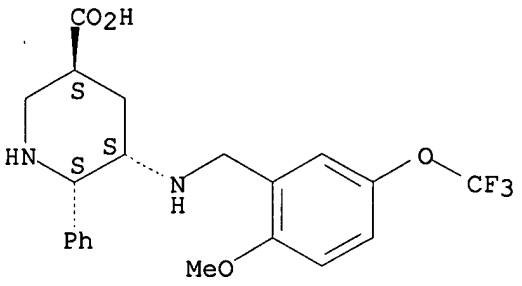
Relative stereochemistry.



● 2 HCl

RN 168321-47-9 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, dihydrochloride, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

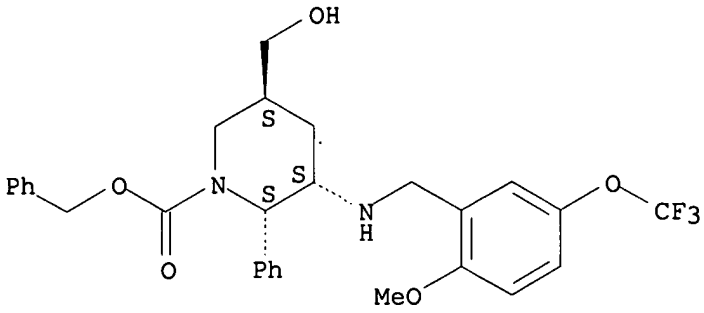
Relative stereochemistry.



● 2 HCl

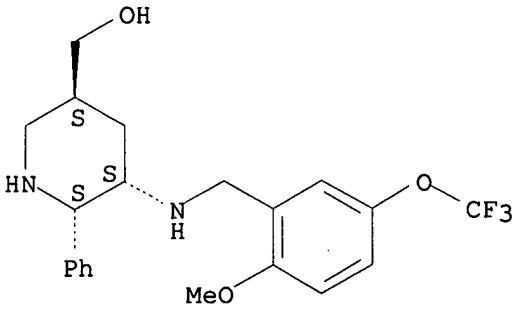
RN 168321-49-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 5-(hydroxymethyl)-3-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-phenyl-, phenylmethyl ester, (2.alpha.,3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



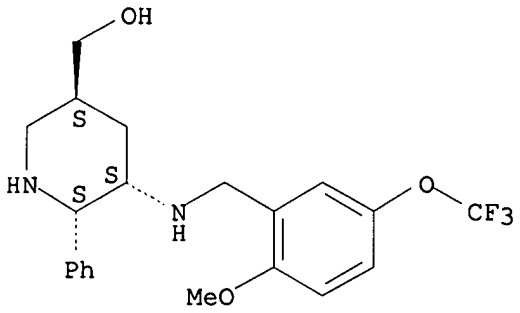
RN 168321-50-4 CAPLUS
CN 3-Piperidinemethanol,
5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]ami
no]-6-phenyl-, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168321-51-5 CAPLUS
CN 3-Piperidinemethanol,
5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]ami
no]-6-phenyl-, dihydrochloride, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA
INDEX NAME)

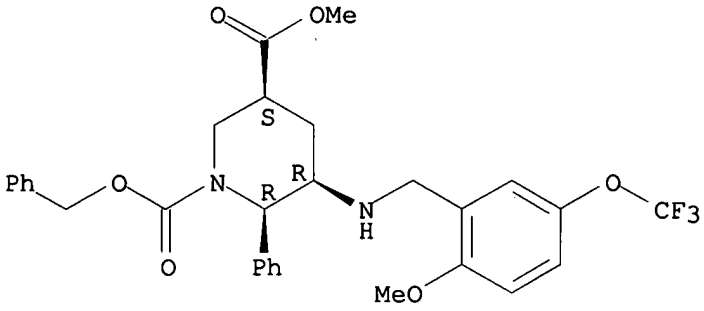
Relative stereochemistry.



● 2 HCl

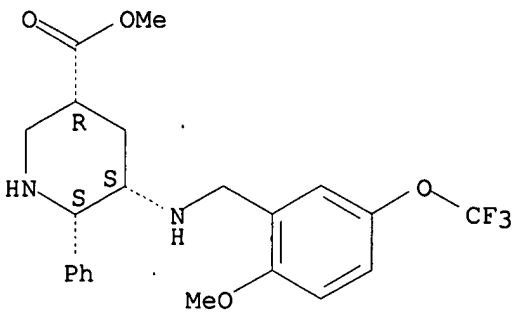
RN 168321-59-3 CAPLUS
CN 1,3-Piperidinedicarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, 3-methyl 1-(phenylmethyl) ester, (3.alpha.,5.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168321-60-6 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, methyl ester, (3.alpha.,5.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

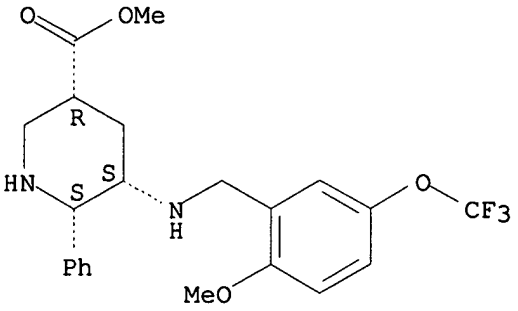


RN 168321-61-7 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, methyl ester, (3.alpha.,5.alpha.,6.alpha.)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 168321-60-6
CMF C22 H25 F3 N2 O4
CDES 2:3A,5A,6A

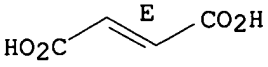
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

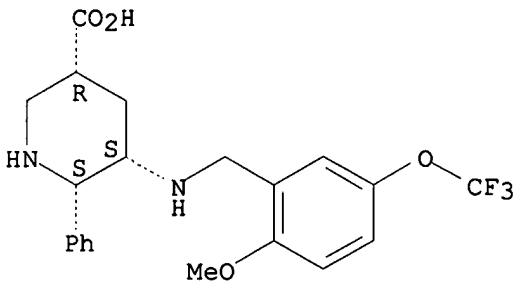
Double bond geometry as shown.



RN 168321-62-8 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, dihydrochloride,

(3.alpha.,5.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

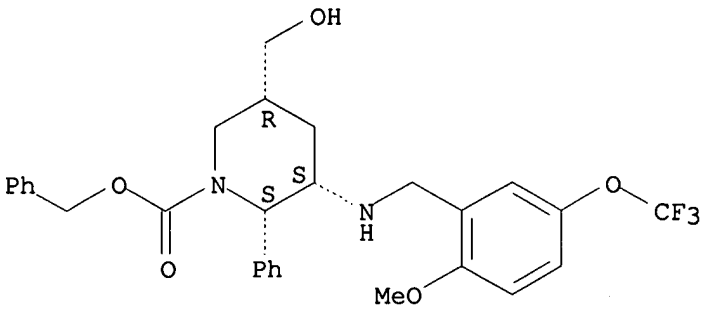
Relative stereochemistry.



● 2 HCl

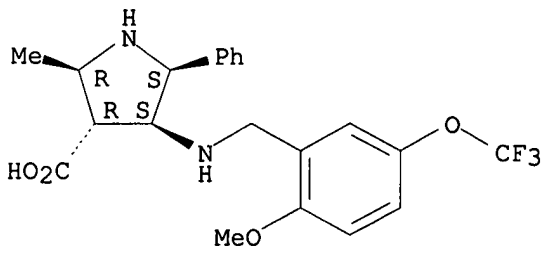
RN 168321-64-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 5-(hydroxymethyl)-3-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-phenyl-, phenylmethyl ester, (2.alpha.,3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168608-23-9 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-methyl-5-phenyl-, dihydrochloride, (2.alpha.,3.beta.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

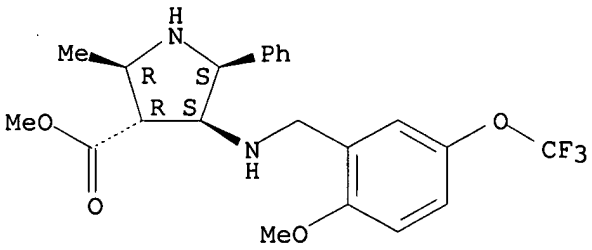
Relative stereochemistry.



● 2 HCl

RN 168608-24-0 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 4-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-2-methyl-5-phenyl-, methyl ester, (2.alpha.,3.beta.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



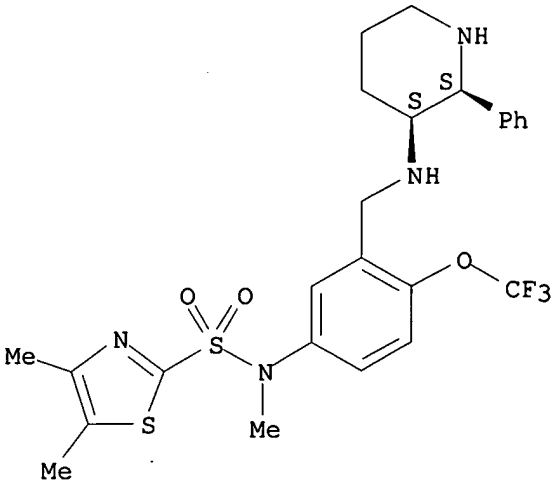
=> D BIB ABS HITSTR 18

L19 ANSWER 18 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1995:638415 CAPLUS
DN 123:83357
TI Preparation of heteroarylamino and heteroarylsulfonamido substituted 3-benzylaminomethyl piperidines and related compounds as drugs
IN Howard, Harry R., Jr.
PA Pfizer Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507908	A1	19950323	WO 1994-IB221	19940718
	W: AU, BR, CA, CN, CZ, HU, JP, KR, NO, NZ, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2171972	AA	19950323	CA 1994-2171972	19940718
	AU 9470821	A1	19950403	AU 1994-70821	19940718
	EP 719266	A1	19960703	EP 1994-919809	19940718
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08509987	T2	19961022	JP 1994-509076	19940718
	FI 9404310	A	19950318	FI 1994-4310	19940916
	US 5703065	A	19971230	US 1996-615257	19960507
PRAI	US 1993-123306	19930917			
	WO 1994-IB221	19940718			

OS MARPAT 123:83357
GI For diagram(s), see printed CA Issue.
AB Title compds. I (ring A = aryl, heterocyclyl and wherein the R3PCH2 sidechain is attached to a C if ring A;P = substituted-N, O, S, OS, O2S;
Q
= O2S, HN, (substituted) C1-6-alkyl-N, etc.; W = H, C1-6 alkyl, C1-3 alkyl-S, halo, (substituted)C1-6 alkoxy; R1 = S, (substituted) heterocyclyl; R3 = substituted heterocyclyl) or a salt thereof, useful in treatment of inflammatory and central nervous system disorders as well as other disorders (no data), are prepd. I are also useful as substance P receptor antagonists. 2-Methoxy-5-[N-methyl-N-(2,4-dimethyl-5-thiazolesulfonyl)amino]benzaldehyde (prepn. given) was added to (+)-(2S,3S)-3-amino-2-phenylpiperidine to give after workup the title compd. (2S,3S)-II as the dihydrochloride.
IT **164154-76-1P 164154-85-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarylamino and heteroarylsulfonamido substituted 3-benzylaminomethyl piperidines and related compds. as drugs)
RN 164154-76-1 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, trihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

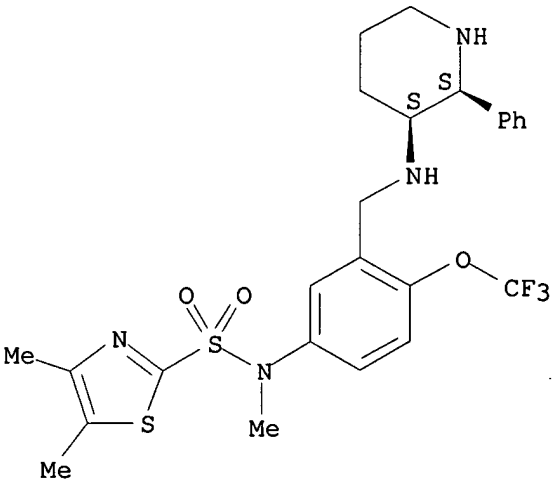
Absolute stereochemistry.



● 3 HCl

RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 19

L19 ANSWER 19 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1995:315540 CAPLUS
DN 122:105856
TI Preparation of substituted benzylamino nitrogen containing non-aromatic heterocycles and their pharmaceutical compositions as substance P receptor antagonists
IN Howard, Harry R., Jr.; Ikunaka, Masaya; Ito, Fumitaka; Lowe, John A., III; Nakane, Masami; O'Neill, Brian T.; Rosen, Terry R.; Satake, Kunio
PA Pfizer Inc., USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9404496	A1	19940303	WO 1993-US4063	19930505
	W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 655996	A1	19950607	EP 1993-910925	19930505
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 07508755	T2	19950928	JP 1993-506227	19930505
	CN 1088917	A	19940706	CN 1993-109599	19930818
	US 5721255	A	19980224	US 1995-387765	19950215
PRAI	US 1992-932392		19920819		
	WO 1993-US4063		19930505		

OS MARPAT 122:105856
GI For diagram(s), see printed CA Issue.
AB Title compds. I [ring A is an aryl group selected from Ph, naphthyl, thienyl, dihydroquinolinyl, indolinyl; CH2NR2R3 side chain is attached to a C atom of ring A; W = H, C1-6 alkyl, S-(C1-3) alkyl, halo, C1-6 alkoxy optionally substituted with 1-3 F atoms; R1 = a variety of amino, amido, and S(O)v-contg. groups (v = 0-2), etc.; R2 = H, CO2(C1-10 alkyl); R3 = a wide variety of substituted N-contg. satd. heterocycles] are prepd. as substance P receptor antagonists. The novel compds. I are useful in the treatment of inflammatory and central nervous system disorders, as well as other disorders (no data). Included are pharmaceutical compns. for use in treatment or prevention of inflammatory diseases, anxiety, colitis, depression or dysthymic disorders, psychosis, pain, allergies, chronic obstructive airways disease, hypersensitivity disorders, vasospastic diseases, fibrosing and collagen diseases, reflex sympathetic dystrophy, addiction disorders, stress related somatic disorders, peripheral neuropathy, neuralgia, neuropathol. disorders, disorders related to immune enhancement or suppression and rheumatic disease in a mammal. Some of the 62 example compds. of the invention for which the prepns. and characterization data are described include cis-3-(5-fluoro-2-methylthiobenzyl)amino-2-phenylpiperidine dihydrochloride,

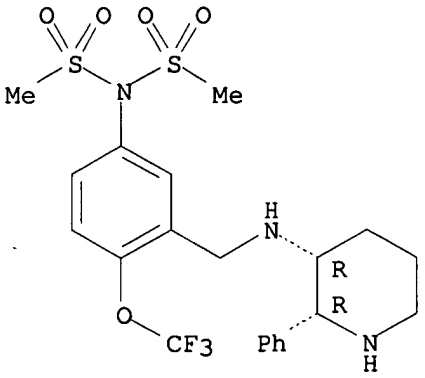
(+)-(2S,3S)-3-[2-methoxy-5-(N-isopropyl-N-methanesulfonylamino)benzyl]amin
o-2-phenylpiperidine dihydrochloride,
(1SR,2SR,3SR,4RS)-3-(2-methoxy-5-(N-
methyl-N-methanesulfonylamino)benzyl)amino-2-benzhydryl-
[2.2.1]azanorbornane dihydrochloride, and (2S,3S)-N-(2-methoxy-5-
methylthiophenyl)methyl-2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine
mesylate.

IT **160502-52-3P 160502-54-5P 160502-94-3P**
160503-06-0P 160503-08-2P 160503-30-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as substance P receptor antagonist)

RN 160502-52-3 CAPLUS

CN Methanesulfonamide, N-(methylsulfonyl)-N-[3-[[(2-phenyl-3-
piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, dihydrochloride,
cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

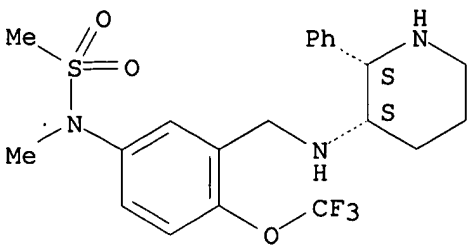


● 2 HCl

RN 160502-54-5 CAPLUS

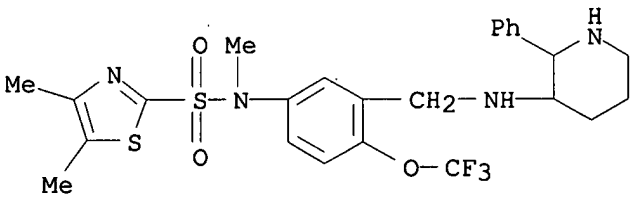
CN Methanesulfonamide,
N-methyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-
4-(trifluoromethoxy)phenyl]-, dihydrochloride, cis- (9CI) (CA INDEX
NAME)

Relative stereochemistry.



● 2 HCl

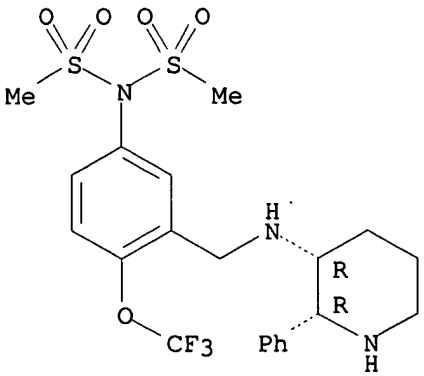
RN 160502-94-3 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 160503-06-0 CAPLUS
CN Methanesulfonamide, N-(methylsulfonyl)-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

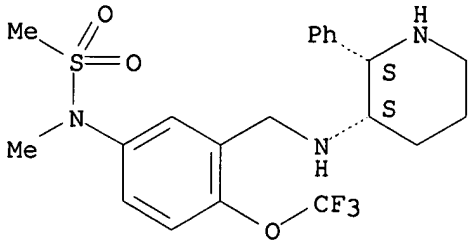
Relative stereochemistry.



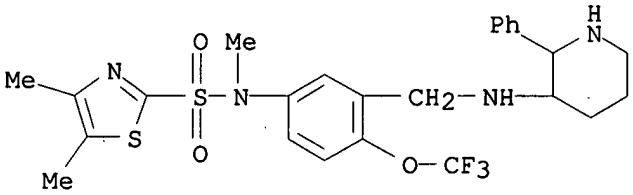
Searched by John Dantzman 308-4488

RN 160503-08-2 CAPLUS
CN Methanesulfonamide, N-methyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 160503-30-0 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

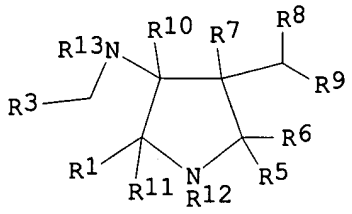


=> D BIB ABS HITSTR 20

L19 ANSWER 20 OF 20 CAPLUS COPYRIGHT 1999 ACS
AN 1993:408677 CAPLUS
DN 119:8677
TI Preparation of pyrrolidines and azabicicylo[2-2.1]heptanes as substance P antagonists
IN O'Neill, Brian Thomas
PA Pfizer Inc., USA
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9300330	A2	19930107	WO 1992-US4697	19920611
	WO 9300330	A3	19930304		
	W: AU, CA, FI, HU, JP, KR, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	CA 2111335	AA	19930107	CA 1992-2111335	19920611
	AU 9221889	A1	19930125	AU 1992-21889	19920611
	EP 591333	A1	19940413	EP 1992-913342	19920611
	EP 591333	B1	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06504068	T2	19940512	JP 1992-501492	19920611
	JP 07088356	B4	19950927		
	HU 68957	A2	19950828	HU 1993-3666	19920611
	AT 149497	E	19970315	AT 1992-913342	19920611
	ZA 9204527	A	19931220	ZA 1992-4527	19920619
	US 5604252	A	19970218	US 1993-167851	19931214
	NO 9304727	A	19931220	NO 1993-4727	19931220
PRAI	US 1991-719884	19910621			
	US 1991-719889	19910621			
	WO 1992-US4697	19920611			

OS MARPAT 119:8677
GI



I

AB Title compds. [I; R1 = H, alkyl, (N-, O-, or S-interrupted) cycloalkyl, (substituted) (hetero)aryl, PhCH2, benzhydryl, phenylalkyl; R3 = (N-, O-, or S-interrupted) cycloalkyl, (substituted) (hetero)aryl; one of R5,R6 = H, the other = HOCH2, H, alkyl, acyloxyalkyl, alkoxymethyl, PhCH2OCH2; R7,R8 = H, alkyl, Ph; R9 = Me, HOCH2, CHO, aminocarbonyloxy(methyl),

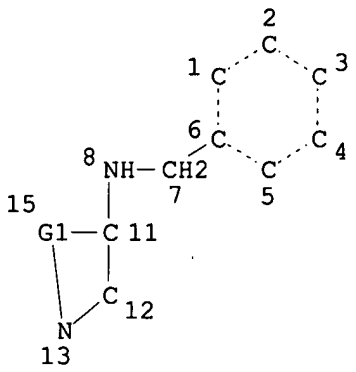
alkoxycarbonyloxymethyl, carbamoyl, PhCH2CO2CH2, halomethyl, PhCH(OH), etc.; R10,R11 = H, alkyl, Ph; R12 = H, PhCH2, (substituted) alkyl, alkenyl, alkynyl, etc.; R13= H, alkyl, Ph; R9 may be bonded to the pyrrolidine N to form another pyrrolidine ring], were prepd. as substance P antagonists (no data). Thus, Me 4-phenylmethylamino-1-butene-1-carboxylate (prepn. given) and 3,3-diphenyl-1-nitroprop-1-ene (prepn. given) were stirred in MeOH to give (2SR,3RS, 4RS)-1-phenylmethyl-2-diphenylmethyl-3-nitro-4-carbomethoxymethylpyrrolidine. This was converted to (1SR, 2SR, 3SR, 4RS)-1-aza-2-diphenylmethyl-3-(2-methoxyphenyl)methylaminobicyclo[2.2.1]heptane in several steps.

IT **147404-96-4P 147405-00-3P 147405-07-0P**
147405-13-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as substance P antagonist)

RN 147404-96-4 CAPLUS
RN 147405-00-3 CAPLUS
RN 147405-07-0 CAPLUS
RN 147405-13-8 CAPLUS

=> D QUE L17

L6 STR



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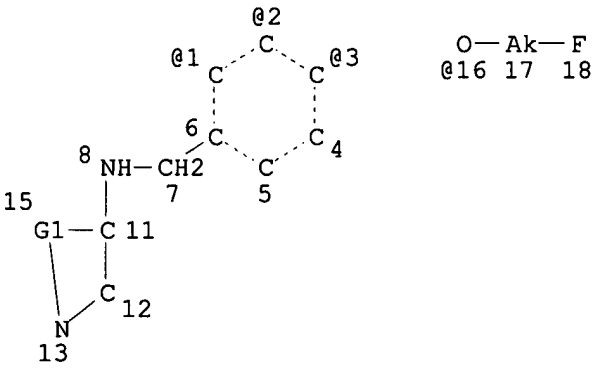
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L8 1243 SEA FILE=REGISTRY SSS FUL L6
L9 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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L16            9 SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 AND L11
L17           31 SEA FILE=CAPLUS ABB=ON  PLU=ON  L16
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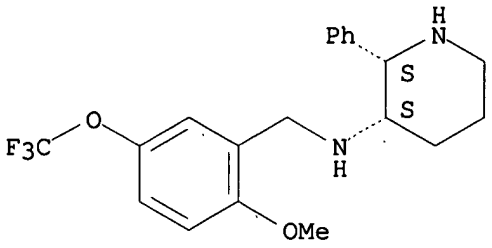
Searched by John Dantzman 308-4488

=> D BIB ABS HITSTR

L18 ANSWER 1 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1999:112906 CAPLUS
DN 130:320650
TI Inhibition of emesis by tachykinin NK1 receptor antagonists in Suncus murinus (house musk shrew)
AU Rudd, John A.; Ngan, Man P.; Wai, Man K.
CS Shatin, Faculty of Medicine, Department of Pharmacology, The Chinese University of Hong Kong, Hong Kong, Peop. Rep. China
SO Eur. J. Pharmacol. (1999), 366(2/3), 243-252
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English
AB The anti-emetic potential of CP-122721 ((+)-(2S,3S)-3-(2-methoxy-5-trifluoromethoxybenzyl)amino-2-phenylpiperidine), CP-99994 ((+)-(2S,3S)-3-(2-methoxybenzylamino)-2-phenylpiperidine), CP-100263 ((-)-(2R,3R)-3-(2-methoxybenzylamino)-2-phenylpiperidine), RP 67580 ((3R, 7aR)-7, 7-diphenyl-2-[1-imino-2-(2-methoxyphenyl)ethyl] po-hydroisoindol-4-one), FK 888 (N2-[(4R)-4-hydroxy-1-(1-methyl-1H-indole-3-yl) carbonyl-1-propyl] -N- methyl-N-phenylmethyl-1-3-(2-naphthyl)-alaninamide) and GR 82334 ([d-Pro9{spiro-g-lactam}Leu10]-physalemin-(1-11)) was investigated to inhibit nicotine (5 mg/kg, s.c.)-, copper sulfate pentahydrate (120 mg/kg, intragastric)- and motion (4 cm horizontal displacement at 1 Hz for 5 min)-induced emesis in Suncus murinus. A 30 min i.p. pre-treatment with CP-122721, CP-99994, RP 67580 and FK 888 significantly (P<0.05) antagonized nicotine-induced emesis with ID50 values of 2.1, 2.3, 13.5 and 19.2 mg/kg, resp. CP-100263, the less active enantiomer of CP-99994, was inactive at doses up to 10 mg/kg. Infusion of GR 82334, CP-122721, CP-99994 and FK 888 into the dorsal vagal complex of the hindbrain also antagonized nicotine-induced emesis yielding ID50 values of 1.1, 3.0, 3.3 and 58.0 .mu.g/dorsal vagal complex, resp. RP 67580 and CP-100263 were inactive. RP 67580 and FK 888 failed to antagonize copper sulfate-induced emesis but CP-122721 and CP-99994 were active yielding ID50 values of 2.2 and 3.0 mg/kg, i.p., resp. CP-99994 also completely prevented motion-induced emesis at 10 mg/kg, i.p. (P<0.05) and RP 67580 produced a significant redn. of motion-induced emesis at 10 mg/kg, i.p. (P<0.05). These studies provide evidence of a central site of action of tachykinin NK1 receptor antagonists to inhibit nicotine-induced emesis in S. murinus and confirm the broad profile of inhibitory action. The rank order of potency of the antagonists following the intra-dorsal vagal complex administration suggests that the S. murinus tachykinin NK1 receptor has a unique pharmacol. profile.
IT **145742-28-5**, CP-122721
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(inhibition of emesis by tachykinin NK1 receptor antagonists in Suncus murinus (house musk shrew))
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-

phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 2

L18 ANSWER 2 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:653671 CAPLUS
DN 129:270622
TI Use of NK-1 receptor antagonists for manufacture of a medicament for treating emesis
IN Nagahisa, Atsushi; Tsuchiya, Megumi; Silberman, Sandra Leta
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

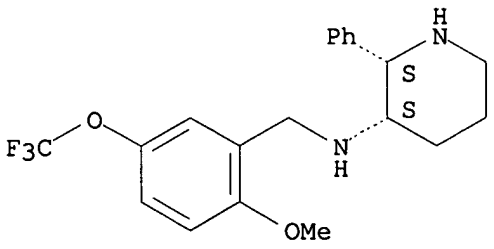
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 867182	A2	19980930	EP 1998-302214	19980324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 10287567	A2	19981027	JP 1998-75886	19980324
	CA 2233377	AA	19980928	CA 1998-2233377	19980326
	AU 9859660	A1	19981001	AU 1998-59660	19980326
PRAI	US 1997-42038		19970328		

AB Pharmaceutical compns. contg. (2S,3S)-3-(2-methoxy-5-trifluoromethoxybenzyl)amino-2-phenylpiperidine, (2S,3S)-N-(5-tert-butyl-2-methoxyphenyl)methyl-2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine, or (2S,3S)-N-(5-isopropyl-2-methoxyphenyl)methyl-2-diphenylmethyl-1-azabicyclo[2.2.2]octan-3-amine or their pharmaceutically acceptable salts are useful for preventing or treating delayed emesis in mammals such as occurs during chemotherapy with cisplatin (no data).

IT **145742-28-5**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of NK-1 receptor antagonists for treating emesis)

RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 3

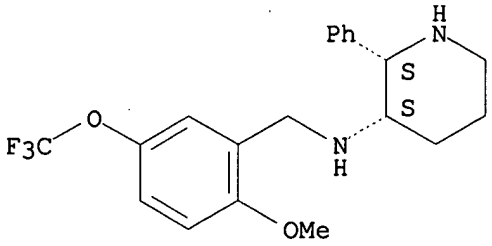
L18 ANSWER 3 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:632408 CAPLUS
DN 130:20189
TI Structural Optimization Affording 2-(R)-(1-(R)-3,5-Bis(trifluoromethyl)phenylethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-oxo-1,2,4-triazol-5-yl)methylmorpholine, a Potent, Orally Active, Long-Acting Morpholine Acetal Human NK-1 Receptor Antagonist
AU Hale, Jeffrey J.; Mills, Sander G.; MacCoss, Malcolm; Finke, Paul E.; Cascieri, Margaret A.; Sadowski, Sharon; Ber, Elzbieta; Chicchi, Gary G.; Kurtz, Marc; Metzger, Joseph; Eiermann, George; Tsou, Nancy N.; Tattersall, F. David; Rupniak, Nadia M. J.; Williams, Angela R.; Rycroft, Wayne; Hargreaves, Richard; MacIntyre, D. Euan
CS Merck Research Laboratories, Rahway, NJ, 07065, USA
SO J. Med. Chem. (1998), 41(23), 4607-4614
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Structural modifications requiring novel synthetic chem. were made to the morpholine acetal human neurokinin-1 (hNK-1) receptor antagonist L-742694, and this resulted in the discovery of 2-(R)-(1-(R)-3,5-bis(trifluoromethyl)phenylethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-oxo-1,2,4-triazol-5-yl)methyl morpholine (I). This modified compd. is a potent, long-acting hNK-1 receptor antagonist as evidenced by its ability to displace [125I]Substance P from hNK-1 receptors stably expressed in CHO cells (IC50 = 0.09 .+-. 0.06 nM) and by the measurement of the rates of assocn. (k1 = 2.8 .+-. 1.1 .times. 108 M-1 min-1) and dissocn. (k-1 = 0.0054 .+-. 0.003 min-1) of I from hNK-1 expressed in Sf9 membranes which yields Kd = 19 .+-. 12 pM and a t1/2 for receptor occupancy equal to 154 .+-. 75 min. Inflammation in the guinea pig induced by a resiniferatoxin challenge (with NK-1 receptor activation mediating the subsequent increase in vascular permeability) is inhibited in a dose-dependent manner by the oral preadmininstration of I (IC50 (1 h) = 0.008 mg/kg; IC90 (24 h) = 1.8 mg/kg), indicating that this compd. has good oral bioavailability and peripheral duration of action. Central hNK-1 receptor stimulation is also inhibited by the systemic preadministration of I as shown by its ability to block an NK-1 agonist-induced foot tapping response in gerbils (IC50 (4 h) = 0.04 .+-. 0.006 mg/kg; IC50 (24 h) = 0.33 .+-. 0.017 mg/kg) and by its antiemetic actions in the ferret against cisplatin challenge. The activity of I at extended time points in these preclin. animal models sets it apart from earlier morpholine antagonists (such as L-742694), and the piperidine antagonists CP 122721 and GR 205171 and could prove to be an advantage in the treatment of chronic disorders related to the actions of Substance P. In part on the basis of these data, I has been identified as a potential clin. candidate for the treatment of peripheral pain, migraine, chemotherapy-induced emesis, and various psychiatric disorders.
IT **145742-28-5**, CP 122721

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); BIOL (Biological study)
(structural optimization of potent, orally active, long-acting morpholine acetal human NK-1 receptor antagonist)

RN 145742-28-5 CAPLUS

CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

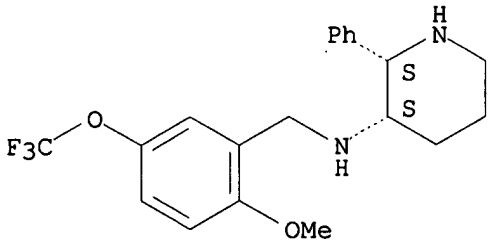
Absolute stereochemistry.



=> D BIB ABS HITSTR 4

L18 ANSWER 4 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:611452 CAPLUS
DN 130:20906
TI A tachykinin NK1 receptor antagonist, CP-122,721-1, attenuates kainic acid-induced seizure activity
AU Zachrisson, Olof; Lindefors, Nils; Brene, Stefan
CS Karolinska Institutet, Psychiatry Section, Department of Clinical Neuroscience, Karolinska Hospital, Stockholm, S-171 76, Swed.
SO Mol. Brain Res. (1998), 60(2), 291-295
CODEN: MBREE4; ISSN: 0169-328X
PB Elsevier Science B.V.
DT Journal
LA English
AB Substance P (SP) can play an important role in neuronal survival. To analyze the role of SP in excitotoxicity, kainic acid (KA) was administered to rats and in situ hybridization was used to analyze the levels of the SP encoding preprotachykinin-A (PPT-A) mRNA in striatal and hippocampal subregions 1, 4, and 24 h and 7 days after KA. In striatum and piriform cortex, PPT-A mRNA peaked 4 h after KA while in hippocampus, levels peaked after 24 h. KA caused seizures and neuronal toxicity as indicated by a redn. of the no. of neurons in the hippocampal CA1 subregion after 7 days. KA was later administered alone or following pretreatment with the tachykinin NK1 receptor antagonist CP-122,721-1 (0.3 mg/kg). The pretreatment decreased seizure activity and a neg. correlation was found between seizure activity and survival of CA1 neurons. Conclusively, treatment with CP-122,721-1 has a seizure inhibiting property and may possibly counteract KA-induced nerve cell death in CA1.
IT **145742-28-5**, CP-122721
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tachykinin NK1 receptor antagonist, CP-122,721-1, attenuates kainic acid-induced seizure activity)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

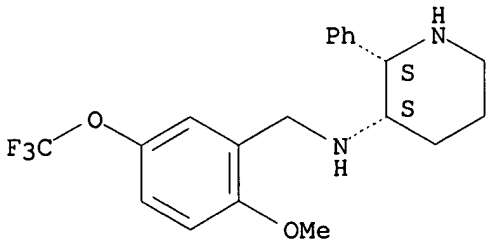
Absolute stereochemistry.



=> D BIB ABS HITSTR 5

L18 ANSWER 5 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:467483 CAPLUS
DN 129:198405
TI Chronic non-peptide neurokinin receptor antagonist treatment alters striatal tachykinin peptide and receptor gene expression in the rat
AU McCarson, Kenneth E.; Krause, James E.; McLean, Stafford
CS Department of Anatomy and Neurobiology, Washington University School of Medicine, St. Louis, MO, 63110, USA
SO Neurosci. Lett. (1998), 251(2), 113-116
CODEN: NELED5; ISSN: 0304-3940
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB The neurokinin-1 receptor (NK-1R) and the tachykinin peptide substance P (SP) are found throughout the central nervous system (CNS) and are involved in the regulation of sensory, cardiovascular, and inflammatory function. Selective antagonists for the NK-1R such as CP-122,721 block NK-1R-mediated responses both in vitro and in vivo. This study investigated the effects of long-term daily CP-122,721 treatment on gene expression of SP and the NK-1R in the striatum and hindbrain of the rat. The striatum and hindbrain of rats receiving CP122,721 (5, 30, or 150 mg/kg) once-daily for 30 days were assayed for SP- and NK-1R-encoding mRNAs using soln. hybridization-nuclease protection assays. Results show that treatment with CP-122,721 significantly increased SP-encoding mRNA and NK-1R mRNA levels in the striatum, but not in the hindbrain. The ability of CP-122,721 to alter SP and NK-1R gene expression may provide a use for non-peptide neurokinin receptor antagonists in the modulation of systems regulated by NK-1R function.
IT **145742-28-5**, CP-122721
RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(chronic non-peptide neurokinin receptor antagonist treatment alters striatal tachykinin peptide and receptor gene expression in the rat)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

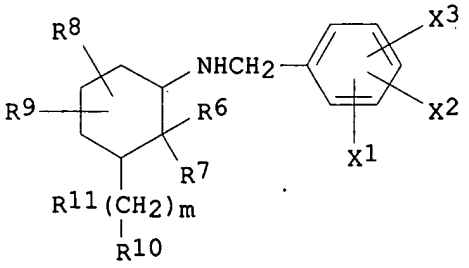


=> D BIB ABS HITSTR 6

L18 ANSWER 6 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:430066 CAPLUS
DN 129:95404
TI Preparation of [(Fluoroalkoxy)benzylamino]piperidine derivatives as substance P receptor antagonists
IN Lowe, John Adams, III; Rosen, Terry Jay
PA Pfizer Inc., USA
SO U.S., 19 pp. Cont.-in-part of U. S. 717,943, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5773450	A	19980630	US 1993-167881	19931214
	WO 9300331	A1	19930107	WO 1992-US3571	19920505
	W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	HU 70499	A2	19951030	HU 1995-836	19920505
	US 5744480	A	19980428	US 1995-443418	19950522
PRAI	US 1991-717943	19910620			
	WO 1992-US3571	19920505			
	US 1993-167881	19931214			
	HU 1993-3668	19931220			

OS MARPAT 129:95404
GI



I

AB The present invention relates to novel fluoroalkoxybenzylamino derivs. of nitrogen contg. heterocyclic compds. [I; X1 = H, C1-10 alkoxy or C1-10 alkyl each optionally substituted with 1-3 F atoms; X2, X3 = halo, H, NO2, C1-10 alkoxy optionally substituted with 1-3 F atoms, C1-10 alkyl optionally substituted with 1-3 F atoms, CF3, OH, Ph, cyano, etc.; m = 0-8; any one of the carbon-carbon single bonds of (CH2)m may optionally be replaced by a CH:CH or C.tplbond.C and any of the carbon atoms of said (CH2)m may be optionally substituted with R11; R6 = H, straight or branched alkyl, C3-7 cycloalkyl (wherein one of the carbon atoms may be optionally replaced by N, O, or S), aryl, phenyl-C2-6 alkyl, etc.; R7 = h,

Ph, C1-6 alkyl; or CR6R6 forms a C3-7 satd. carbocyclic ring wherein one of the ring carbon atoms may be replaced by O, N, or S; R8, R9 = H, OH, halo, NH2, oxo, cyano, hydroxy-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkylamino, di(C1-6 alkyl)amino, C1-6 alkoxy, C1-6 alkoxy-carbonyl, etc.; or R8 and R9 together with the carbon to which they are attached , form a C3-6 satd. carbocyclic ring that forms a spiro compd. with the N-contg. ring to which they are attached; R10 = acylamino, sulfonylamino, a radical listed in R6, R8, and R9; R11 = :NOH, OH, halo, NH2, etc.]. These novel compds. are useful in the treatment of inflammatory and central nervous system disorders, as well as other disorders (no data). The few antagonists thus far described in the recent past are generally peptide-like in nature and are therefore too labile from a metabolic point of view to serve as practical therapeutic agents in the treatment of disease. The non-peptidic antagonists of the present invention, on the other hand, do not possess this drawback, being far more stable from a metabolic point of view than the agents referred to above. Thus, (2S,3S)-3-amino-2-phenylpiperidine underwent reductive alkylation by 2-(2,2,2-trifluoroethoxy)benzaldehyde using sodium triacetoxyborohydride in AcOH to give (2S,3S)-2-phenyl-3-[2-(2,2,2-trifluoroethoxy)benzylamino]piperidine hydrochloride.

IT **145741-98-6P 145741-99-7P 145742-00-3P 145742-01-4P 145742-28-5P 145742-29-6P 145742-33-2P 155018-94-3P 209665-98-5P 209665-99-6P 209666-00-2P 209666-01-3P 209666-02-4P 209666-03-5P 209666-04-6P 209666-05-7P 209666-06-8P 209666-07-9P 209666-08-0P 209666-09-1P 209666-10-4P 209666-11-5P 209666-12-6P 209666-13-7P 209666-14-8P 209666-15-9P 209666-16-0P 209666-18-2P 209666-19-3P 209666-20-6P 209666-22-8P 209666-23-9P**

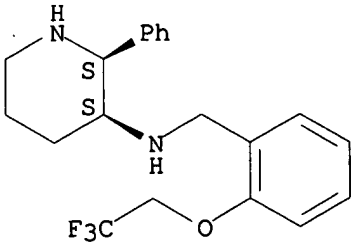
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(Fluoroalkoxy)benzylamino]piperidine derivs. as substance P receptor antagonists as central nervous system agents and antiinflammatory agents)

RN 145741-98-6 CAPLUS

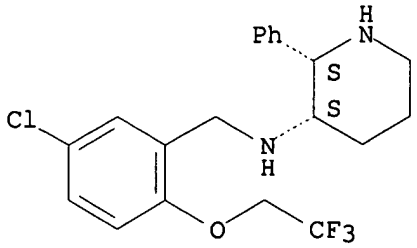
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



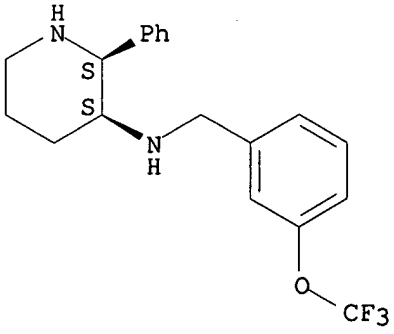
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



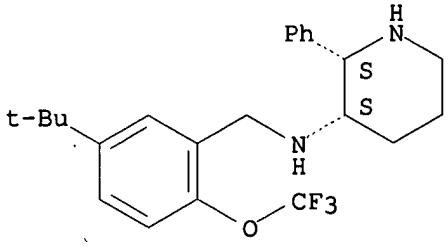
RN 145742-00-3 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

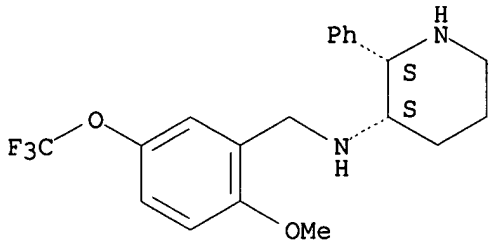
Absolute stereochemistry.



RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-

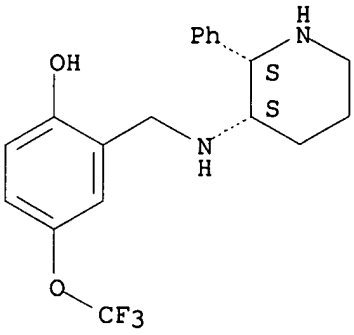
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



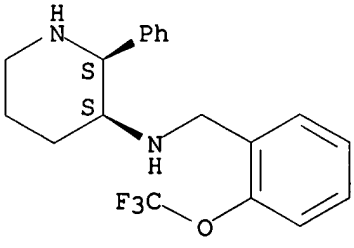
RN 145742-29-6 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

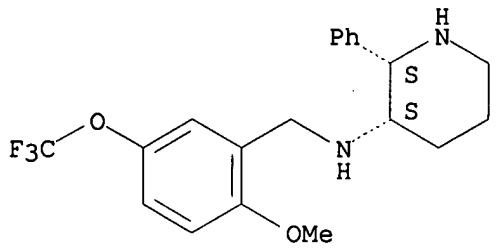
Absolute stereochemistry.



RN 155018-94-3 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

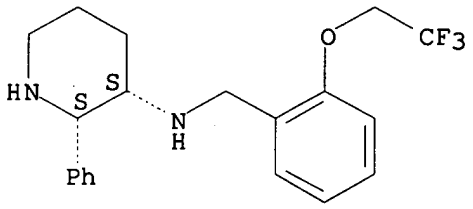
Searched by John Dantzman 308-4488



● HCl

RN 209665-98-5 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

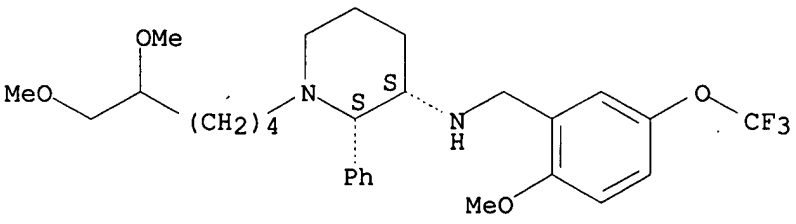
Absolute stereochemistry.



● HCl

RN 209665-99-6 CAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

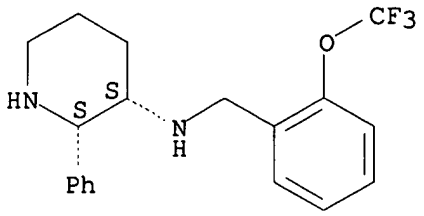


● HCl

Searched by John Dantzman 308-4488

RN 209666-00-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

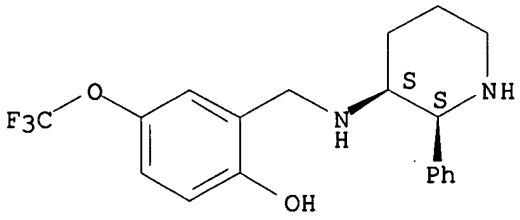
Absolute stereochemistry.



● HCl

RN 209666-01-3 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

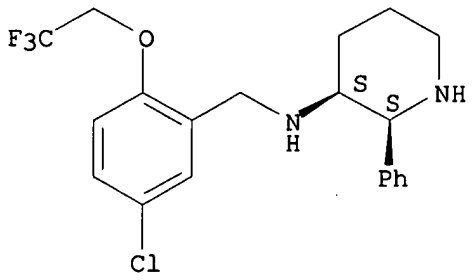
Absolute stereochemistry.



● HCl

RN 209666-02-4 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

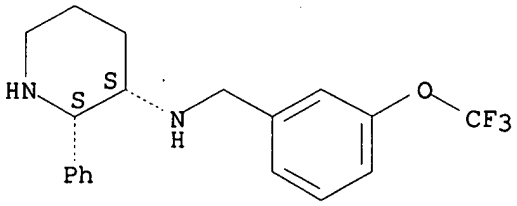
Absolute stereochemistry.



● HCl

RN 209666-03-5 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

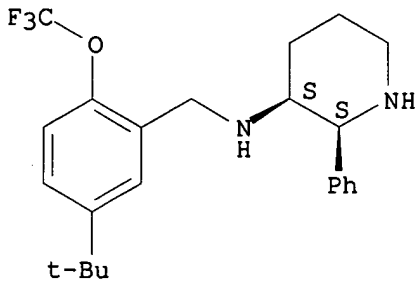
Absolute stereochemistry.



● HCl

RN 209666-04-6 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)-(9CI) (CA INDEX NAME)

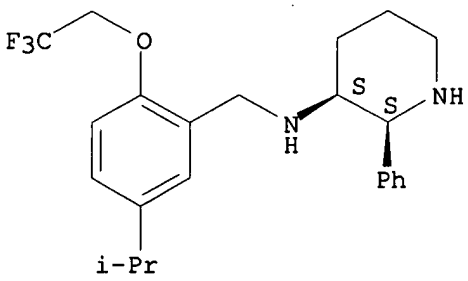
Absolute stereochemistry.



● HCl

RN 209666-05-7 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)-(9CI) (CA INDEX NAME)

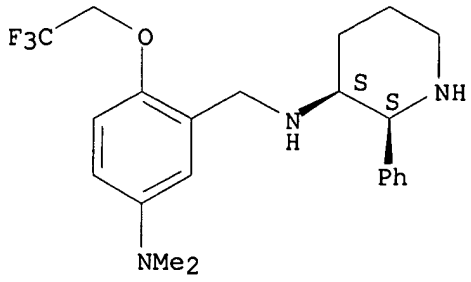
Absolute stereochemistry.



● HCl

RN 209666-06-8 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)-(9CI) (CA INDEX NAME)

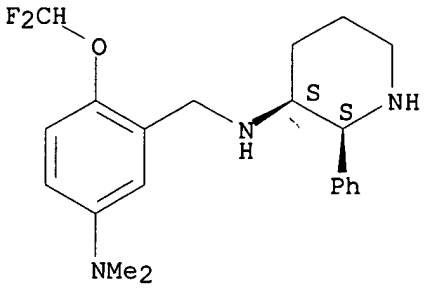
Absolute stereochemistry.



● HCl

RN 209666-07-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-
2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

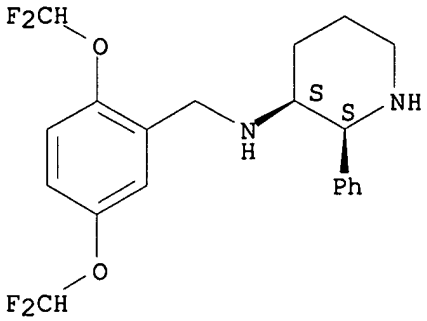
Absolute stereochemistry.



● HCl

RN 209666-08-0 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-,
monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

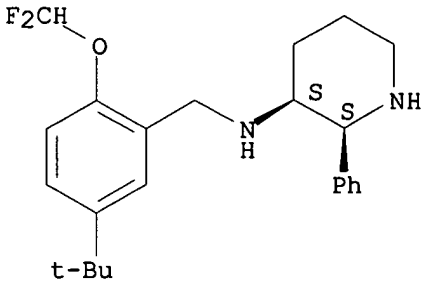
Absolute stereochemistry.



● HCl

RN 209666-09-1 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

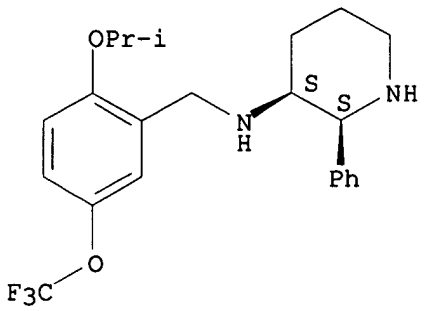
Absolute stereochemistry.



● HCl

RN 209666-10-4 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

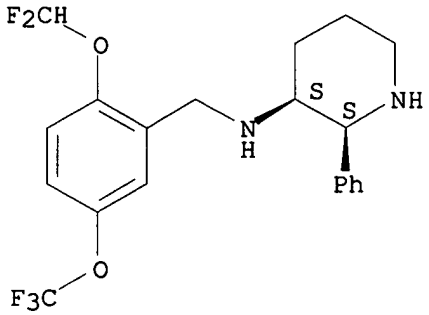
Absolute stereochemistry.



● HCl

RN 209666-11-5 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

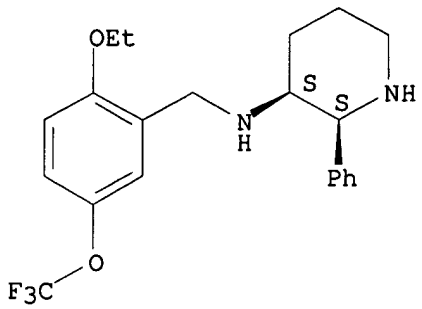
Absolute stereochemistry.



● HCl

RN 209666-12-6 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

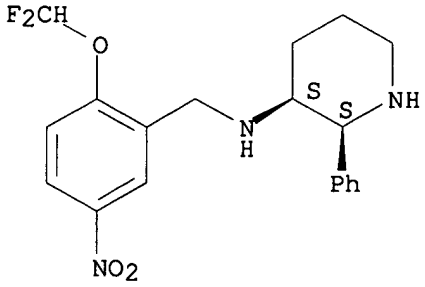
Absolute stereochemistry.



● HCl

RN 209666-13-7 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

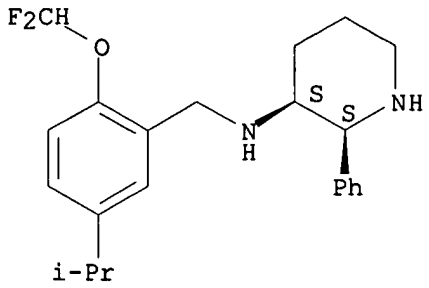
Absolute stereochemistry.



● HCl

RN 209666-14-8 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

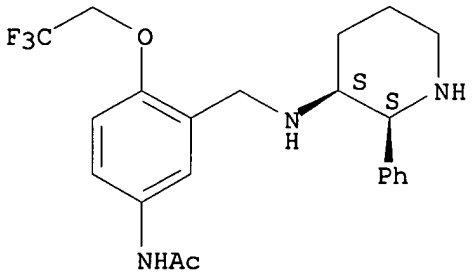
Absolute stereochemistry.



● HCl

RN 209666-15-9 CAPLUS
CN Acetamide, N-[3-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

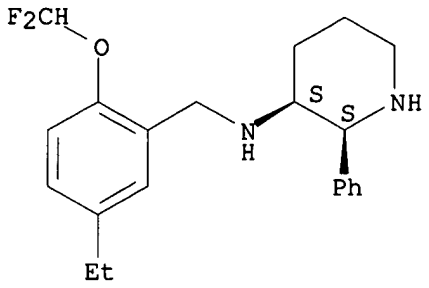
Absolute stereochemistry.



● HCl

RN 209666-16-0 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

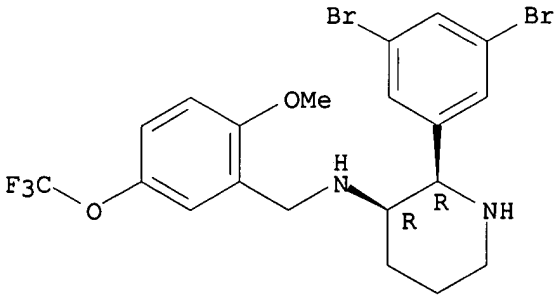
Absolute stereochemistry.



● HCl

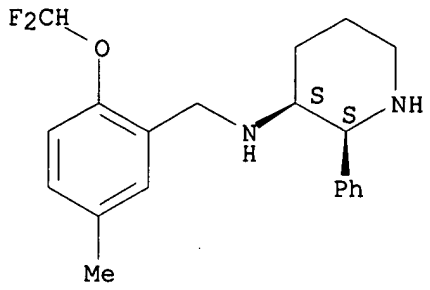
RN 209666-18-2 CAPLUS
CN 3-Piperidinamine, 2-(3,5-dibromophenyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 209666-19-3 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-methylphenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

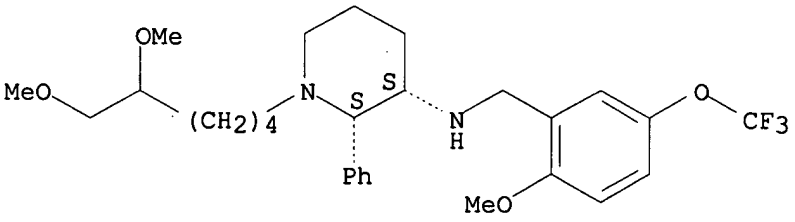
Absolute stereochemistry.



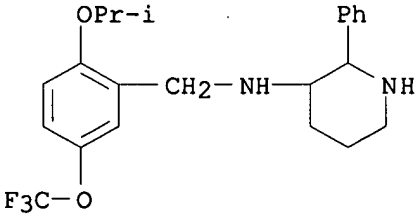
● HCl

RN 209666-20-6 CAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

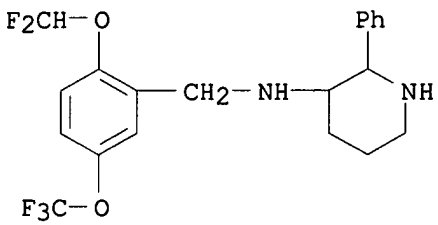
Absolute stereochemistry.



RN 209666-22-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 209666-23-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl- (9CI) (CA INDEX NAME)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Ph
CH2—NH
NH
F3C—O

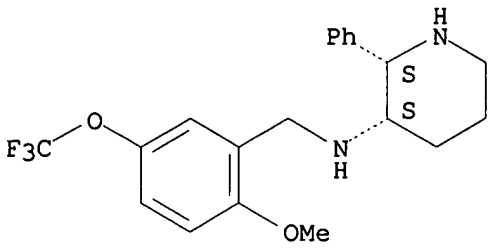
=> D BIB ABS HITSTR 7

L18 ANSWER 7 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:293373 CAPLUS
DN 129:604
TI Substance P antagonists capable of crossing blood-brain barrier for treatment of CNS disease-linked diskinesia
IN Imperato, Assunta; Moussaoui, Saliha; Obinu, Carmen; Gobbo, Olivier
PA Rhone-Poulenc Rorer S.A., Fr.; Imperato, Assunta; Moussaoui, Saliha; Obinu, Carmen; Gobbo, Olivier
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818465	A1	19980507	WO 1997-FR1914	19971024
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2755013	A1	19980430	FR 1996-13175	19961029
	FR 2755013	B1	19981127		
	AU 9749514	A1	19980522	AU 1997-49514	19971024
PRAI	FR 1996-13175		19961029		
	WO 1997-FR1914		19971024		

AB The invention concerns the use of substance P antagonists, capable of passing through the blood-brain barrier, for prepg. a medicine for the treatment of diskinesia linked with diseases of the central nervous system, e.g. tardive dyskinesia.
IT **145877-52-7**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substance P antagonists capable of crossing blood-brain barrier for treatment of CNS disease-linked diskinesia)
RN 145877-52-7 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

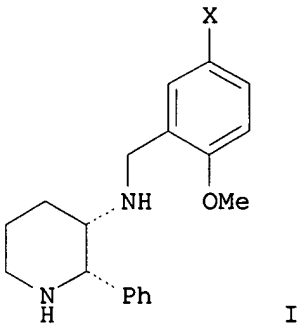
Absolute stereochemistry.



● 2 HCl

=> D BIB ABS HITSTR 8

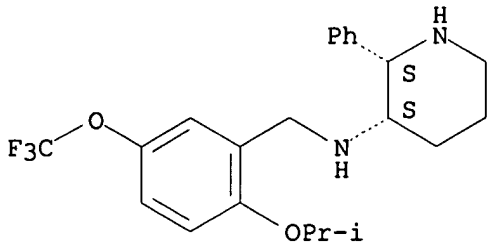
L18 ANSWER 8 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1998:131081 CAPLUS
DN 128:230216
TI Synthesis and structure-activity relationships of CP-122,721, a second-generation NK-1 receptor antagonist
AU Rosen, Terry J.; Coffman, Karen J.; Mclean, Stafford; Crawford, Rosemary T.; Bryce, Dianne K.; Gohda, Yoshiko; Tsuchiya, Megumi; Nagahisa, Atsushi; Nakane, Masami; Lowe, John A., III
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Bioorg. Med. Chem. Lett. (1998), 8(3), 281-284
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



I

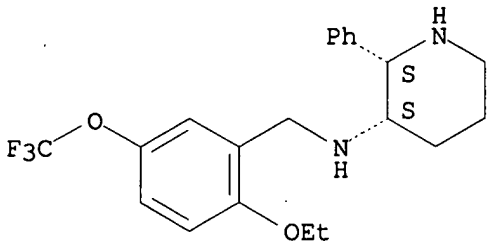
AB The synthesis and SAR of benzylamine side chain analogs of the NK-1 receptor antagonist CP-99,994 I (X = H) are described. The 5-trifluoromethoxy analog, CP-122,721 I (X = CF3), shows superior in vivo blockade of NK-1 receptor mediated responses.
IT **145742-21-8P 145742-23-0P 145742-28-5P 145742-29-6P 145742-33-2P 204444-25-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., neurokinin-1 receptor antagonist activity, and structure activity relationship of (benzylamino)phenylpiperidines)
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



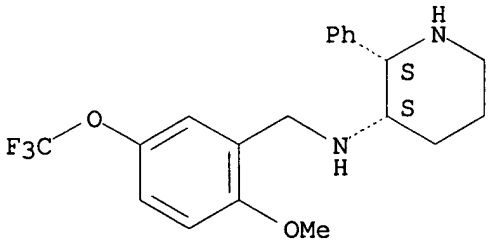
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



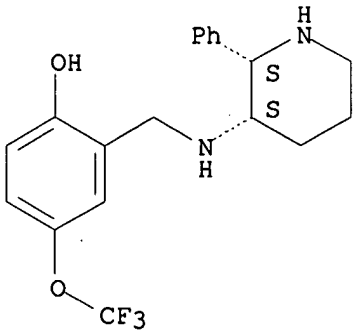
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



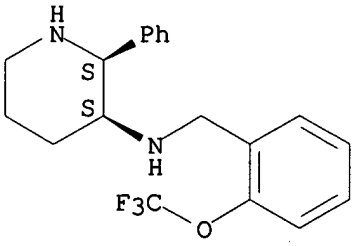
RN 145742-29-6 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



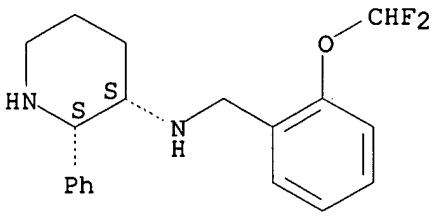
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204444-25-7 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

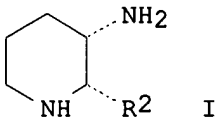


=> D BIB ABS HITSTR 9

L18 ANSWER 9 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:735948 CAPLUS
DN 128:22815
TI Stereoselective preparation of substituted piperidines
IN Rosen, Terry J.
PA Pfizer Inc, USA
SO U.S., 17 pp. Cont.-in-part of U.S. Ser. No. 675,244, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5686615	A	19971111	US 1993-119149	19930920
	CA 2106200	AA	19920927	CA 1992-2106200	19920114
	CA 2106200	C	19961119		
	HU 67276	A2	19950328	HU 1993-2709	19920114
	CN 1065264	A	19921014	CN 1992-102009	19920325
	CN 1038932	B	19980701		
	ZA 9202164	A	19930927	ZA 1992-2164	19920325
PRAI	US 1991-675244		19910326		

OS CASREACT 128:22815; MARPAT 128:22815
GI



I

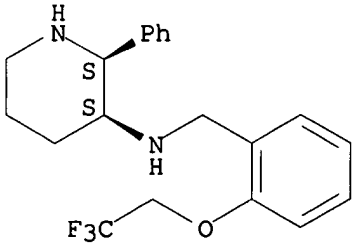
AB Stereoselective prepn. of substituted piperidine derivs. I [R2 = thienyl, benzhydryl, naphthyl, (un)substituted Ph] involved stereoselective redn. of the corresponding pyridines. E.g., hydrogenation of 3-amino-2-phenylpyridine, catalyzed by 5% Pt/carbon, gave cis-3-amino-2-phenylpiperidine. Also, hydrogenolysis of (2S,3S)-3-(2-methoxybenzylamino)-2-phenylpiperidine hydrochloride, catalyzed by 10% Pt/carbon, gave (2S,3S)-3-amino-2-phenylpiperidine hydrochloride. Reaction of the last with 2,5-dimethoxybenzaldehyde, followed by treatment with sodium triacetoxyborohydride, gave (+)-(2S,3S)-3-(2,5-dimethoxybenzylamino)-2-phenylpiperidine dihydrochloride.

IT **145741-98-6P 145741-99-7P 145742-00-3P**
145742-01-4P 145742-04-7P 145742-17-2P
145742-18-3P 145742-19-4P 145742-21-8P
145742-22-9P 145742-23-0P 145742-25-2P
145742-26-3P 145742-28-5P 145742-29-6P
145742-30-9P 145742-31-0P 145742-32-1P
145742-33-2P 145742-69-4P 145877-21-0P
145877-22-1P 145877-23-2P 145877-24-3P
145877-27-6P 145877-28-7P 145877-41-4P
145877-42-5P 145877-43-6P 145877-45-8P

145877-46-9P 145877-47-0P 145877-49-2P
145877-50-5P 145877-52-7P 145877-53-8P
145877-54-9P 145877-55-0P 145877-56-1P
145877-57-2P 199383-13-6P 199383-22-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn. of substituted piperidines)

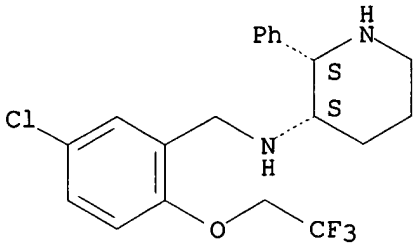
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



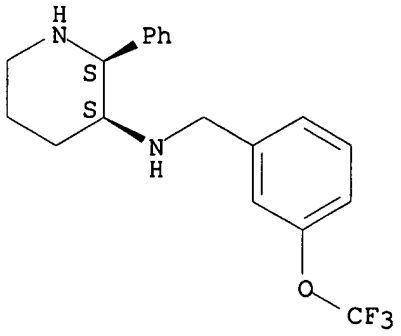
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



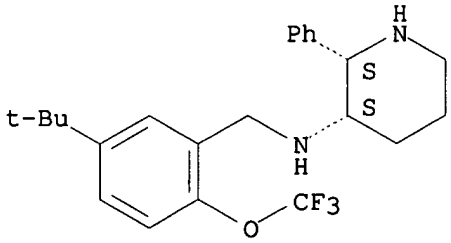
RN 145742-00-3 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



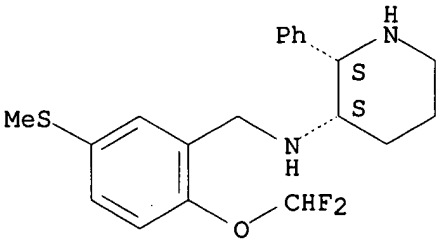
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



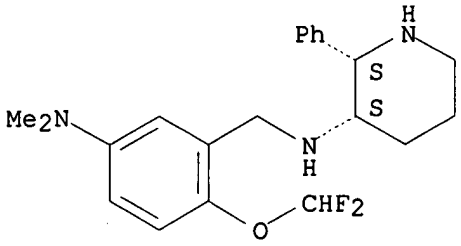
RN 145742-04-7 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(methylthio)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



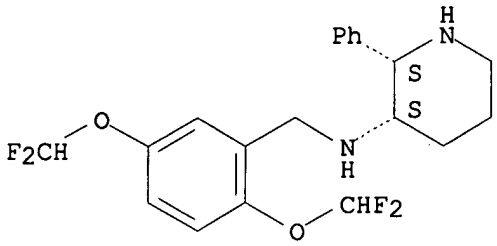
RN 145742-17-2 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



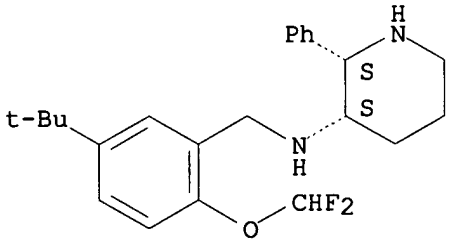
RN 145742-18-3 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



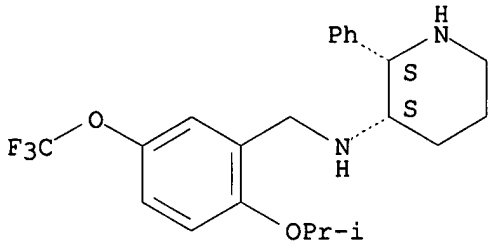
RN 145742-19-4 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



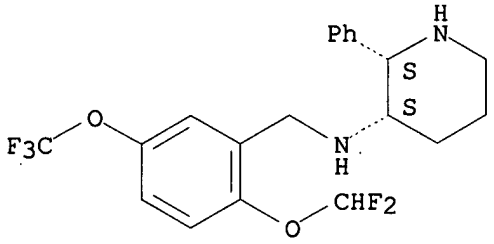
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



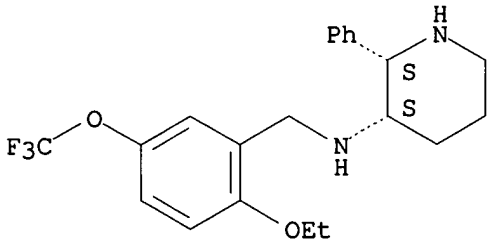
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



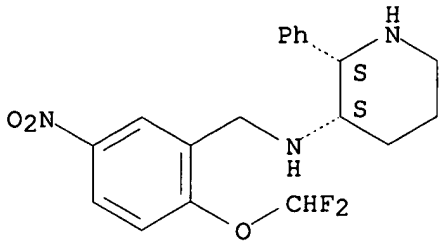
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



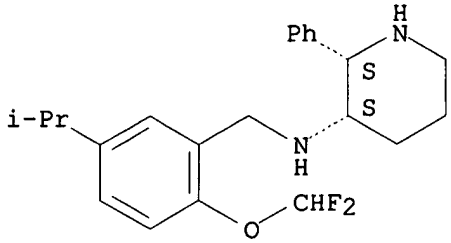
RN 145742-25-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



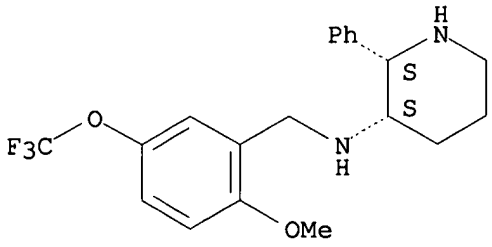
RN 145742-26-3 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



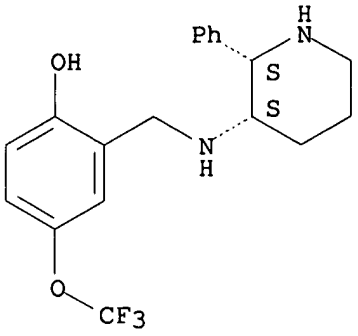
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



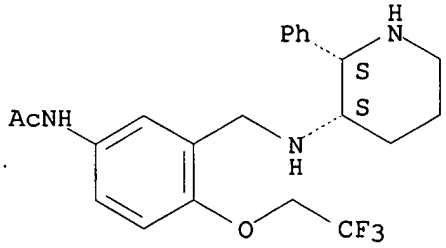
RN 145742-29-6 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-
(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



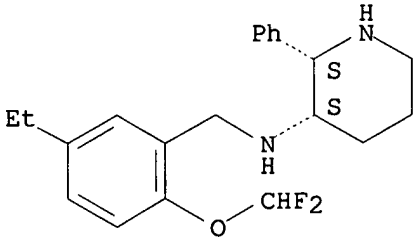
RN 145742-30-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



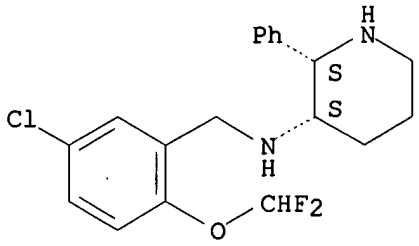
RN 145742-31-0 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



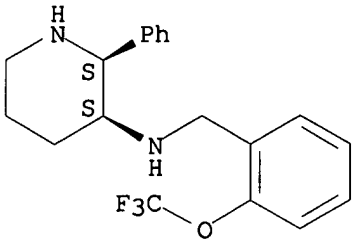
RN 145742-32-1 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



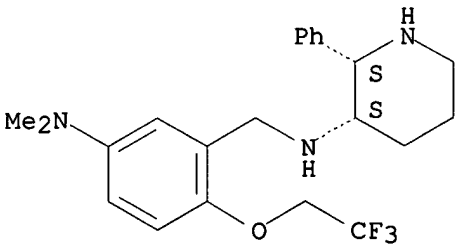
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



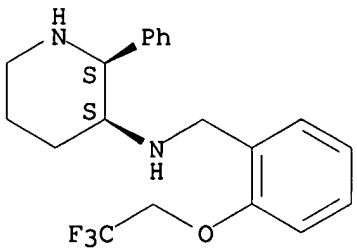
RN 145742-69-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145877-21-0 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

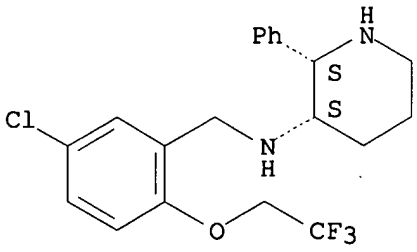
Absolute stereochemistry.



● 2 HCl

RN 145877-22-1 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

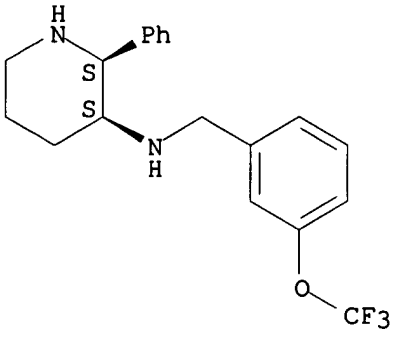
Absolute stereochemistry.



● 2 HCl

RN 145877-23-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

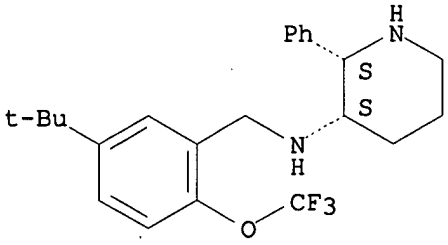
Absolute stereochemistry.



● 2 HCl

RN 145877-24-3 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

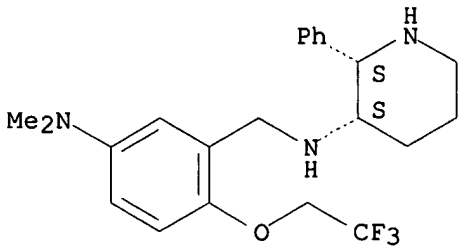
Absolute stereochemistry.



● 2 HCl

RN 145877-27-6 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

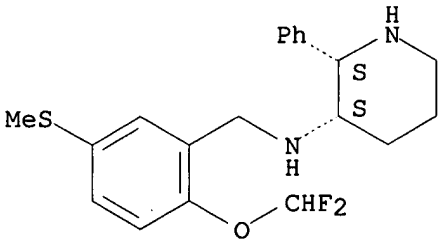
Absolute stereochemistry.



● x HCl

RN 145877-28-7 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(methylthio)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

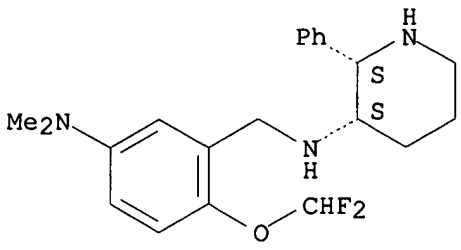
Absolute stereochemistry.



● 2 HCl

RN 145877-41-4 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-2-phenyl-, trihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

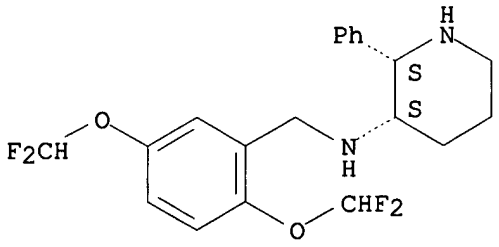
Absolute stereochemistry.



● 3 HCl

RN 145877-42-5 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

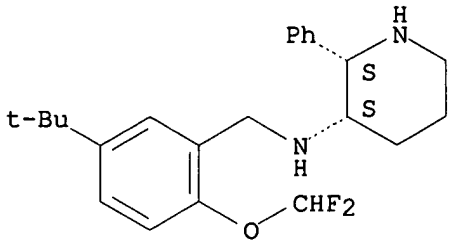
Absolute stereochemistry.



● x HCl

RN 145877-43-6 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

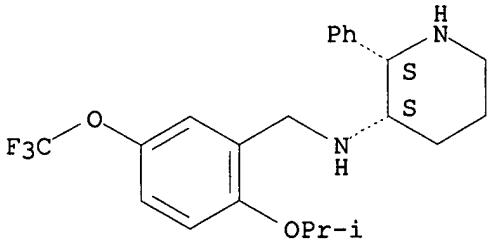
Absolute stereochemistry.



● x HCl

RN 145877-45-8 CAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

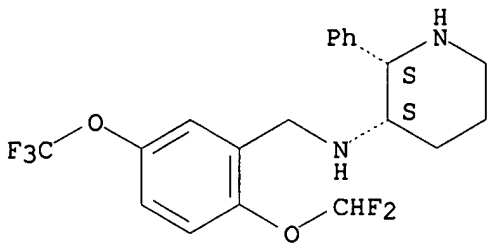
Absolute stereochemistry.



● 2 HCl

RN 145877-46-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

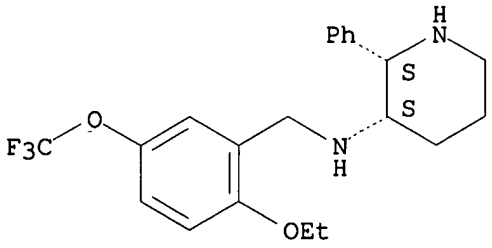
Absolute stereochemistry.



● 2 HCl

RN 145877-47-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

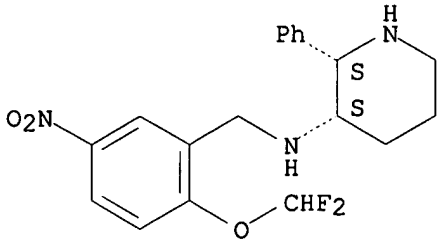
Absolute stereochemistry.



● 2 HCl

RN 145877-49-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

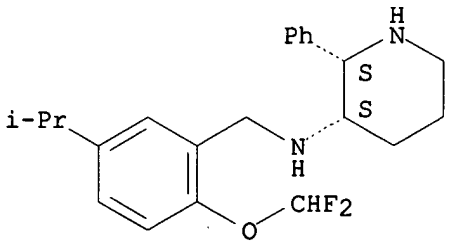
Absolute stereochemistry.



● x HCl

RN 145877-50-5 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

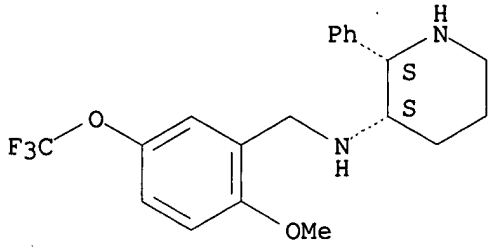
Absolute stereochemistry.



● 2 HCl

RN 145877-52-7 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

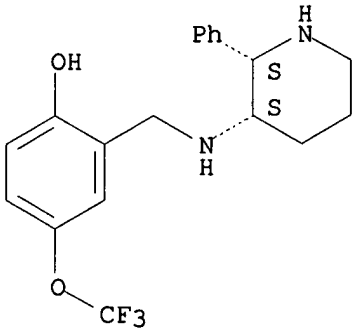
Absolute stereochemistry.



● 2 HCl

RN 145877-53-8 CAPLUS
CN Phenol, 2-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

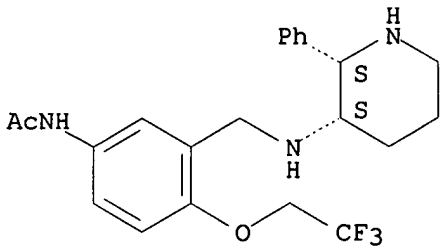
Absolute stereochemistry.



● 2 HCl

RN 145877-54-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

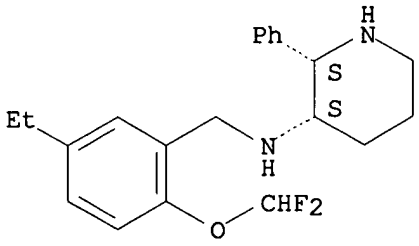
Absolute stereochemistry.



● x HCl

RN 145877-55-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-,
dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

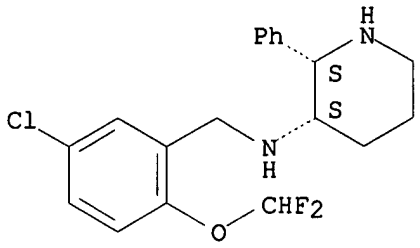
Absolute stereochemistry.



● 2 HCl

RN 145877-56-1 CAPLUS
CN 3-Piperidinamine,
N-[[5-chloro-2-(difluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

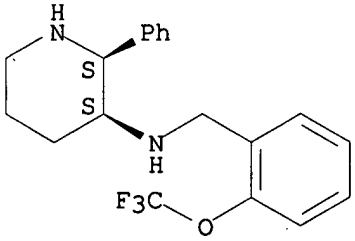
Absolute stereochemistry.



● 2 HCl

RN 145877-57-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

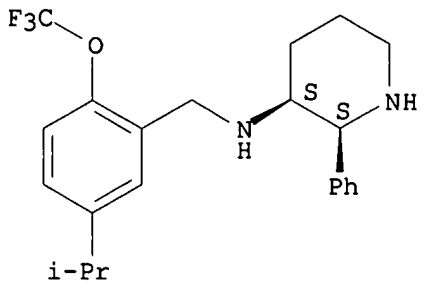
Absolute stereochemistry.



● 2 HCl

RN 199383-13-6 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

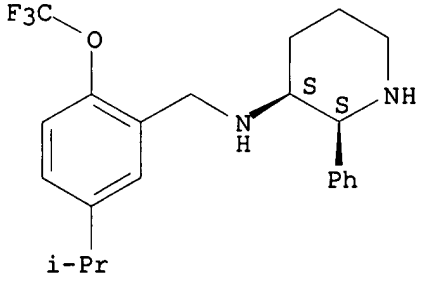
Absolute stereochemistry.



● 2 HCl

RN 199383-22-7 CAPLUS
CN 3-Piperidinamine,
N-[[5-(1-methylethyl)-2-(trifluoromethoxy)phenyl]methyl]-
2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

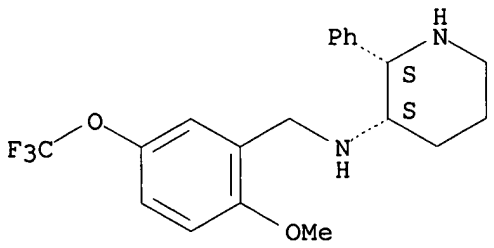
Absolute stereochemistry.



=> D BIB ABS HITSTR 10

L18 ANSWER 10 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:707353 CAPLUS
DN 128:43334
TI Determination of the substance P receptor antagonist CP-122,721 in plasma by narrow-bore high-performance liquid chromatography-ionspray tandem mass spectrometry
AU Kamel, Amin; Prakash, Chandra
CS Department of Drug Metabolism, Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO J. Chromatogr., B: Biomed. Sci. Appl. (1997), 700(1 + 2), 139-146
CODEN: JCBBEP; ISSN: 0378-4347
PB Elsevier
DT Journal
LA English
AB A simple, highly sensitive and specific LC-MS-MS assay was developed for the detn. of CP-122,721 (I) in rat and human plasma. I and a structural analog, CP-129,943 (II, internal std.), were extd. from plasma with Me tert.-Bu ether (MTBE). The dried MTBE exts. were reconstituted and analyzed using a narrow-bore (2.1 mm I.D.) YMC basic HPLC column and a mobile phase of acetonitrile-20 mM ammonium acetate, pH 5 (50:50, vol./vol.). Column effluents were monitored by ionspray tandem mass spectrometry. Multiple reaction monitoring (MRM) using the parent to product ion combinations of m/z 381.fwdarw.205 and 395.fwdarw.219 was used to quantitate I and II, resp. The assay exhibited a linear dynamic range of 0.2-100 ng/mL. Abs. recoveries from plasma were above 80% for both I and II. The precision and accuracy values for the method were within and %, resp. Sample anal. times were less than 5 min from one injection to the next. The assay has proved to be applicable to the pharmacokinetic study of I in rats.
IT **145742-28-5**, CP-122721
RL: ANT (Analyte); ANST (Analytical study)
(detn. of the substance P receptor antagonist CP-122,721 in plasma by narrow-bore high-performance liq. chromatog.-ionspray tandem mass spectrometry)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

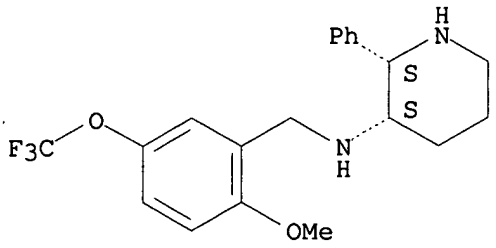


Searched by John Dantzman 308-4488

=> D BIB ABS HITSTR 11

L18 ANSWER 11 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:421364 CAPLUS
DN 127:60326
TI Use of an NK1 receptor antagonist to prevent delayed emesis after cisplatin
AU Kris, Mark G.; Radford, James E.; Pizzo, Barbara A.; Inabinet, Robin; Hesketh, Ann; Hesketh, Paul J.
CS Dept. Med., Memorial Sloan-Kettering Cancer Center and Cornell University College, New York, NY, USA
SO J. Natl. Cancer Inst. (1997), 89(11), 817-818
CODEN: JNCIEQ; ISSN: 0027-8874
PB Oxford University Press
DT Journal
LA English
AB Oral treatment of cancer patients with the NK1 receptor antagonist CP-122,721 30 min prior to administration of cisplatin (.gtoreq.80 mg/m2 during <3 h) prevented or decreased both the immediate and delayed emesis usually assocd. with the latter drug.
IT **145742-28-5**, CP 122721
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(emesis from cisplatin in humans prevention by)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



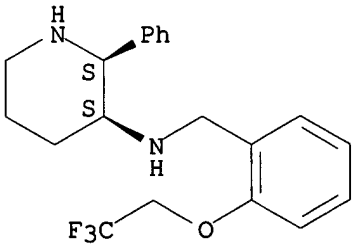
=> D BIB ABS HITSTR 12

L18 ANSWER 12 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:416752 CAPLUS
DN 127:29079
TI NK-1 receptor antagonists for the treatment of cancer
IN Howard, Harry R.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 773026	A2	19970514	EP 1996-308039	19961106
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1154240	A	19970716	CN 1996-122019	19961024
	CA 2189501	AA	19970507	CA 1996-2189501	19961104
	AU 9670592	A1	19970515	AU 1996-70592	19961105
	AU 700520	B2	19990107		
PRAI	US 1995-7275		19951106		
	US 1996-10232		19960119		

OS MARPAT 127:29079
AB NK-1 receptor antagonists (e.g. Substance P receptor antagonists) (Markush included) are used for the manuf. of a medicament for the treatment of cancer in a mammal, particularly for the treatment of small cell lung carcinoma, APUDoma, astrocytoma, neuroendocrine tumor, or extrapulmonary small cell carcinoma.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Nk-1 receptor antagonists for the treatment of cancer)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

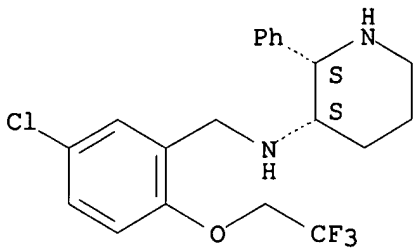
Absolute stereochemistry.



RN 145741-99-7 CAPLUS

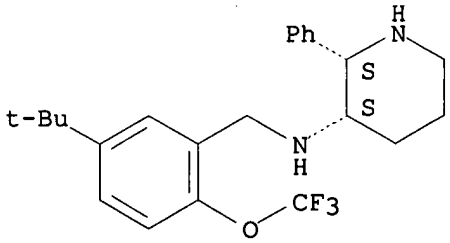
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



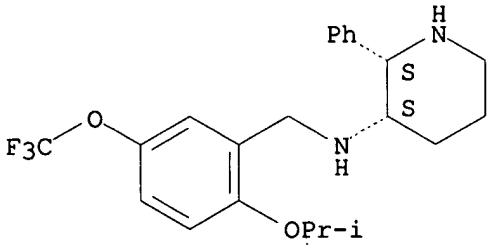
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



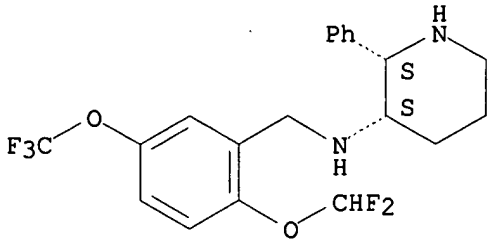
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



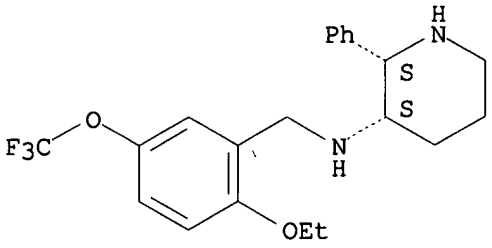
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



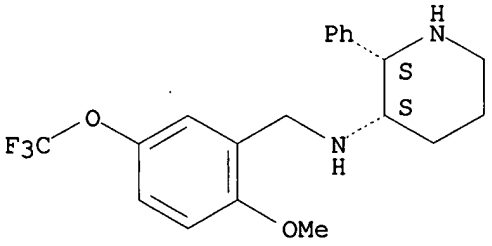
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



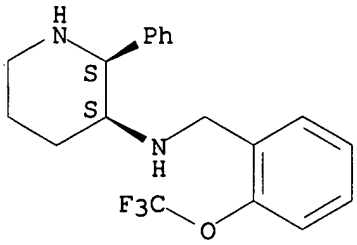
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



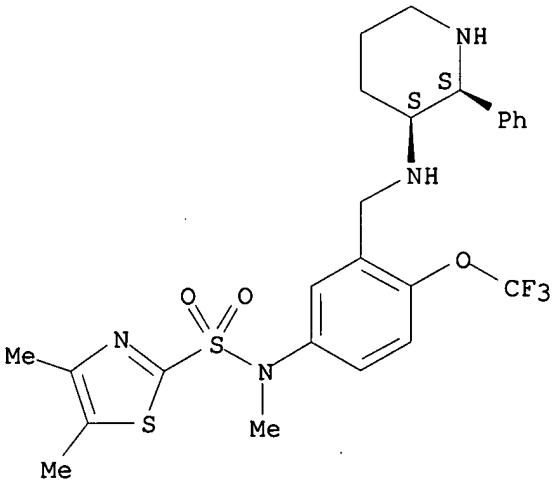
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

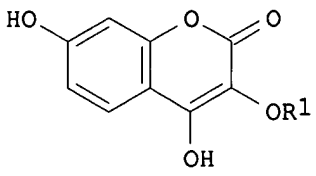


=> D BIB ABS HITSTR 13

L18 ANSWER 13 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:389101 CAPLUS
DN 127:13461
TI Antiemetic composition containing an NK-1 receptor antagonist
IN Gonsalves, Susan F.; Watson, John W.; Silberman, Sandra L.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 769300	A2	19970423	EP 1996-307533	19961017
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1151893	A	19970618	CN 1996-112447	19961017
	JP 09110721	A2	19970228	JP 1996-297370	19961018
	CA 2188227	AA	19970421	CA 1996-2188227	19961018
	AU 9670279	A1	19970515	AU 1996-70279	19961018
	AU 700841	B2	19990114		
PRAI	US 1995-5728		19951020		

GI



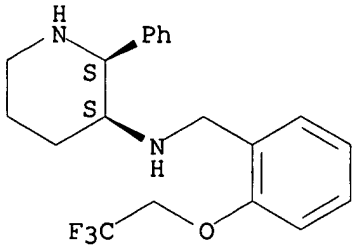
I

AB Methods are disclosed for treating or preventing emesis in mammals, including humans, using an NK-1 antagonist in combination with one or more other active agents selected from (a) a glucocorticoid or corticosteroid, (b) a benzodiazepine, (c) metaclopramide and (d) an intracellular mol. scavenger.

IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 164154-85-2 168321-02-6
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiemetic compn. with NK-1 receptor antagonist and other agent)

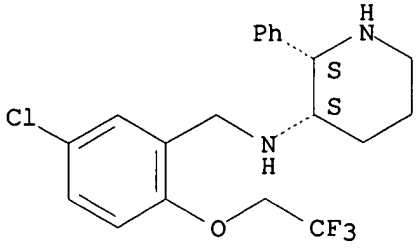
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



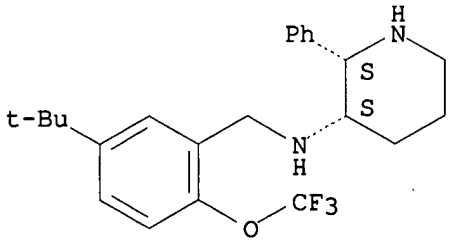
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



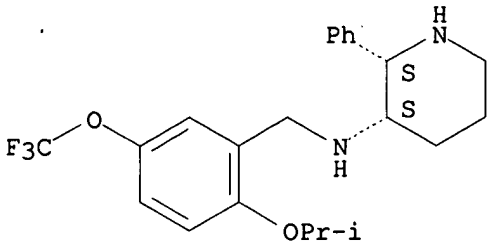
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



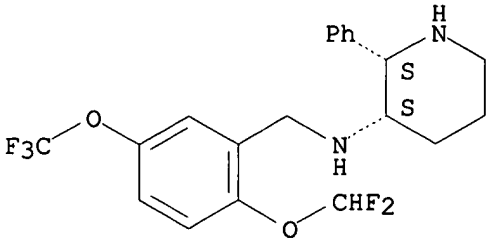
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



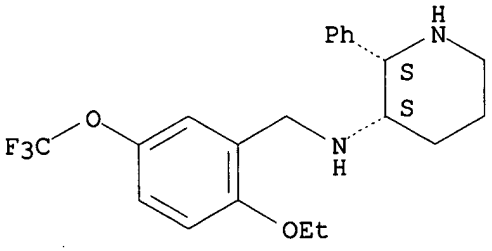
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



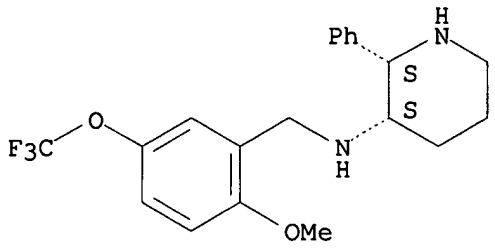
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



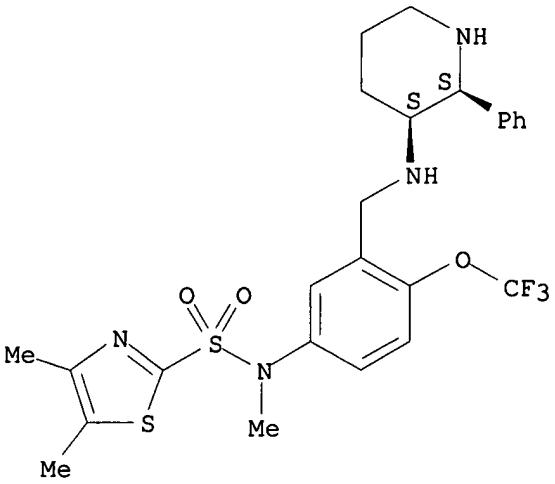
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



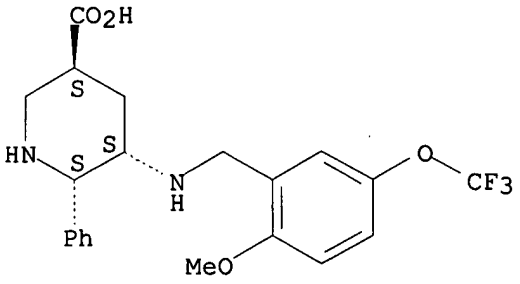
RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168321-02-6 CAPLUS
CN 3-Piperidinecarboxylic acid, 5-[[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]amino]-6-phenyl-, (3.alpha.,5.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



Searched by John Dantzman 308-4488

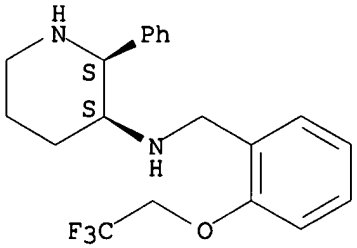
=> D BIB ABS HITSTR 14

L18 ANSWER 14 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1997:356537 CAPLUS
DN 126:325515
TI NK-1 receptor antagonists for prevention of neurogenic inflammation in gene therapy
IN Piedimonte, Giovanni; Hess, Hans J.; Lowe, John A., III
PA Pfizer Inc., USA; Piedimonte, Giovanni; Hess, Hans, J.; Lowe, John, A., Iii
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9713514	A1	19970417	WO 1996-IB1042	19961002
	W: CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2228572	AA	19970417	CA 1996-2228572	19961002
	EP 854720	A1	19980729	EP 1996-931199	19961002
	EP 854720	B1	19990804		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 10511119	T2	19981027	JP 1996-514868	19961002
	AT 182788	E	19990815	AT 1996-931199	19961002
PRAI	US 1995-5002	19951010			
	US 1995-6344	19951107			
	US 1995-60005002	19951010			
	US 1995-60006344	19951107			
	WO 1996-IB1042	19961002			

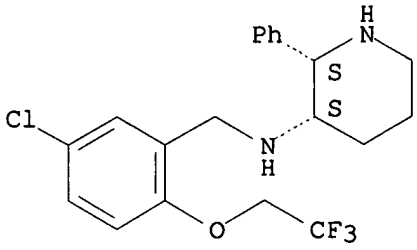
AB The present invention relates to a method of preventing or treating the neurogenic inflammation assocd. with the use of viral vectors in gene therapy in a mammal, including a human, by administering to the mammal an NK-1 receptor antagonist (e.g., a substance P receptor antagonist).
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonists for prevention of neurogenic inflammation in gene therapy)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



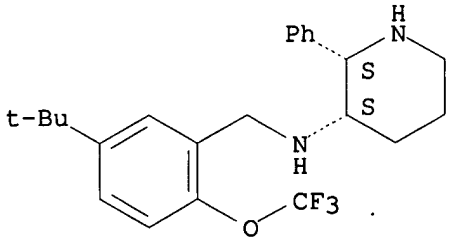
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



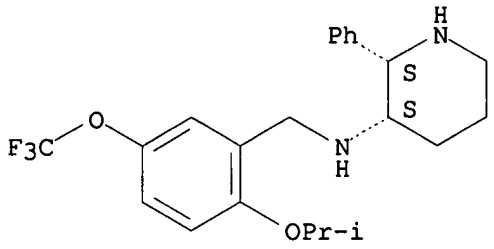
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



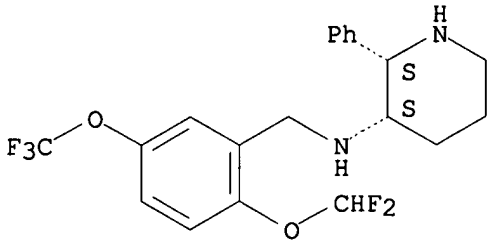
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



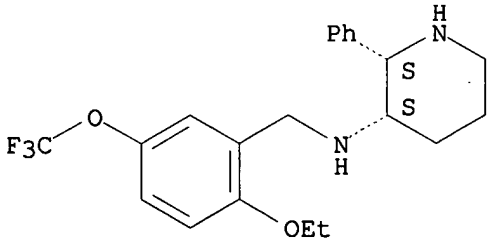
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



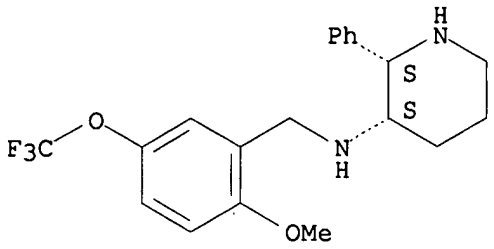
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



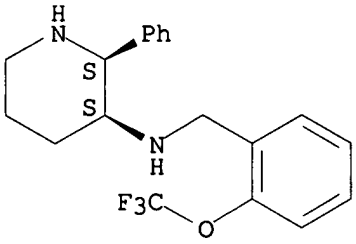
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



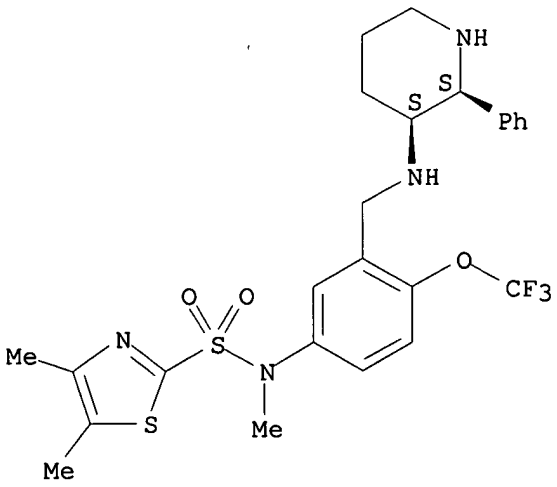
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 15

L18 ANSWER 15 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:551261 CAPLUS
DN 125:185903
TI NK-1 receptor antagonists for the treatment of neuronal injury and stroke
IN Lowe, John A., III; Nelson, Robert B.
PA Pfizer Inc., USA
SO Can. Pat. Appl., 148 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

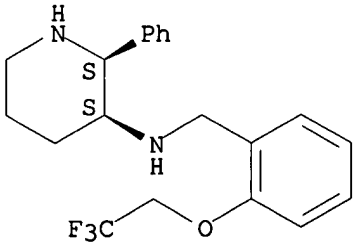
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2164804	AA	19960613	CA 1995-2164804	19951208
	AU 9540304	A1	19960620	AU 1995-40304	19951208
	CN 1132072	A	19961002	CN 1995-120596	19951208
	JP 08239323	A2	19960917	JP 1995-323355	19951212
PRAI	US 1994-354702		19941212		

AB Antagonists to NK-1 neurokinin receptors are useful for treating or preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage such as cerebral ischemic damage from stroke or vascular occlusion (e.g. during open heart surgery), excitotoxic neuronal damage (e.g. in stroke or epilepsy), and amyotrophic lateral sclerosis in mammals, including humans. The antagonists include certain quinuclidine, piperidine, pyrrolidine, azanorbornane, and ethylenediamine derivs. and related compds. that are substance P receptor antagonists (no data).

IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonists for treatment of neuronal injury and stroke)

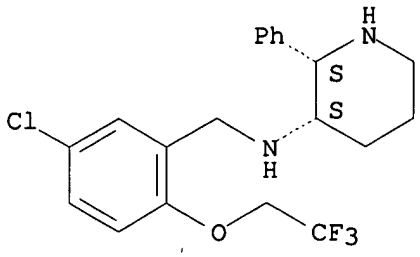
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



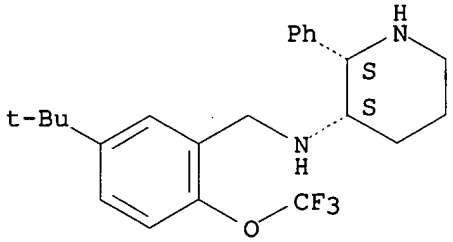
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



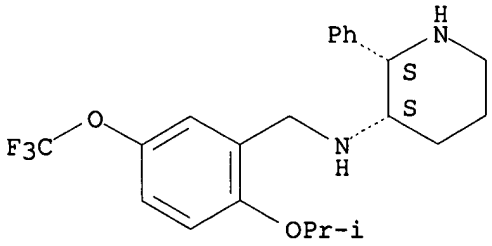
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



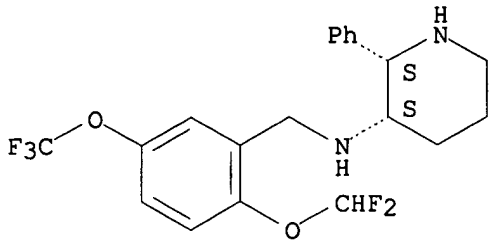
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



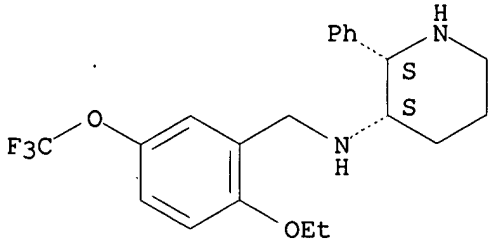
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



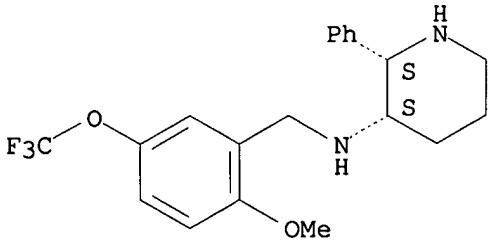
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



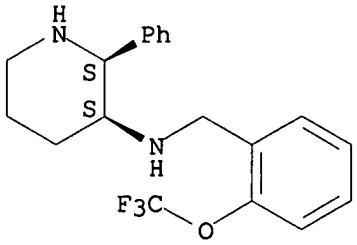
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



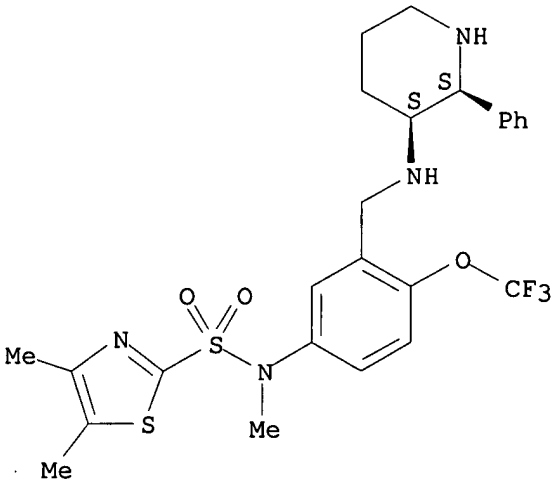
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



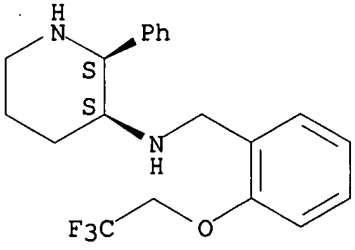
=> D BIB ABS HITSTR 16

L18 ANSWER 16 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:534545 CAPLUS
DN 125:185901
TI NK-1 receptor antagonists for the treatment of neuronal injury and stroke
IN Lowe, John A., III; Nelson, Robert B.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 721778	A2	19960717	EP 1995-308876	19951207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

PRAI US 1994-354705 19941212
OS MARPAT 125:185901
AB A method is provided for treating or preventing stroke, epilepsy, head trauma, spinal cord trauma, ischemic neuronal damage, such as cerebral ischemic damage from stroke or vascular occlusion (e.g., during open heart surgery), excitotoxic neuronal damage (e.g., in stroke or epilepsy) and amyotrophic lateral sclerosis in mammals, including humans, using an NK-1 antagonist. Also provided is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., ethylene diamine derivs. and related compds. that are substance P receptor antagonists.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonists for the treatment of neuronal injury and stroke)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

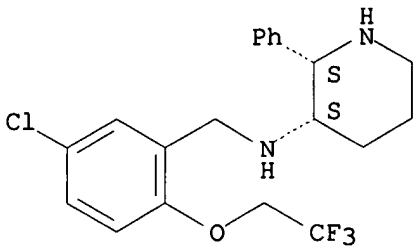
Absolute stereochemistry.



RN 145741-99-7 CAPLUS

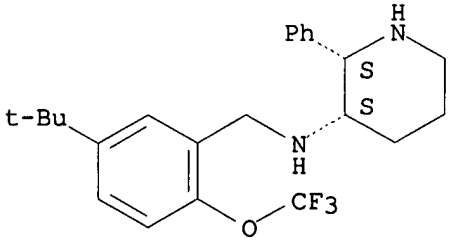
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



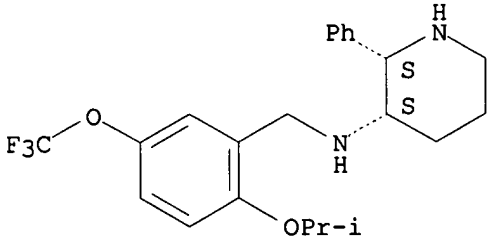
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



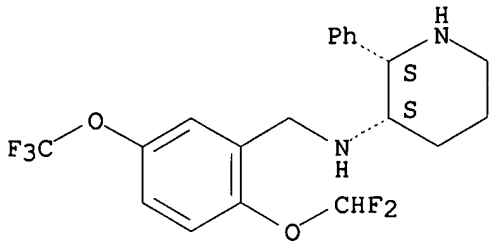
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



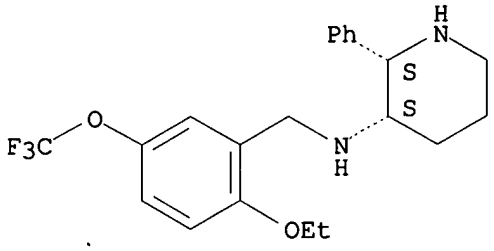
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



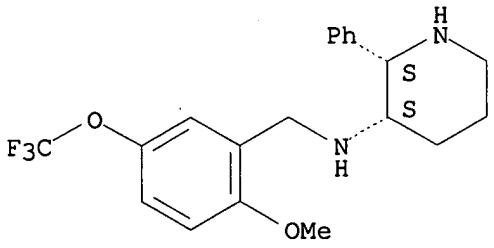
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



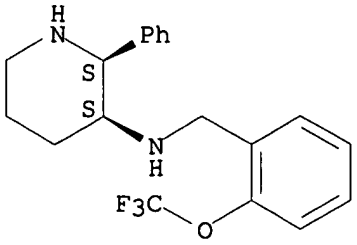
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



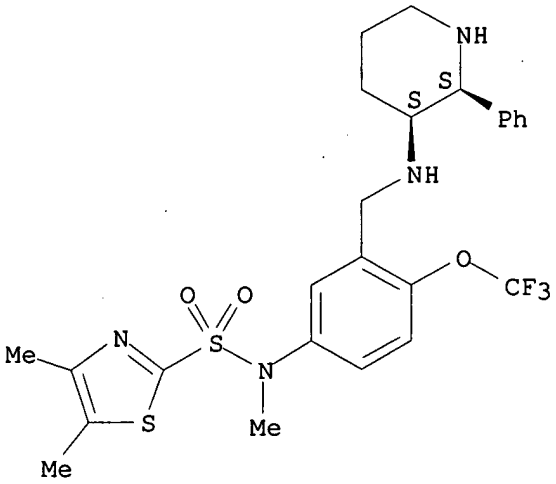
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 17

L18 ANSWER 17 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:464513 CAPLUS
DN 125:132779
TI NK-1 receptor antagonists and 5-HT3 receptor antagonists for the treatment of emesis
IN Gonsalves, Susan F.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

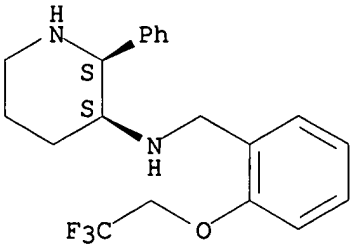
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 715855	A2	19960612	EP 1995-308273	19951120
	EP 715855	A3	19990120		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5576317	A	19961119	US 1994-353049	19941209
	JP 08225464	A2	19960903	JP 1995-339871	19951205
	CN 1132625	A	19961009	CN 1995-120539	19951205
	CA 2164689	AA	19960610	CA 1995-2164689	19951207
	CA 2164689	C	19990316		
	AU 9540306	A1	19960620	AU 1995-40306	19951208
PRAI	US 1994-353049		19941209		

AB A method is provided for treating or preventing emesis in a mammal, including a human, by administering a 5-HT3 receptor antagonist and an NK-1 receptor antagonist (e.g., a substance P receptor antagonist). Also provided are pharmaceutical compns. contg. a pharmaceutically acceptable carrier, a 5-HT3 receptor antagonist and an NK-1 receptor antagonist. The 5-HT3 antagonist is e.g. ondansetron, tropisetron, or granisetron. More than one hundred NK-1 antagonists are claimed. The antiemetic activity of NK-1 antagonist (2S,3S)-3-methoxybenzylamino-2-phenylpiperidine, alone and in combination with ondansetron, was detd.

IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonists and 5-HT3 receptor antagonists for the treatment of emesis)

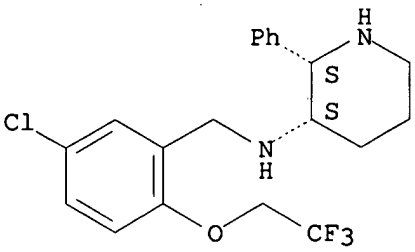
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



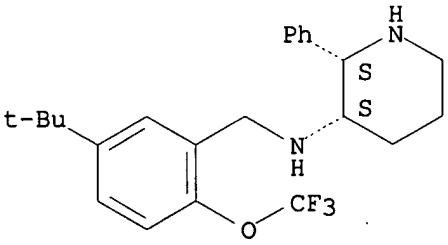
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



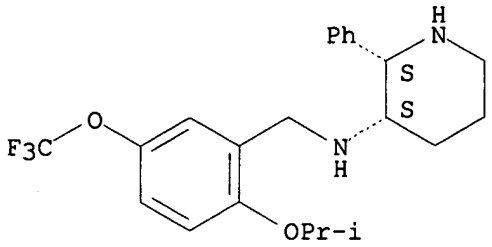
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



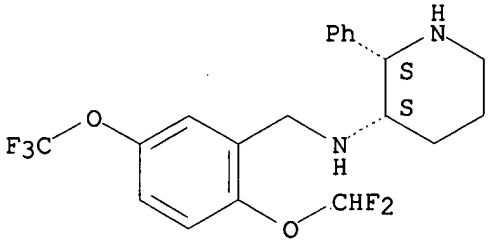
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



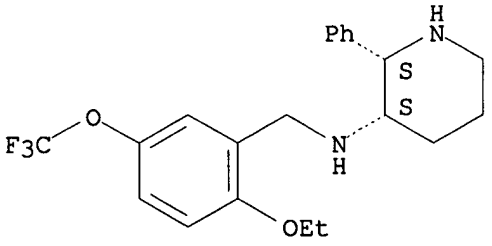
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



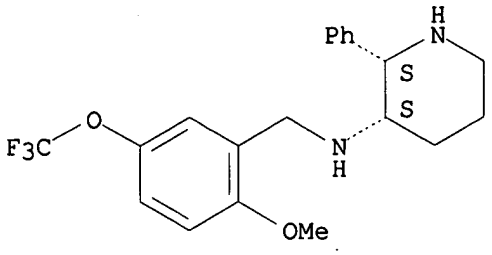
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



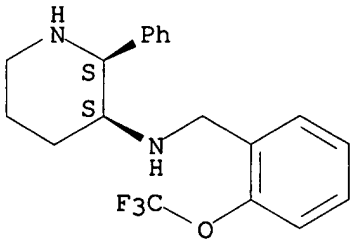
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



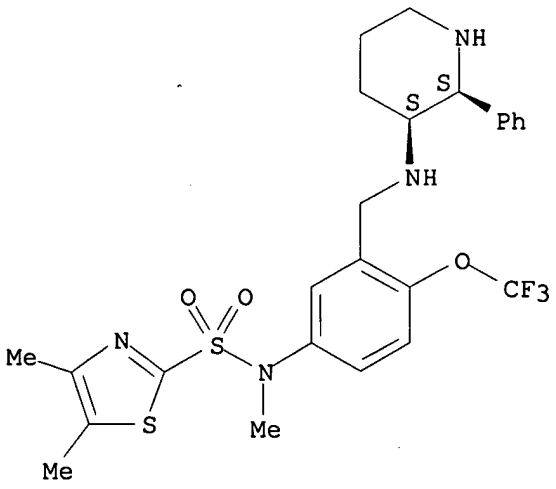
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



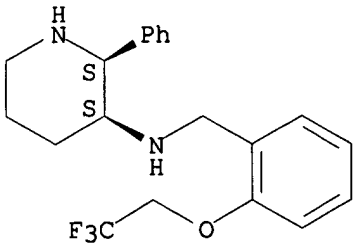
=> D BIB ABS HITSTR 18

L18 ANSWER 18 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:462448 CAPLUS
DN 125:132804
TI NK-1 receptor antagonists for the treatment of eye disorders
IN Hess, Hans-Juergen Ernst
PA Pfizer Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614845	A1	19960523	WO 1995-IB811	19950929
	W: CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2205016	AA	19960523	CA 1995-2205016	19950929
	EP 790825	A1	19970827	EP 1995-931373	19950929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 10508837	T2	19980902	JP 1995-515865	19950929
PRAI	US 1994-336955	19941110			
	WO 1995-IB811	19950929			

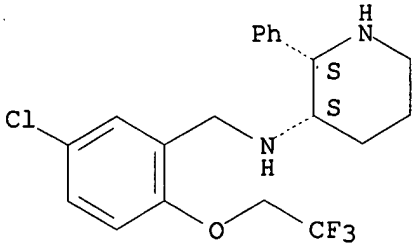
OS MARPAT 125:132804
AB A method is disclosed for treating or preventing a disorder of the eye, selected from glaucoma, ocular hypertension, miosis, excess lacrimation, hyperemia, and breakdown of the blood aq. barrier in mammals, including humans, using an NK-1 antagonist. Also disclosed is a method of treating or preventing such disorders in mammals, including humans, using certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., and ethylene diamine-derived and related compds. that are substance P receptor antagonists.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonists for the treatment of eye disorders)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



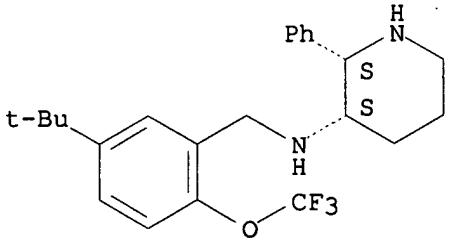
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



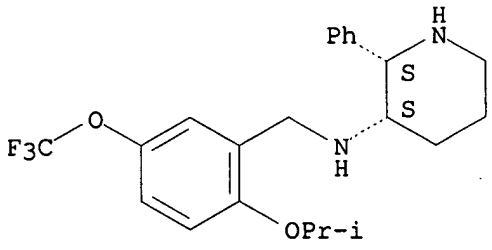
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

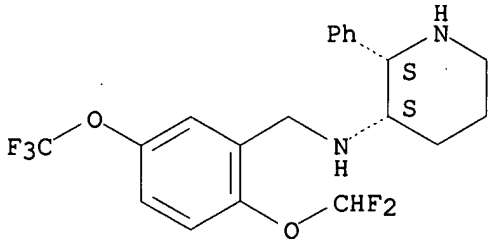
Absolute stereochemistry.



RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy

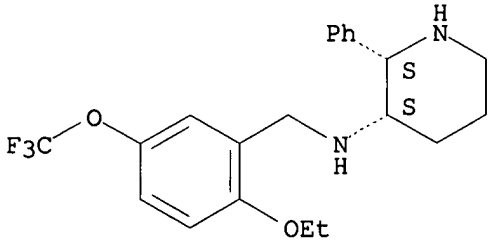
1]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



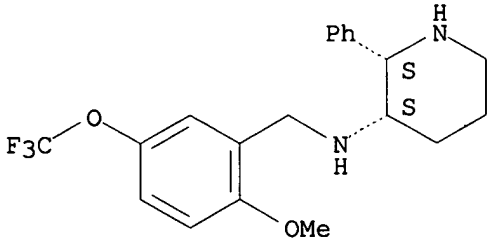
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



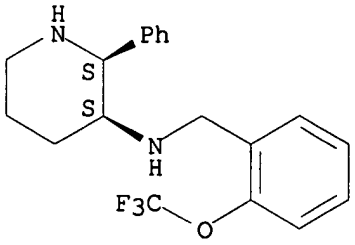
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



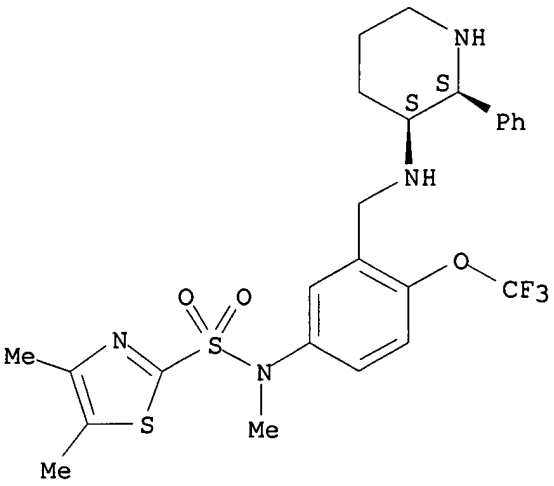
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

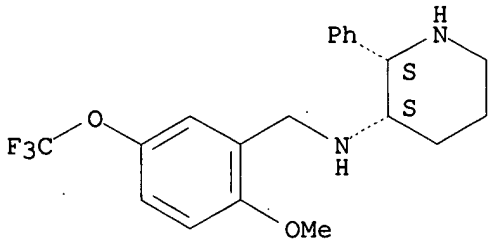
Absolute stereochemistry.



=> D BIB ABS HITSTR 19

L18 ANSWER 19 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:347716 CAPLUS
DN 125:132415
TI Broad spectrum antiemetic effects of CP-122,721, a tachykinin NK1 receptor antagonist, in ferrets
AU Gonsalves, Susan; Watson, John; Ashton, Cynthia
CS Department of General Pharmacology, Box 384, Central Research Division, Pfizer Inc., Eastern Point Road, Groton, USA
SO Eur. J. Pharmacol. (1996), 305(1-3), 181-185
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
AB The potent, selective, tachykinin NK1 receptor antagonist, CP 122721 ([(+)-(2S,3S)-3-(2-methoxy-5-trifluoromethoxybenzyl)amino-2-phenylpiperidine]), at 0.01-1 mg/kg, s.c. reduced retching and vomiting elicited by loperamide, copper sulfate, ipecac syrup and cisplatin in a dose-dependent manner. ID50 values after s.c. administration ranged from 0.02 mg/kg (loperamide) to 0.08 mg/kg (ipecac). Oral CP 122721 reduced cisplatin-induced emesis with an ID50 of .apprx.0.08 mg/kg. The less active (2R,3R)-enantiomer, CP 132687, did not significantly suppress retching or vomiting induced by any of the emetogens. These data support the hypothesis that CP 122721 blocks emesis by a specific action at tachykinin NK1 receptors. Its broad spectrum of antiemetic activity suggests a central site of action.
IT **145742-28-5**, CP 122721
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(broad spectrum antiemetic effects of CP 122721, a tachykinin NK1 receptor antagonist, in ferrets)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

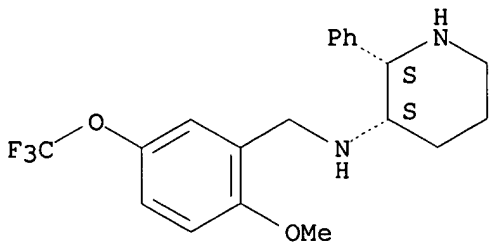
Absolute stereochemistry.



=> D BIB ABS HITSTR 20

L18 ANSWER 20 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1996:293247 CAPLUS
DN 125:26019
TI Characterization of CP-122,721; a nonpeptide antagonist of the neurokinin NK1 receptor
AU Mclean, S.; Ganong, A.; Seymour, P. A.; Bryce, D. K.; Crawford, R. T.; Morrone, J.; Reynolds, L. S.; Schmidt, A. W.; Zorn, S.; et al.
CS Dep. Neurosci., Pfizer Inc., Groton, CT, 06340, USA
SO J. Pharmacol. Exp. Ther. (1996), 277(2), 900-908
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB CP-122,721 [(+)-(2S,3S)-3-(2-methoxy-5-trifluoromethoxybenzyl)amino-2-phenylpiperidine] interacts with high affinity (pIC50 = 9.8) at the human NK1 receptor expressed in IM-9 cells. In the presence of CP-122,721, there was a redn. in Bmax of [125I]BH-SP binding with no change in affinity suggesting that CP-122,721 does not interact with the NK1 receptor in a competitive manner. In an in vitro functional assay, CP-122,721 blocked SP-induced excitation of locus ceruleus cells in guinea pig brain slices with an IC50 value of 7 nM. In vivo, CP-122,721 potently blocked plasma extravasation in guinea pig lung elicited by aerosolized capsaicin (1 mM) with an ID50 = 0.01 mg/kg, p.o. Orally administered CP-122,721 antagonized Sar9, Met (O2)11-SP-induced locomotor activity in guinea pigs with an ID50 = 0.2 mg/kg suggesting good entry into the central nervous system. In addn., consistent with the insurmountable blockage obsd. in vitro, CP-122,721 (0.01, 0.03 0.3 mg/kg p.o) produced a rightward shift in the dose response curve for SP-induced hypotension in the awake dog that was accompanied by a decrease in the maximal response. Thus, in vitro and in vivo CP-122,721 appears to behave functionally as a non-competitive antagonist producing an insurmountable blockade of the actions of SP.
IT **145742-28-5**, CP 122721
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(characterization of neurokinin NK1 receptor antagonist CP-122,721)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Searched by John Dantzman 308-4488

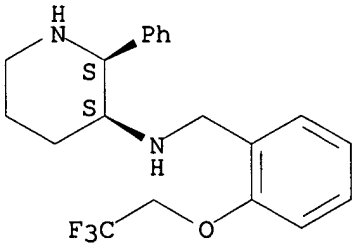
=> D BIB ABS HITSTR 21

L18 ANSWER 21 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1995:808197 CAPLUS
DN 123:218418
TI Pharmaceutical agents for the inhibition of angiogenesis
IN Lowe, John A. Iii
PA Pfizer Inc., USA
SO Can. Pat. Appl., 151 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2136295	AA	19950524	CA 1994-2136295	19941121
	EP 659409	A2	19950628	EP 1994-202995	19941014
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
PRAI	US 1993-157493		19931123		

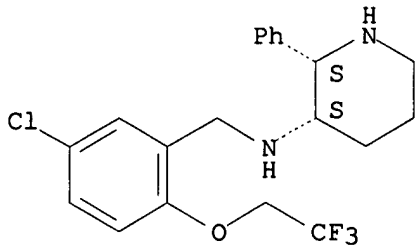
OS MARPAT 123:218418
AB The present invention relates to medicine for (a) inhibiting angiogenesis in mammals or (b) treating or preventing a disease or condition that is caused or mediated by angiogenesis or of which angiogenesis is a symptom in a mammal, using compds. that are substance P receptor antagonists and, specifically, certain quinuclidine derivs., piperidine derivs., pyrrolidine derivs., azanorbornane derivs., ethylenediamine derivs. and related compds.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2 164154-85-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals for the inhibition of angiogenesis)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



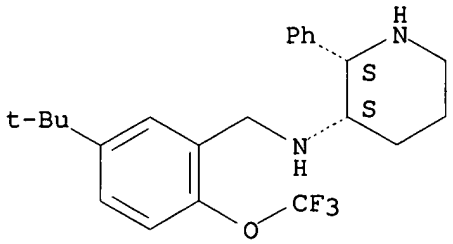
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



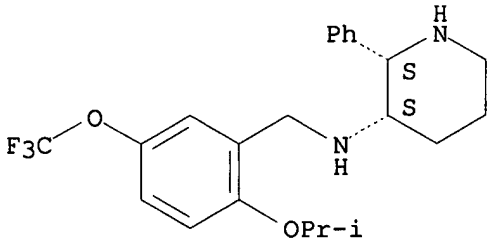
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



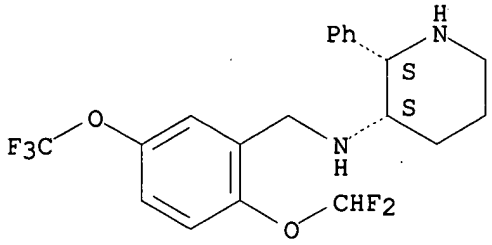
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



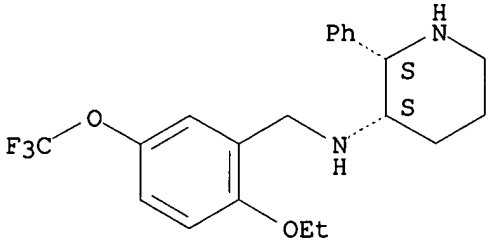
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



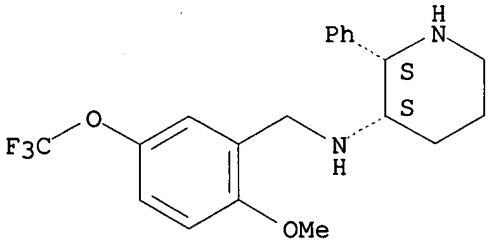
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



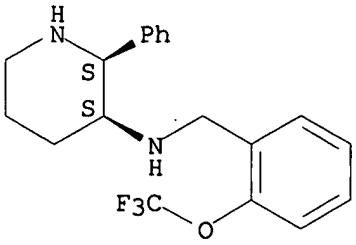
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



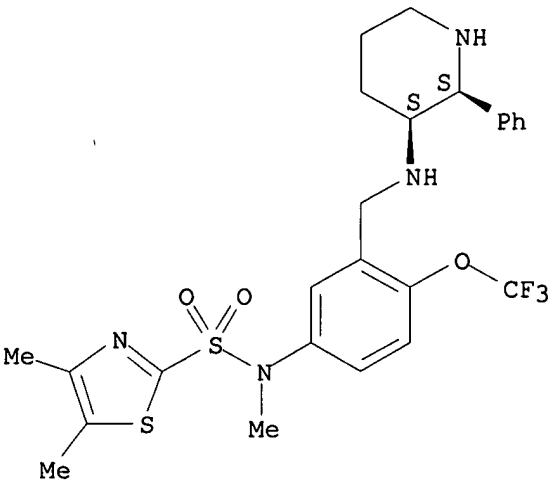
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
(2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



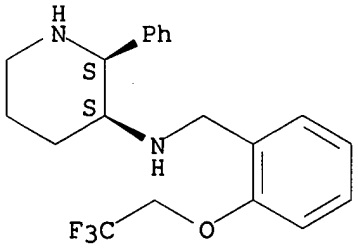
=> D BIB ABS HITSTR 22

L18 ANSWER 22 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1995:667293 CAPLUS
DN 123:65828
TI Pharmaceuticals for treatment or prevention of sunburn.
IN Hess, Hans-Jurgen Ernst; Nagahisa, Atsushi
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 91 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 653208	A2	19950517	EP 1994-203210	19941103
	EP 653208	A3	19951011		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2135837	AA	19950518	CA 1994-2135837	19941115
PRAI	US 1993-153682		19931117		

OS MARPAT 123:65828
AB The present invention relates to the use of certain quinuclidine, piperidine, azanorbornane derivs. and related compds., for the manuf. of a drug for the treatment or prevention of sunburn. The antisunburn activity of compds. that are substance P receptor antagonists was demonstrated in guinea pigs.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2
RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals for treatment or prevention of sunburn)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

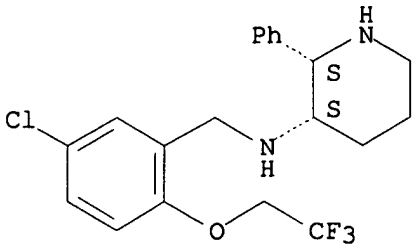
Absolute stereochemistry.



RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-

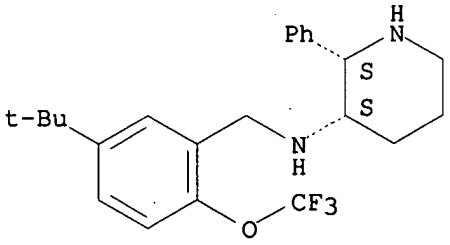
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



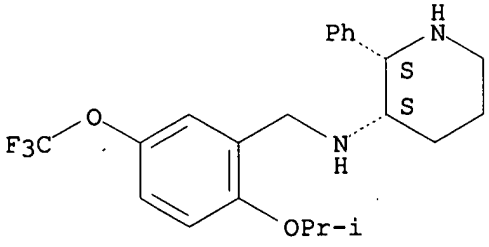
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



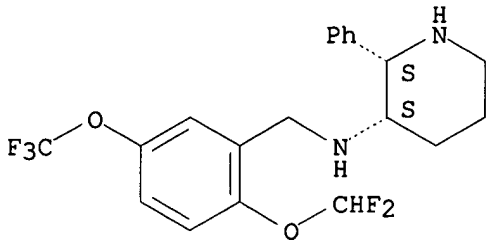
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



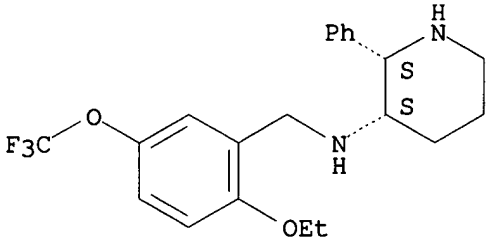
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



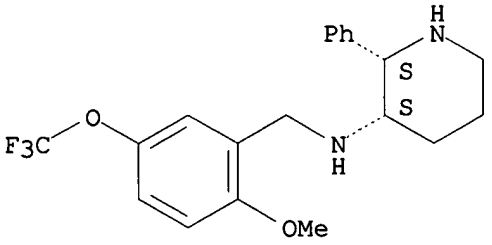
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



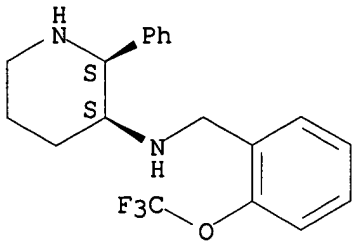
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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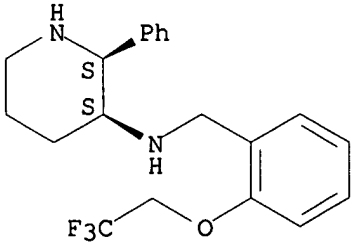
=> D BIB ABS HITSTR 23

L18 ANSWER 23 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1995:648256 CAPLUS
DN 124:763
TI Substance P antagonists for treatment of disorders caused by Helicobacter pylori or other spiral urease-positive gram-negative bacteria
IN Clancy, Joanna
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 655246	A1	19950531	EP 1994-308480	19941116
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2136801	AA	19950531	CA 1994-2136801	19941128
	CA 2136801	C	19990223		
	US 5750535	A	19980512	US 1995-520522	19950829
PRAI	US 1993-159157		19931130		

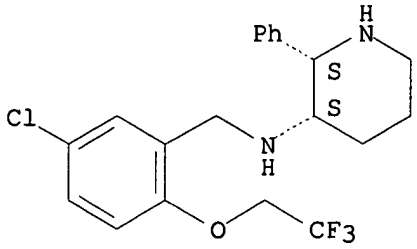
OS MARPAT 124:763
AB Disorders caused by spiral urease-pos. gram-neg. bacteria such as H. pylori in mammals, including humans, are treated or prevented with substance P receptor antagonists, e.g. quinuclidines, piperidines, pyrrolidines, azanorbornanes, ethylenediamine derivs., etc. (Markush structures given) (no data).
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-23-0 145742-28-5
145742-33-2 164154-85-2 164352-86-7
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substance P antagonists for treatment of disorders caused by Helicobacter pylori or other spiral urease-pos. gram-neg. bacteria)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



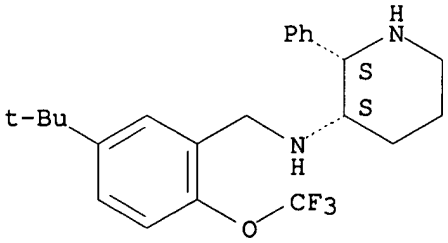
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



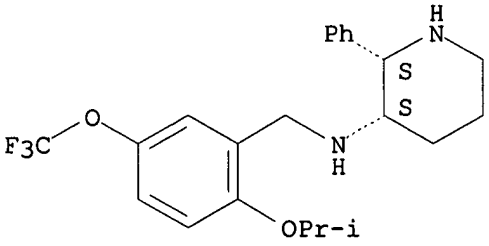
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



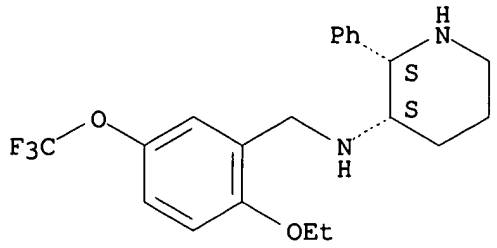
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



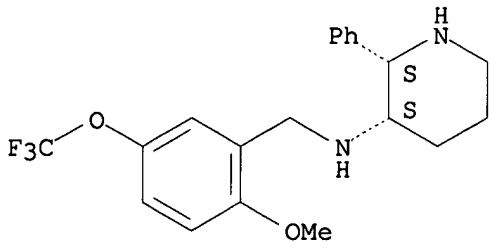
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine, N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



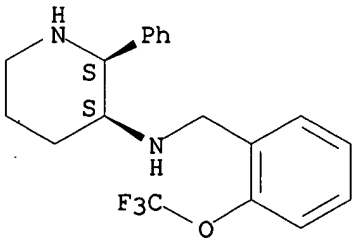
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



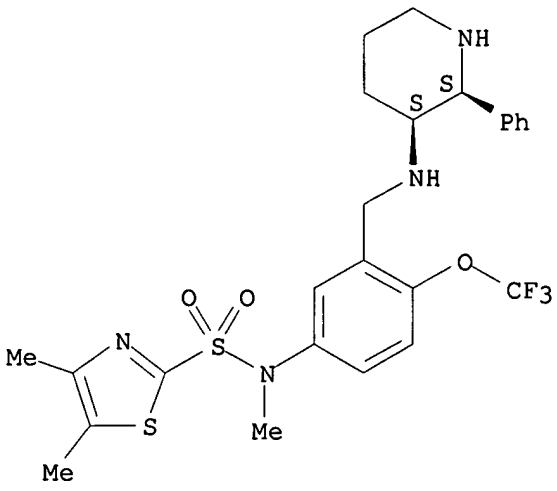
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



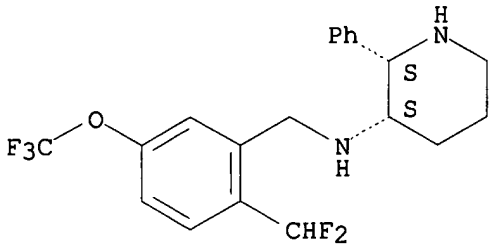
RN 164154-85-2 CAPLUS
CN 2-Thiazolesulfonamide, N,4,5-trimethyl-N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164352-86-7 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethyl)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



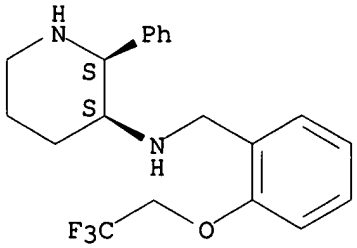
=> D BIB ABS HITSTR 24

L18 ANSWER 24 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1995:397278 CAPLUS
DN 122:178403
TI Substance P antagonists for the treatment of emesis
IN Desai, Manoj C.; Lowe, John A., III; Watson, John W.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 93 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 627221	A2	19941207	EP 1994-303467	19940516
	EP 627221	A3	19950802		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5393762	A	19950228	US 1993-72629	19930604
	JP 07053362	A2	19950228	JP 1994-121042	19940602
	AU 9464521	A1	19941215	AU 1994-64521	19940603
	AU 666077	B2	19960125		
	ZA 9403896	A	19951204	ZA 1994-3896	19940603
	HU 71550	A2	19951228	HU 1994-1676	19940603
	CN 1121806	A	19960508	CN 1994-106917	19940603
PRAI	US 1993-72629		19930604		

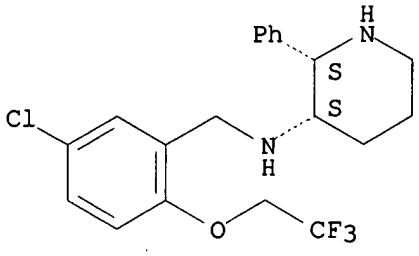
OS MARPAT 122:178403
AB Quinuclidine derivs., piperidine derivs., azanorbornane derivs., and related compds. (Markush included) are disclosed for treating or preventing emesis in mammals, including humans. The compd. cis-3-[(2-methoxyphenyl)methylamino]-2-benzhydrylquinuclidine inhibited cisplatinum-induced emesis in ferrets when administered at a dose of 10 mg/kg s.c., 30 min before cisplatinum exposure.
IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinuclidine derivs., piperidine derivs., azanorbornane derivs., and related compds. as substance P antagonists for the treatment of emesis)
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



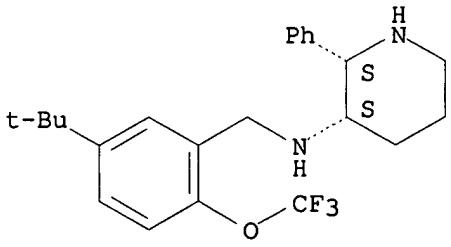
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



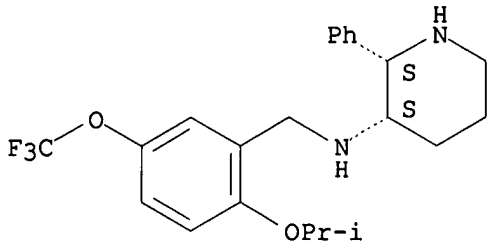
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



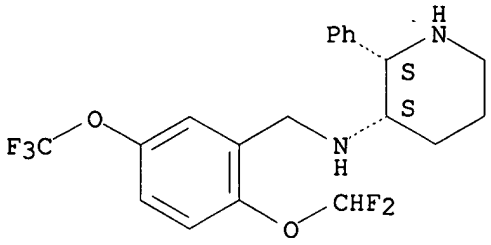
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



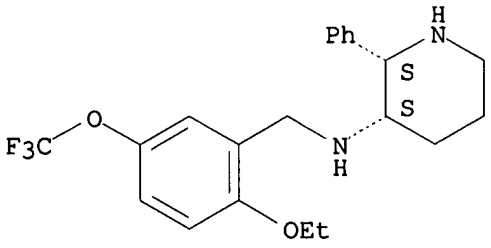
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



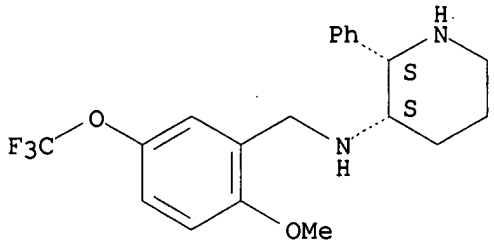
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



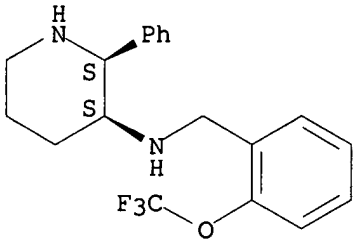
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



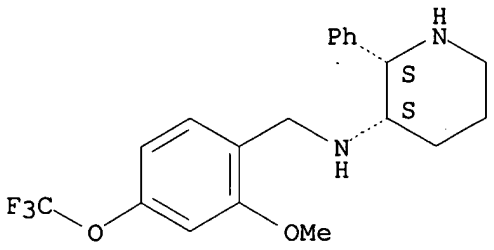
=> D BIB ABS HITSTR 25

L18 ANSWER 25 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1995:367652 CAPLUS
DN 122:160480
TI Preparation of chiral 2-phenyl-3-benzylaminopiperidines as substance P antagonists
IN Snyder, William M.; Watson, Harry A., Jr.; Wilcox, Glenn E.
PA Pfizer Inc., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9427966	A1	19941208	WO 1994-IB59	19940406
	W: CA, FI, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2162400	AA	19941208	CA 1994-2162400	19940406
	EP 700384	A1	19960313	EP 1994-910014	19940406
	EP 700384	B1	19970716		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08507297	T2	19960806	JP 1994-519356	19940406
	AT 155456	E	19970815	AT 1994-910014	19940406
	ES 2105664	T3	19971016	ES 1994-910014	19940406
	FI 9505708	A	19951127	FI 1995-5708	19951127
PRAI	US 1993-68471		19930528		
	WO 1994-IB59		19940406		

OS MARPAT 122:160480
AB Title compds. were prepd. as substance P antagonists (no data). Thus, 3-amino-2-phenylpyridine was hydrogenated and the product resolved via the L-(+)-mandelic acid salt to give (+)-(2S,3S)-3-amino-2-phenylpiperidine which was condensed with 2-(MeO)C6H4CHO and the product reduced with Na(AcO)3BH to give (+)-(2S,3S)-3-(2-methoxybenzylamino)-2-phenylpiperidine.
IT **161061-20-7P 161061-21-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of chiral 2-phenyl-3-benzylaminopiperidines as substance P antagonists)
RN 161061-20-7 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-4-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

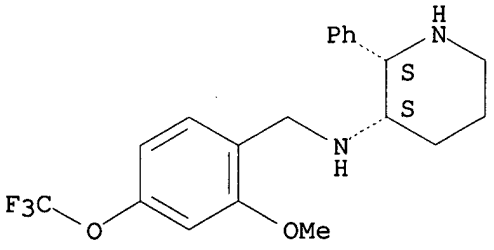
Absolute stereochemistry.



● HCl

RN 161061-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-4-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D BIB ABS HITSTR 26

L18 ANSWER 26 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1994:646339 CAPLUS
DN 121:246339
TI Use of tachykinin antagonists in the treatment of emesis
IN Hagan, Russell Michael; Bunce, Keith Thomas
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

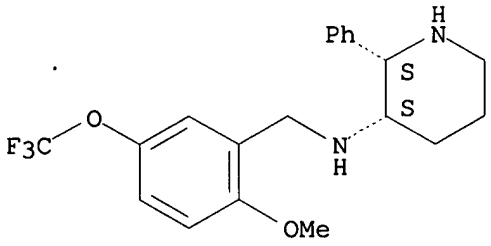
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 615751	A1	19940921	EP 1994-200691	19940317
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5547964	A	19960820	US 1994-214306	19940317
	JP 07002658	A2	19950106	JP 1994-74101	19940318
PRAI	GB 1993-5718		19930319		

AB The present invention relates to the use of certain tachykinin antagonists, including substance P antagonists and other neurokinin antagonists, in the treatment of emesis. For example, cis-3-[(3,5-dimethylphenyl)methyloxy]-2-phenylpiperidine inhibited cisplatin-induced emesis in ferret when administered at a dose of 10 mg/kg s.c.

IT **145742-28-5 145742-33-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tachykinin antagonist for treatment of emesis)

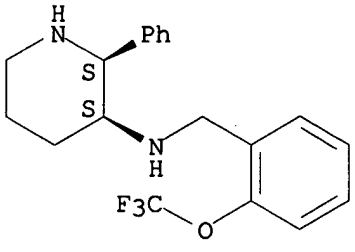
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


H
N
Ph
S
S
N
H
F3C
O

=> D BIB ABS HITSTR 27

L18 ANSWER 27 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1994:595919 CAPLUS
DN 121:195919
TI Pharmaceutical agents for treatment of urinary incontinence
IN Desai, Manoj C.; Lowe, Iii John A.; Rosen, Terry J.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

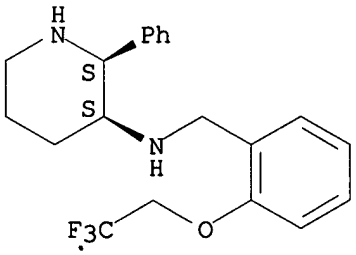
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 610021	A1	19940810	EP 1994-300575	19940126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5340826	A	19940823	US 1993-13277	19930204
	US 5519033	A	19960521	US 1994-251493	19940531
PRAI	US 1993-13277		19930204		

AB Urinary incontinence is prevented or treated in mammals, including humans, by administration of certain quinuclidine derivs., piperidine derivs., azanorbornane derivs., ethylenediamine derivs., and related compds. which act as substance P receptor antagonists (no data). The preferred dosage range is 0.07-21 mg/kg orally or parenterally.

IT **145741-98-6 145741-99-7 145742-01-4**
145742-21-8 145742-22-9 145742-23-0
145742-28-5 145742-33-2
RL: BIOL (Biological study)
(bladder incontinence treatment with)

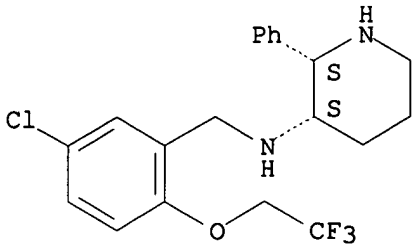
RN 145741-98-6 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



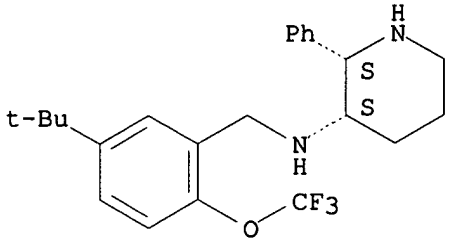
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



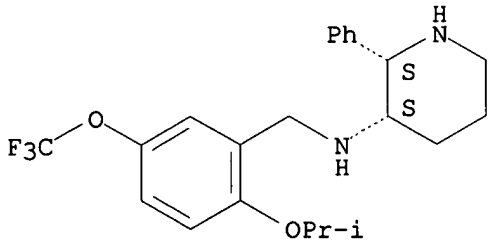
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



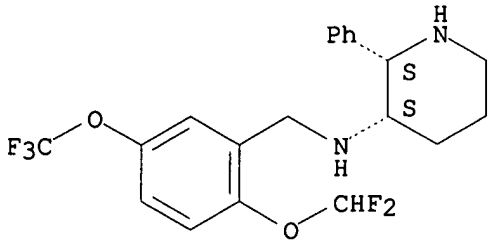
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



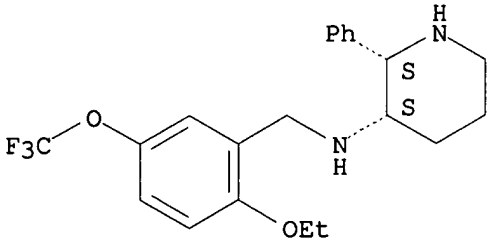
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



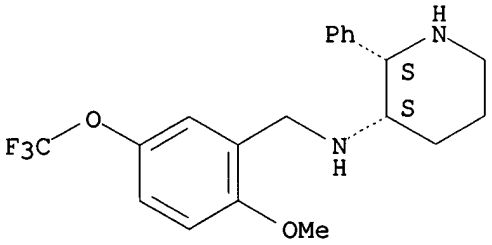
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



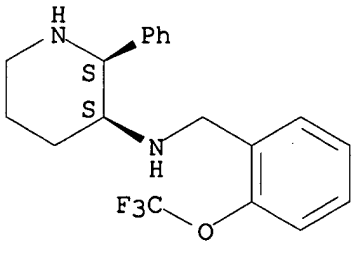
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
(2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

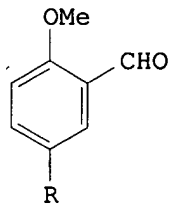

H
N
Ph
S
S
N
H
F3C
O

=> D BIB ABS HITSTR 28

L18 ANSWER 28 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1994:322931 CAPLUS
DN 120:322931
TI 2-Step formylation process for preparation of (methoxy)benzaldehydes
IN Godek, Dennis M.; Synder, William M.; Stewart, Andrew M.
PA Pfizer Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5294744	A	19940315	US 1993-49904	19930420
	WO 9424081	A1	19941027	WO 1994-US445	19940126
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2160686	AA	19941027	CA 1994-2160686	19940126
	CA 2160686	C	19980106		
	EP 690835	A1	19960110	EP 1994-906619	19940126
	EP 690835	B1	19980819		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08505399	T2	19960611	JP 1994-523111	19940126
	JP 2745163	B2	19980428		
	AT 169896	E	19980915	AT 1994-906619	19940126
	ES 2119171	T3	19981001	ES 1994-906619	19940126
	FI 9401808	A	19941021	FI 1994-1808	19940419
PRAI	US 1993-49904		19930420		
	WO 1994-US445		19940126		

OS CASREACT 120:322931; MARPAT 120:322931
GI



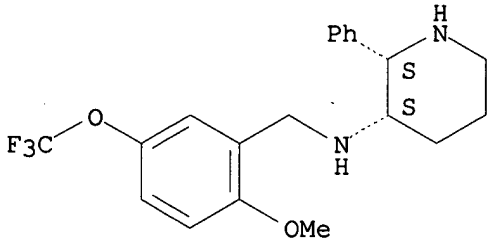
I

AB The title compds. (I; R = CHMe2, OCF3), useful as intermediates in the prepn. of substance P receptor antagonists, are prepd. by reacting the corresponding 4-substituted phenol with a di-Me carbonate in the presence of a tertiary-amine base [e.g., 4-(dimethylamino)pyridine] optionally in the presence of an inert, polar, org. solvent (i.e., the solvent is always present when R = CHMe2) at 120-170.degree. to form the corresponding 4-substituted anisoles which are reacted within the 2nd step with hexamethylenetetramine in the presence of F3CO2H at temps. of 65.degree. to the reflux temp. of the reaction mixt.

Searched by John Dantzman 308-4488

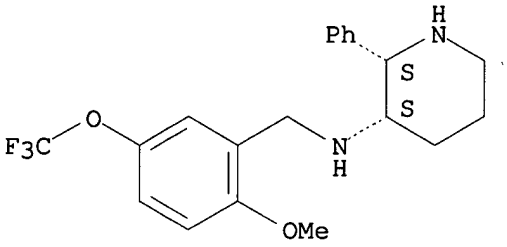
IT **145742-28-5P 155018-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as substance P receptor antagonist)
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155018-94-3 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



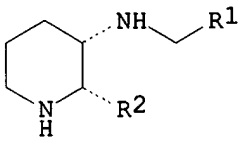
● HCl

=> D BIB ABS HITSTR 29

L18 ANSWER 29 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1993:649843 CAPLUS
DN 119:249843
TI Process for the preparation of substituted cis-3-aminopiperidine substance
P receptor antagonists
IN Godek, Dennis Michael; Ruggeri, Sally Gut; Rosen, Terry Jay; Wint, Lewin T.
PA Pfizer Inc., USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9311110	A1	19930610	WO 1992-US9929	19921124
	W: AU, BR, CA, CS, FI, HU, JP, KR, NO, PL, RU, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5364943	A	19941115	US 1991-800667	19911127
	AU 9331408	A1	19930628	AU 1993-31408	19921124
	AU 670765	B2	19960801		
	EP 619806	A1	19941019	EP 1992-925298	19921124
	EP 619806	B1	19960103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06510795	T2	19941201	JP 1992-510148	19921124
	JP 2587903	B2	19970305		
	BR 9206823	A	19950425	BR 1992-6823	19921124
	HU 70514	A2	19951030	HU 1994-1584	19921124
	AT 132487	E	19960115	AT 1992-925298	19921124
	ES 2081636	T3	19960301	ES 1992-925298	19921124
	RU 2081112	C1	19970610	RU 1994-27570	19921124
	PL 173659	B1	19980430	PL 1992-303982	19921124
	FI 9402457	A	19940526	FI 1994-2457	19940526
	NO 9401958	A	19940526	NO 1994-1958	19940526
	US 5663349	A	19970902	US 1994-273662	19940712
PRAI	US 1991-800667	19911127			
	US 1990-531265	19900531			
	WO 1992-US9929	19921124			

OS MARPAT 119:249843
GI



I

AB The title compds. I [R1 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted C3-7 cycloalkyl; R2 = (un)substituted thienyl,

(un)substituted benzhydyryl, (un)substituted naphthyl, (un)substituted Ph], useful as substance P receptor antagonists (no data), are prepd. by the condensation of a substituted 3-aminopyridine with R1COX (X = leaving group), R1CHO, or R1CH2X, followed by redn., hydrogenation, and resoln. Thus, 3-amino-2-chloropyridine was condensed with o-anisaldehyde, the Schiff base catalytically reduced, the intermediate reacted with PhMgBr, the intermediate hydrogenated to the corresponding piperidine, and (+)-cis-3-(2-methoxybenzylamino)-2-phenylpiperidine hydrochloride prepd. by resoln. of the racemate with (R)-(-)-mandelic acid.

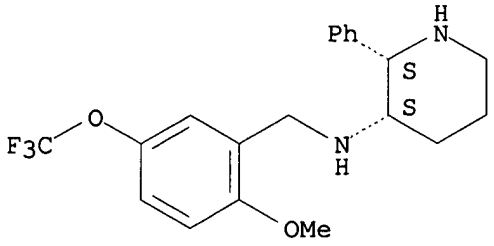
IT **151140-36-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and neutralization of, in prepn. of substance P receptor antagonists)

RN 151140-36-2 CAPLUS
CN Benzeneacetic acid, .alpha.-hydroxy-, (S)-, compd. with (2S-cis)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-3-piperidinamine (9CI) (CA INDEX NAME)

CM 1

CRN 145742-28-5
CMF C20 H23 F3 N2 O2
CDES 1:2S2:CIS

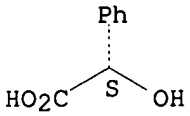
Absolute stereochemistry.



CM 2

CRN 17199-29-0
CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).

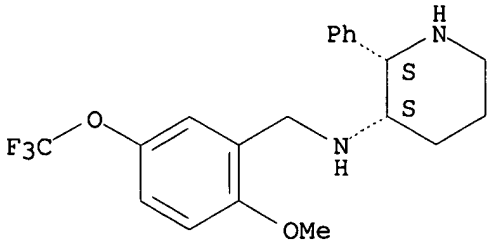


IT **145742-28-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of substance P receptor antagonists)

RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-

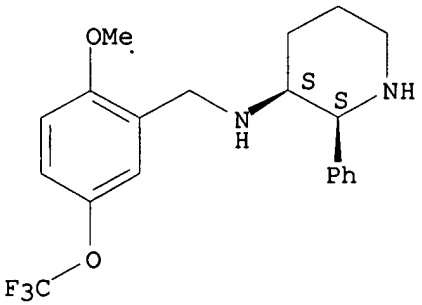
phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **151003-35-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resoln. of, in prepn. of substance P receptor antagonists)
RN 151003-35-9 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, cis- (9CI) (CA INDEX NAME)

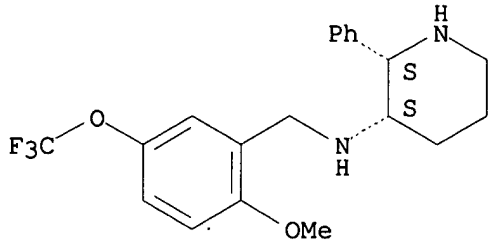
Relative stereochemistry.



● x HCl

IT **150891-77-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substance P receptor antagonist activity of)
RN 150891-77-3 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

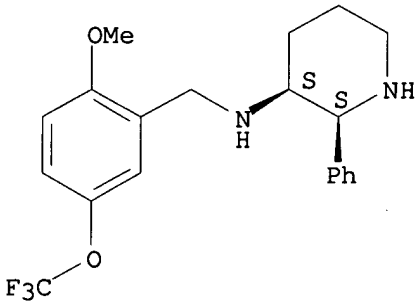
Absolute stereochemistry.



● x HCl

IT **151003-36-0**
RL: RCT (Reactant)
(substance P receptor antagonist activity of)
RN 151003-36-0 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> D BIB ABS HITSTR 30

L18 ANSWER 30 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1993:254758 CAPLUS
DN 118:254758
TI Preparation of 3-[(fluoroalkoxy)benzylamino]piperidines and analogs as substance P antagonists
IN Lowe, John Adams, III; Rosen, Terry Jay
PA Pfizer Inc., USA
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9300331	A1	19930107	WO 1992-US3571	19920505
	W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	CA 2109613	AA	19930107	CA 1992-2109613	19920505
	CA 2109613	C	19961119		
	AU 9218893	A1	19930125	AU 1992-18893	19920505
	AU 657967	B2	19950330		
	EP 589924	A1	19940406	EP 1992-911210	19920505
	EP 589924	B1	19960904		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06506473	T2	19940721	JP 1992-510950	19920505
	JP 07110850	B4	19951129		
	HU 70499	A2	19951030	HU 1995-836	19920505
	BR 9206161	A	19951031	BR 1992-6161	19920505
	AT 142199	E	19960915	AT 1992-911210	19920505
	ES 2092113	T3	19961116	ES 1992-911210	19920505
	PL 170516	B1	19961231	PL 1992-310851	19920505
	PL 172054	B1	19970731	PL 1992-301884	19920505
	ZA 9204528	A	19921220	ZA 1992-4528	19920619
	CN 1067655	A	19930106	CN 1992-104778	19920619
	US 5773450	A	19980630	US 1993-167881	19931214
	NO 9304691	A	19931217	NO 1993-4691	19931217
	NO 180715	B	19970224		
	NO 180715	C	19970604		
	HU 67434	A2	19950428	HU 1993-3668	19931220
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	WO 1992-US3571	19920505			
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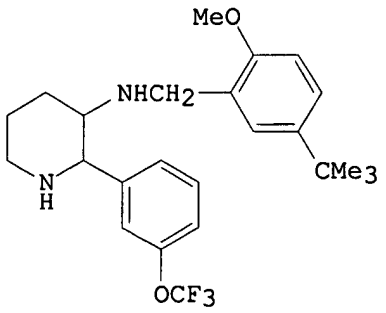
OS MARPAT 118:254758
GI



I

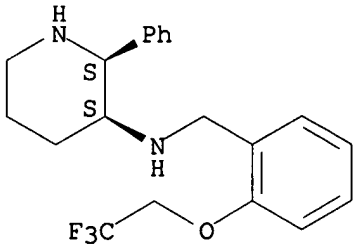
AB Title compds., e.g., X1X2X3C6H2CH2NHR [R = aza(bi)cycloalkyl, etc.; X1 = H, (fluoro)alkyl, -alkoxy; X2, X3 = H, halo, NO2, (fluoro)alkyl, -alkoxy, etc.] were prepd. as substance P antagonists (no data). Thus, 3-(F3CO)C6H4CHO was cyclocondensed with O2N(CH2)3CO2Me and AcNH4 and the product reduced to give cis-5-amino-6-(3-trifluoromethoxyphenyl)piperidin-2-one which was reductively condensed with 2,5-(MeO)(Me3C)C6H3CHO to give, after keto group redn., title compd. cis-I.

IT **145741-98-6P 145741-99-7P 145742-00-3P 145742-01-4P 145742-02-5P 145742-17-2P 145742-18-3P 145742-19-4P 145742-21-8P 145742-22-9P 145742-23-0P 145742-25-2P 145742-26-3P 145742-28-5P 145742-29-6P 145742-30-9P 145742-31-0P 145742-33-2P 145742-69-4P 145877-22-1P 145877-23-2P 145877-24-3P 145877-25-4P 145877-27-6P 145877-45-8P 145877-46-9P 145877-47-0P 145877-49-2P 145877-50-5P 145877-52-7P 145877-53-8P 145877-54-9P 145877-57-2P 147231-98-9P 147231-99-0P 147232-00-6P 147232-01-7P 147232-02-8P 147232-04-0P 147249-23-8P 147249-25-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as substance P antagonist)

RN 145741-98-6 CAPLUS

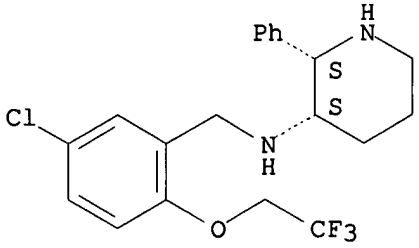
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



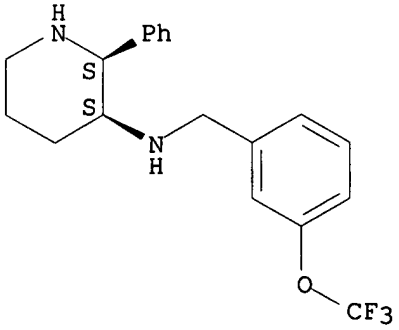
RN 145741-99-7 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



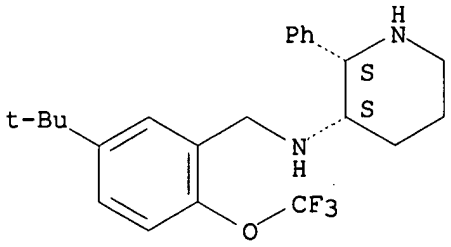
RN 145742-00-3 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



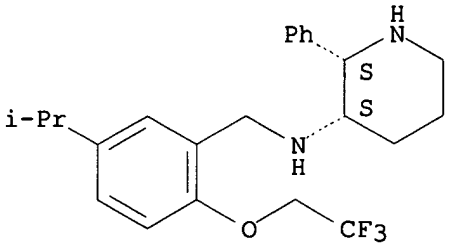
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



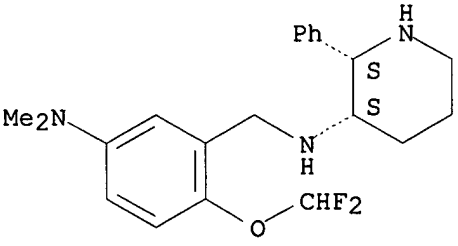
RN 145742-02-5 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



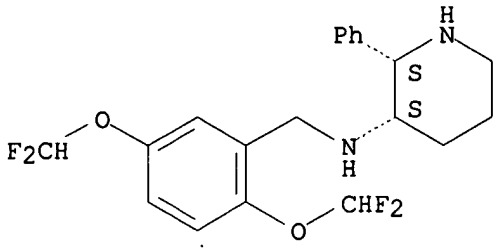
RN 145742-17-2 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



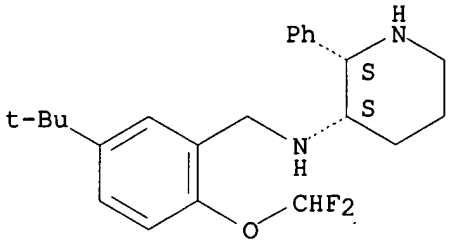
RN 145742-18-3 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



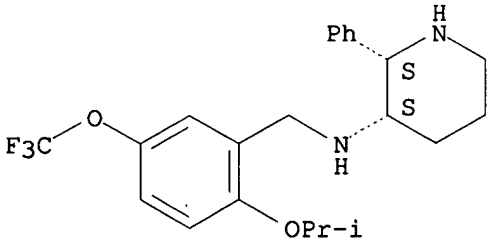
RN 145742-19-4 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



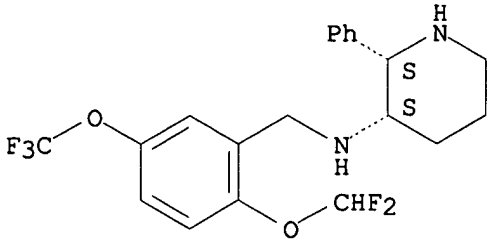
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



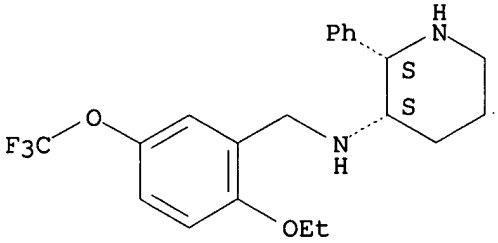
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



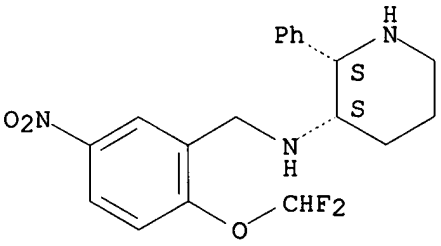
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



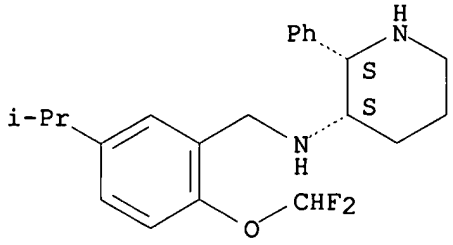
RN 145742-25-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



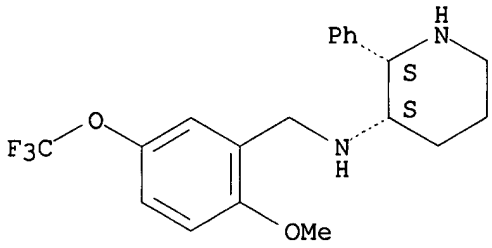
RN 145742-26-3 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



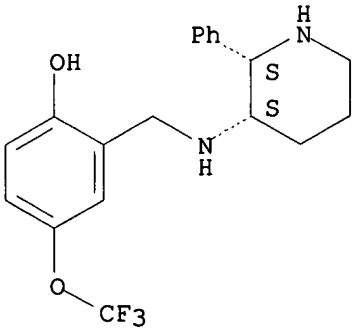
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



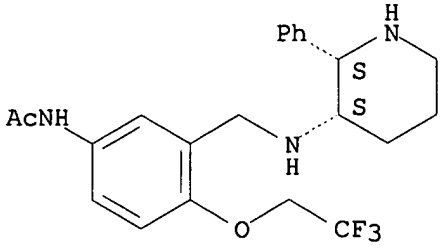
RN 145742-29-6 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



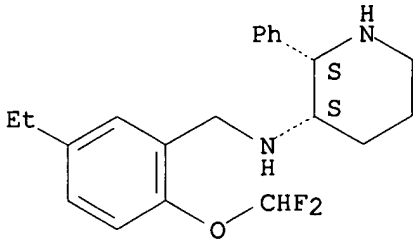
RN 145742-30-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



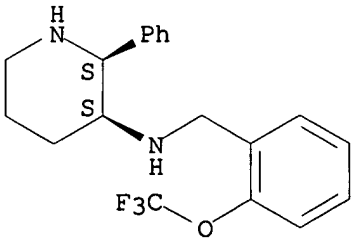
RN 145742-31-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



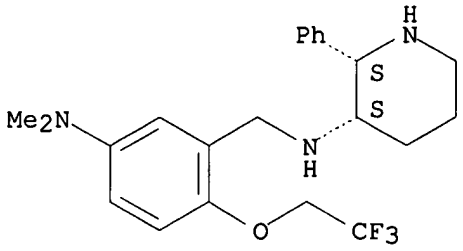
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
(2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



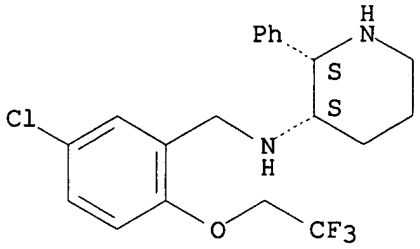
RN 145742-69-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-
trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 145877-22-1 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

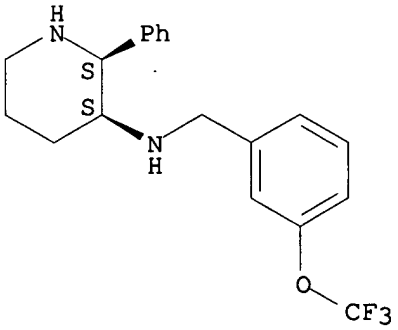
Absolute stereochemistry.



● 2 HCl

RN 145877-23-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

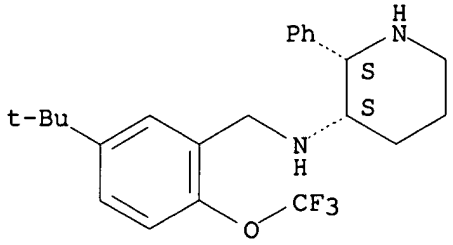
Absolute stereochemistry.



● 2 HCl

RN 145877-24-3 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

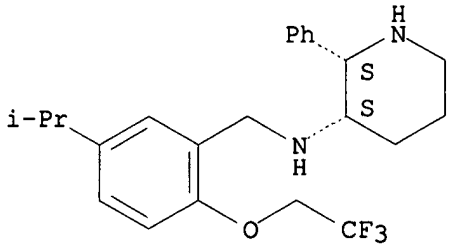
Absolute stereochemistry.



● 2 HCl

RN 145877-25-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI)
(CA INDEX NAME)

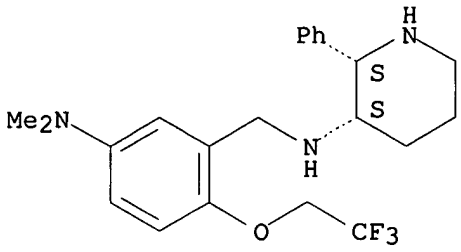
Absolute stereochemistry.



● 2 HCl

RN 145877-27-6 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

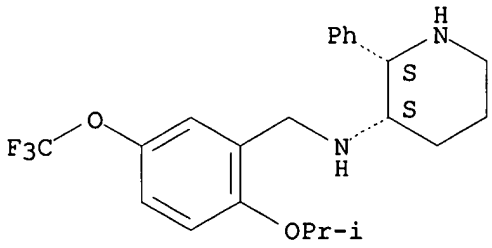
Absolute stereochemistry.



● x HCl

RN 145877-45-8 CAPLUS
CN 3-Piperidinamine,
N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl
]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

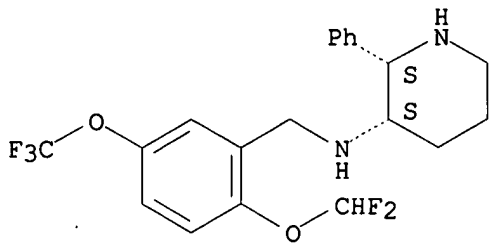
Absolute stereochemistry.



● 2 HCl

RN 145877-46-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

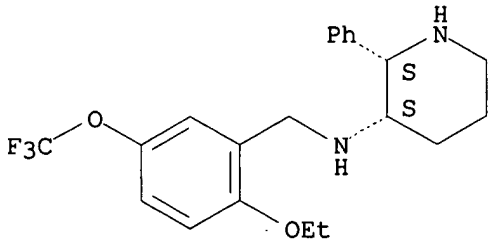
Absolute stereochemistry.



● 2 HCl

RN 145877-47-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

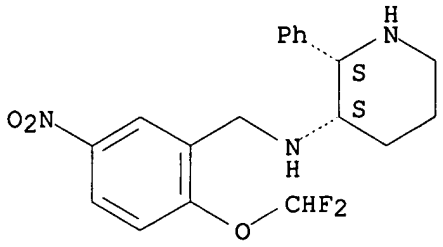
Absolute stereochemistry.



● 2 HCl

RN 145877-49-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

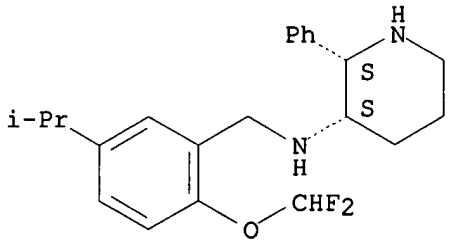
Absolute stereochemistry.



● x HCl

RN 145877-50-5 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

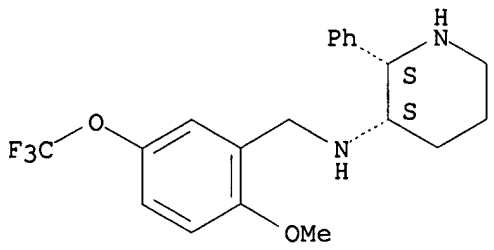
Absolute stereochemistry.



● 2 HCl

RN 145877-52-7 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-
phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

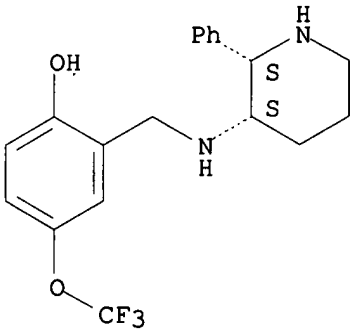
Absolute stereochemistry.



● 2 HCl

RN 145877-53-8 CAPLUS
CN Phenol, 2-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

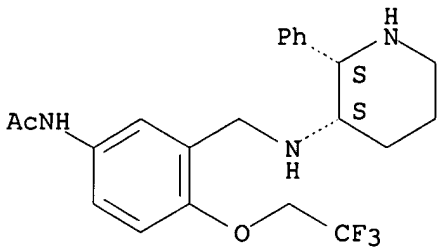
Absolute stereochemistry.



● 2 HCl

RN 145877-54-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

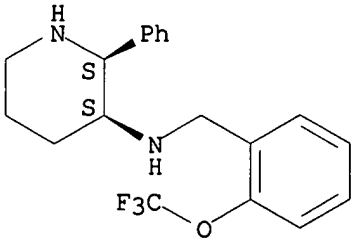
Absolute stereochemistry.



● x HCl

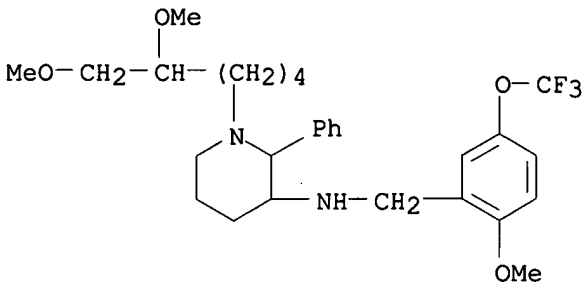
RN 145877-57-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

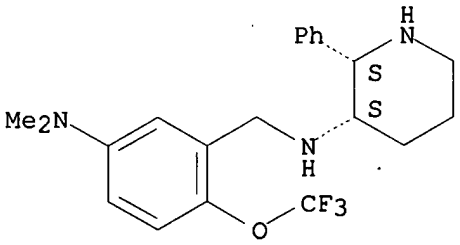
RN 147231-98-9 CAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 147231-99-0 CAPLUS
CN 3-Piperidinamine,
N-[[5-(dimethylamino)-2-(trifluoromethoxy)phenyl]methyl]-
2-phenyl-, trihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

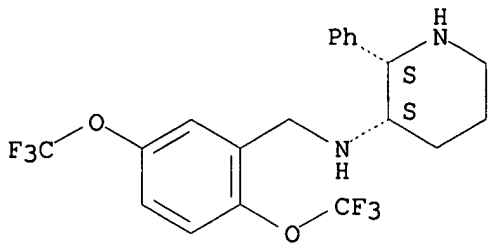
Absolute stereochemistry.



● 3 HCl

RN 147232-00-6 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(trifluoromethoxy)phenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

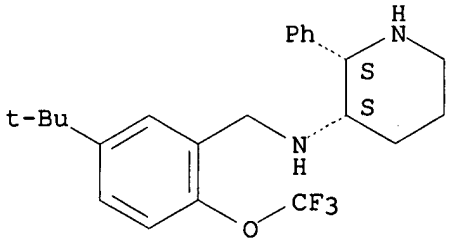
Absolute stereochemistry.



● x HCl

RN 147232-01-7 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)-(9CI)
(CA INDEX NAME)

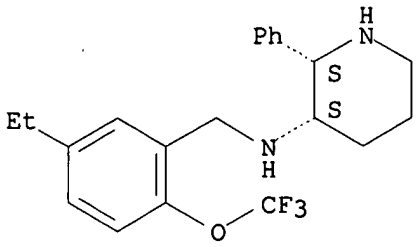
Absolute stereochemistry.



● x HCl

RN 147232-02-8 CAPLUS
CN 3-Piperidinamine, N-[[5-ethyl-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

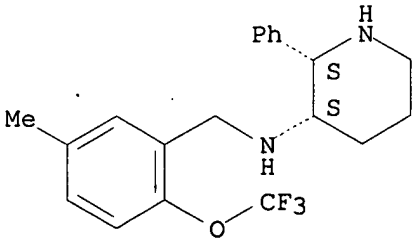
Absolute stereochemistry.



● 2 HCl

RN 147232-04-0 CAPLUS
CN 3-Piperidinamine,
N-[[5-methyl-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

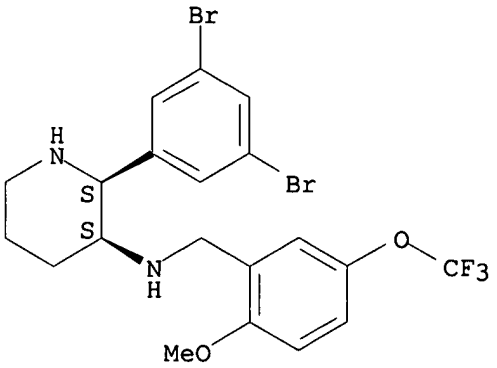
Absolute stereochemistry.



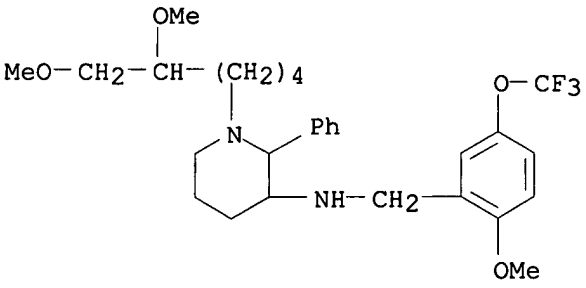
● 2 HCl

RN 147249-23-8 CAPLUS
CN 3-Piperidinamine, 2-(3,5-dibromophenyl)-N-[[2-methoxy-5-
(trifluoromethoxy)phenyl]methyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 147249-25-0 CAPLUS
CN 3-Piperidinamine, 1-(5,6-dimethoxyhexyl)-N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl- (9CI) (CA INDEX NAME)



=> D BIB ABS HITSTR 31

L18 ANSWER 31 OF 31 CAPLUS COPYRIGHT 1999 ACS
AN 1993:101813 CAPLUS
DN 118:101813
TI Stereoselective process for the preparation of N-(arylmethyl)-cis-2-aryl-3-piperidinamines by reductive benzylation or alkylation of cis-2-aryl-3-piperidinamine
IN Rosen, Terry Jay
PA Pfizer Inc., USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9217449	A1	19921015	WO 1992-US65	19920114
	W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
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	CA 2106200	C	19961119		
	AU 9212448	A1	19921102	AU 1992-12448	19920114
	AU 647592	B2	19940324		
	EP 581777	A1	19940209	EP 1992-905084	19920114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06502182	T2	19940310	JP 1992-504747	19920114
	JP 07094440	B4	19951011		
	BR 9205807	A	19940628	BR 1992-5807	19920114
	HU 67276	A2	19950328	HU 1993-2709	19920114
	PL 169993	B1	19960930	PL 1992-301110	19920114
	CN 1065264	A	19921014	CN 1992-102009	19920325
	CN 1038932	B	19980701		
	ZA 9202164	A	19930927	ZA 1992-2164	19920325
	NO 9303413	A	19930924	NO 1993-3413	19930924
	NO 180484	B	19970120		
	NO 180484	C	19970430		
PRAI	US 1991-675244	19910326			
	WO 1992-US65	19920114			

OS CASREACT 118:101813; MARPAT 118:101813
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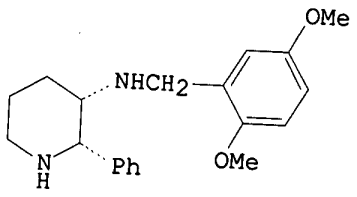

I

Searched by John Dantzman 308-4488

AB A process for the prepn. of N-(arylmethyl)-cis-2-aryl-3-piperidinamine derivs. comprises the reductive benzylation or alkylation of cis-2-aryl-3-piperidinamine derivs. with carbonyl derivs. in the presence of triacetoxyborohydride or cyanoborohydride. Reductive alkylation of (+)-2-phenyl-3-piperidinamine with 2,5-dimethoxybenzaldehyde in the presence of triacetoxyborohydride gave (+)-cis-N-[(2,5-dimethoxyphenyl]methyl]-2-phenyl-3-piperidinamine hydrochloride (I.HCl).

IT **145741-98-6P 145741-99-7P 145742-00-3P**
145742-01-4P 145742-02-5P 145742-04-7P
145742-17-2P 145742-18-3P 145742-19-4P
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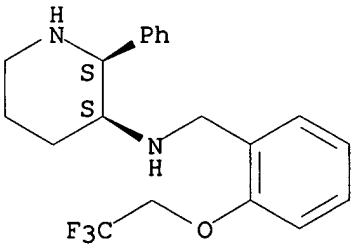
145877-56-1P 145877-57-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by reductive alkylation of phenylpiperidinamine)

RN 145741-98-6 CAPLUS

CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

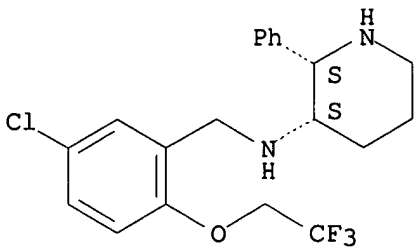
Absolute stereochemistry.



RN 145741-99-7 CAPLUS

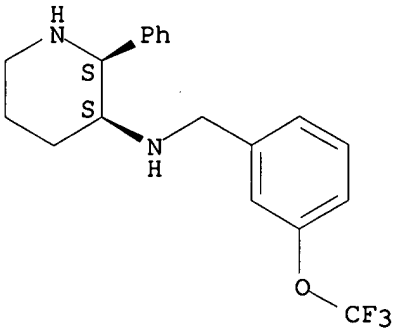
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



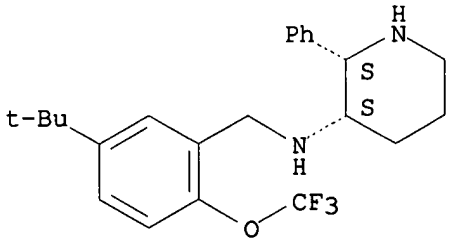
RN 145742-00-3 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



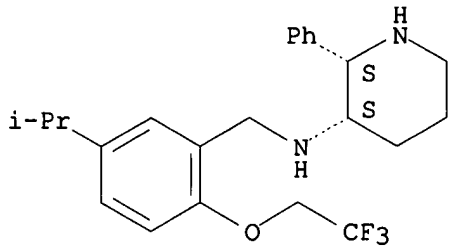
RN 145742-01-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



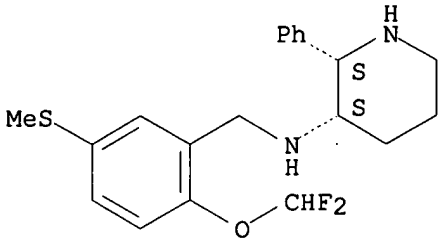
RN 145742-02-5 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



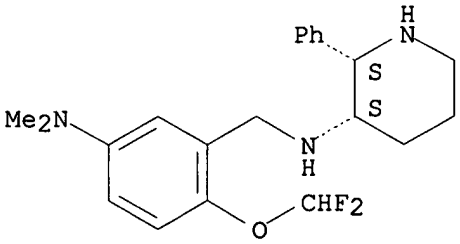
RN 145742-04-7 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(methylthio)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



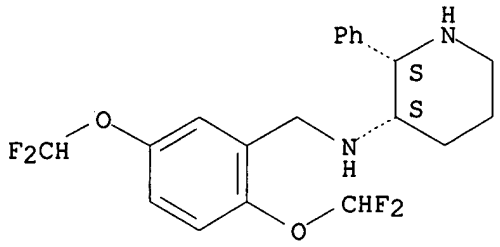
RN 145742-17-2 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



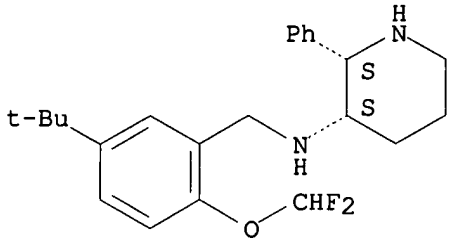
RN 145742-18-3 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



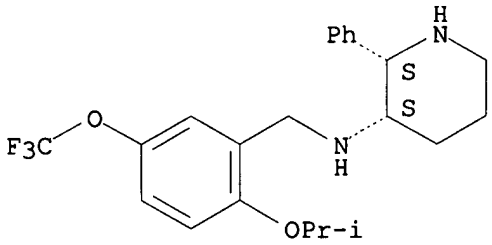
RN 145742-19-4 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



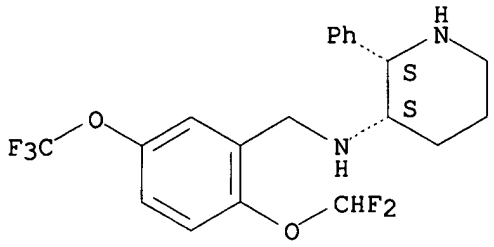
RN 145742-21-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



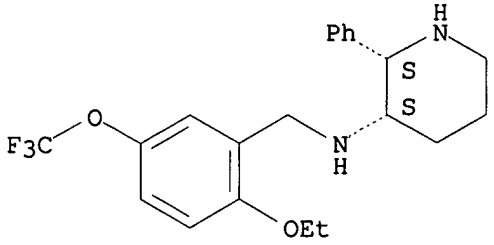
RN 145742-22-9 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



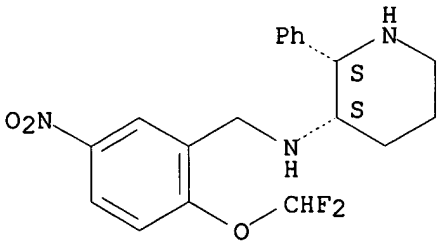
RN 145742-23-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



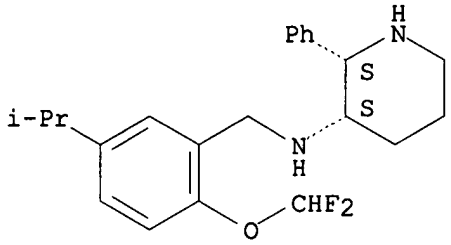
RN 145742-25-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



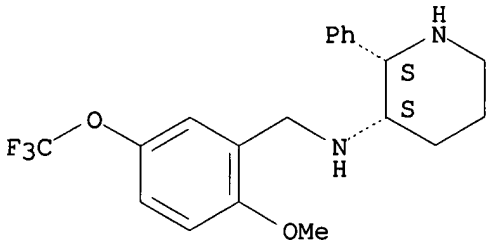
RN 145742-26-3 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



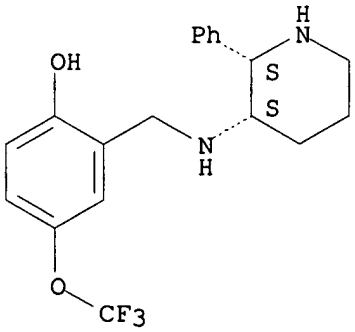
RN 145742-28-5 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



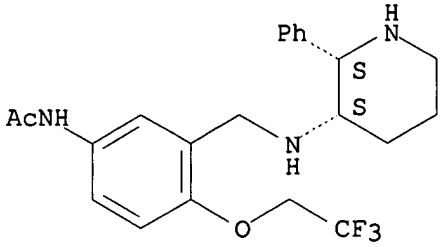
RN 145742-29-6 CAPLUS
CN Phenol, 2-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



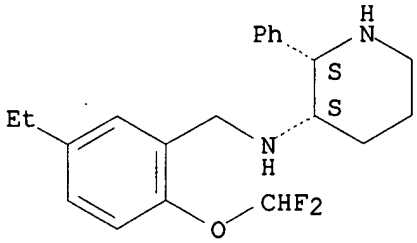
RN 145742-30-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



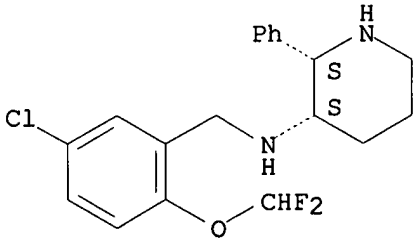
RN 145742-31-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-,
(2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



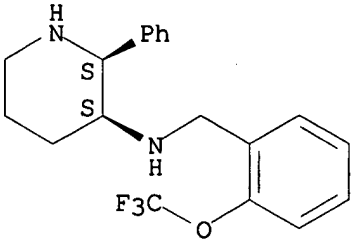
RN 145742-32-1 CAPLUS
CN 3-Piperidinamine,
N-[[5-chloro-2-(difluoromethoxy)phenyl]methyl]-2-phenyl-
, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



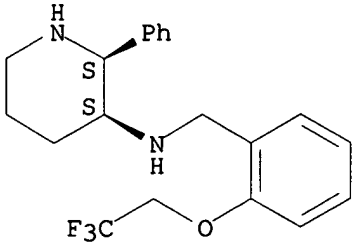
RN 145742-33-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
(2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145877-21-0 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(2,2,2-trifluoroethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

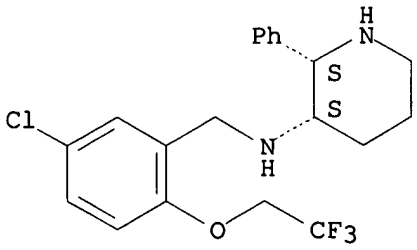
Absolute stereochemistry.



● 2 HCl

RN 145877-22-1 CAPLUS
CN 3-Piperidinamine, N-[[5-chloro-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

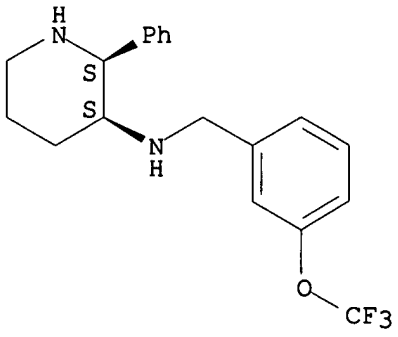
Absolute stereochemistry.



● 2 HCl

RN 145877-23-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

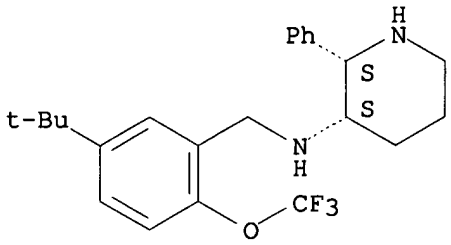
Absolute stereochemistry.



● 2 HCl

RN 145877-24-3 CAPLUS
CN 3-Piperidinamine, N-[[5-(1,1-dimethylethyl)-2-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)-(9CI) (CA INDEX NAME)

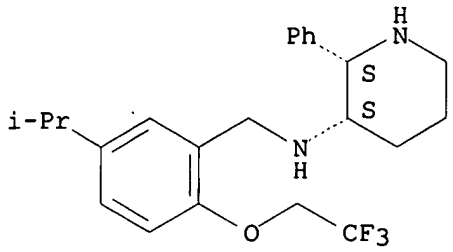
Absolute stereochemistry.



● 2 HCl

RN 145877-25-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(1-methylethyl)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)-(9CI)
(CA INDEX NAME)

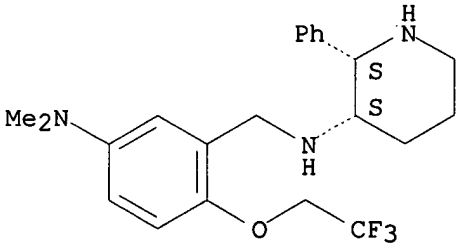
Absolute stereochemistry.



● 2 HCl

RN 145877-27-6 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

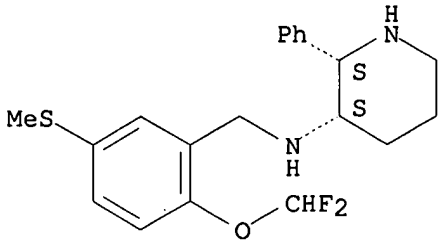
Absolute stereochemistry.



● x HCl

RN 145877-28-7 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(methylthio)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

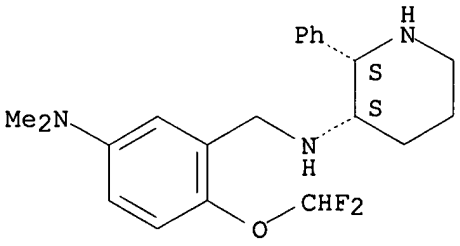
Absolute stereochemistry.



● 2 HCl

RN 145877-41-4 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(dimethylamino)phenyl]methyl]-
2-phenyl-, trihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

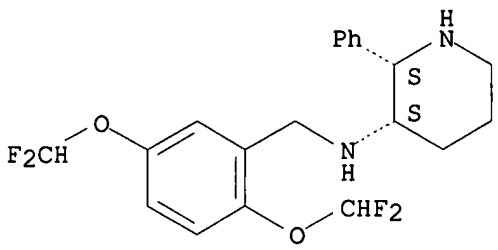
Absolute stereochemistry.



● 3 HCl

RN 145877-42-5 CAPLUS
CN 3-Piperidinamine, N-[[2,5-bis(difluoromethoxy)phenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

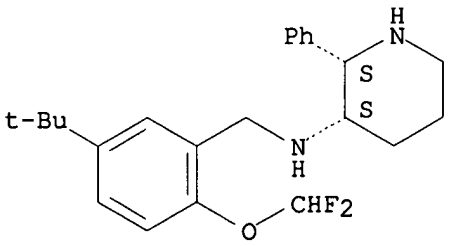
Absolute stereochemistry.



● x HCl

RN 145877-43-6 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-(1,1-dimethylethyl)phenyl]methyl]-2-phenyl-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

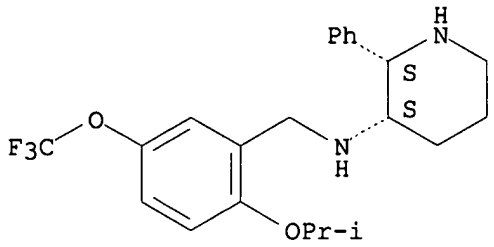
Absolute stereochemistry.



● x HCl

RN 145877-45-8 CAPLUS
CN 3-Piperidinamine, N-[[2-(1-methylethoxy)-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

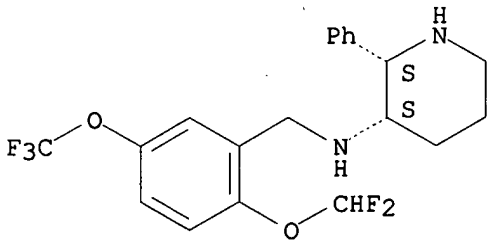
Absolute stereochemistry.



● 2 HCl

RN 145877-46-9 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(trifluoromethoxy)phenyl]methy
l]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

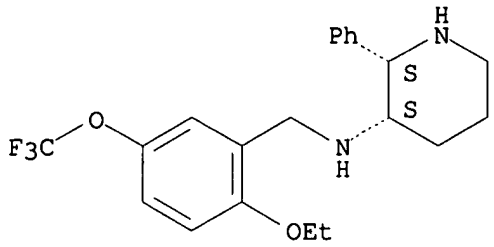
Absolute stereochemistry.



● 2 HCl

RN 145877-47-0 CAPLUS
CN 3-Piperidinamine,
N-[[2-ethoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

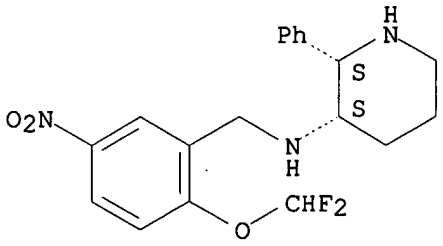
Absolute stereochemistry.



● 2 HCl

RN 145877-49-2 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-nitrophenyl]methyl]-2-phenyl-,
hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

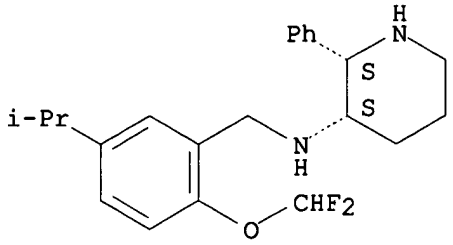
Absolute stereochemistry.



● x HCl

RN 145877-50-5 CAPLUS
CN 3-Piperidinamine,
N-[[2-(difluoromethoxy)-5-(1-methylethyl)phenyl]methyl]-
2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

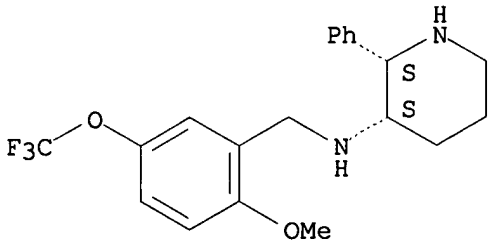
Absolute stereochemistry.



● 2 HCl

RN 145877-52-7 CAPLUS
CN 3-Piperidinamine, N-[[2-methoxy-5-(trifluoromethoxy)phenyl]methyl]-2-phenyl-, dihydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

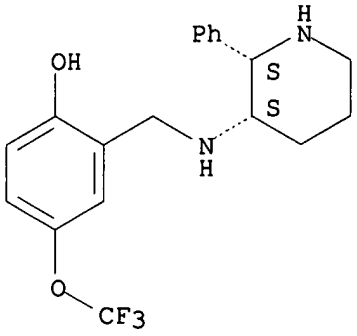
Absolute stereochemistry.



● 2 HCl

RN 145877-53-8 CAPLUS
CN Phenol, 2-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(trifluoromethoxy)-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

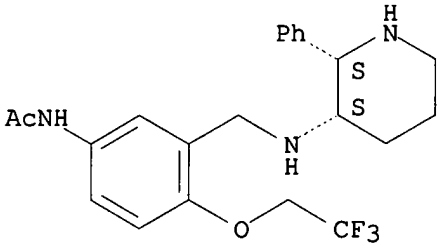
Absolute stereochemistry.



● 2 HCl

RN 145877-54-9 CAPLUS
CN Acetamide, N-[3-[[(2-phenyl-3-piperidinyl)amino]methyl]-4-(2,2,2-trifluoroethoxy)phenyl]-, hydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

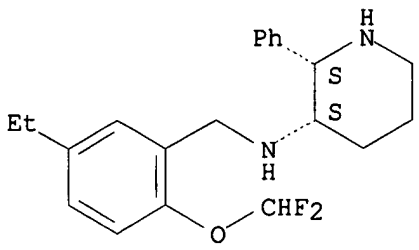
Absolute stereochemistry.



● x HCl

RN 145877-55-0 CAPLUS
CN 3-Piperidinamine, N-[[2-(difluoromethoxy)-5-ethylphenyl]methyl]-2-phenyl-, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

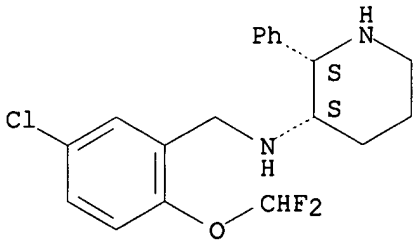
Absolute stereochemistry.



● 2 HCl

RN 145877-56-1 CAPLUS
CN 3-Piperidinamine,
N-[[5-chloro-2-(difluoromethoxy)phenyl]methyl]-2-phenyl-
, dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

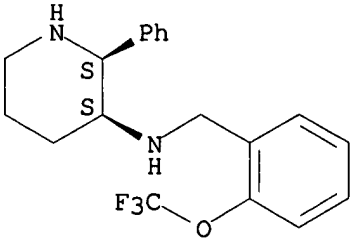
Absolute stereochemistry.



● 2 HCl

RN 145877-57-2 CAPLUS
CN 3-Piperidinamine, 2-phenyl-N-[[2-(trifluoromethoxy)phenyl]methyl]-,
dihydrochloride, (2S-cis)- (9CI) (CA INDEX NAME)

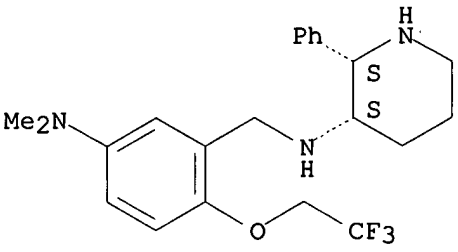
Absolute stereochemistry.



● 2 HCl

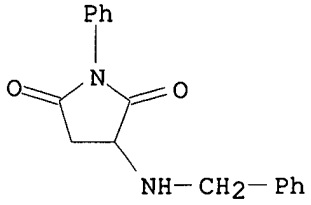
IT **145742-69-4**
RL: RCT (Reactant)
(reductive alkylation with, of phenylpiperidinamine)
RN 145742-69-4 CAPLUS
CN 3-Piperidinamine, N-[[5-(dimethylamino)-2-(2,2,2-trifluoroethoxy)phenyl]methyl]-2-phenyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D ALL HITSTR

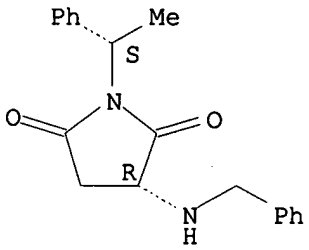
L30 ANSWER 1 OF 7 COPYRIGHT 1999 ACS
AN CA65:13818a CAOLD
TI reactions of amines and amino acids with maleimides-structure of the reaction products deduced from infrared and nuclear magnetic resonance spectroscopy
AU Sharpless, Norman E.; Flavin, M.
IT 128-53-0 598-41-4 941-69-5 1069-48-3 1192-20-7 3220-74-4
3395-35-5 4734-43-4 5063-96-7 6091-49-2 6264-87-5 7675-74-3
7685-44-1 7685-87-2 **7685-88-3** 7685-91-8 7685-94-1
7685-96-3 7685-97-4 7686-01-3 7686-10-4 7686-11-5 7772-63-6
10123-54-3 13155-46-9 13242-43-8 13288-95-4 13288-96-5 28452-93-9
90080-21-0 93331-56-7
IT **7685-88-3**
RN 7685-88-3 CAOLD
CN 2,5-Pyrrolidinedione, 1-phenyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



=> D ALL HITSTR 2

L30 ANSWER 2 OF 7 COPYRIGHT 1999 ACS
AN CA65:3955c CAOLD
TI stability of the 3,5,3'-triiodotyrosine
AU Behrens, Harold; Garcia, V.; Iturra, R.
TI asym. synthesis of N-benzyl-D-aspartic acid
AU Liwschitz, Yecheskel; Singerman, A.
IT 3775-69-7 6367-27-7 6367-28-8 **6367-31-3** 6367-42-6
6367-43-7 6416-92-8 62561-81-3 91199-26-7
IT **6367-31-3**
RN 6367-31-3 CAOLD
CN Succinimide, 2-(benzylamino)-N-(.alpha.-methylbenzyl)-, monohydrochloride (8CI) (CA INDEX NAME)

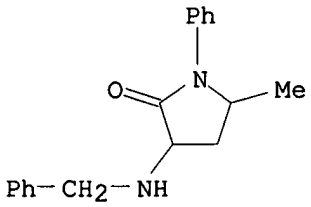
Absolute stereochemistry.



● HCl

=> D ALL HITSTR 3

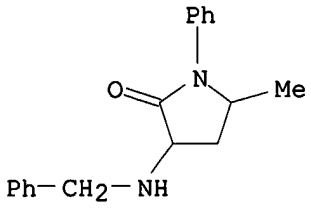
L30 ANSWER 3 OF 7 COPYRIGHT 1999 ACS
AN CA64:17521a CAOLD
TI cyclopenta[b]pyrroles
AU Ermili, Aldo; Bartolotta, G.
IT 716-38-1 728-53-0 774-21-0 778-93-8 787-32-6 1102-75-6
1904-00-3 1904-02-5 1980-49-0 1981-32-4 3026-58-2 3026-59-3
4871-82-3 5301-29-1 5301-31-5 5301-36-0 5378-68-7 5378-69-8
6080-14-4 6081-77-2 6082-00-4 6103-42-0 6103-43-1 6103-44-2
6103-45-3 6103-46-4 6103-47-5 6103-48-6 6103-50-0 6103-52-2
6103-54-4 6103-55-5 6103-59-9 6103-60-2 6103-61-3 6103-64-6
6103-66-8 6103-87-3 6103-88-4 6103-89-5 6103-92-0 6103-93-1
6103-94-2 6122-46-9 6122-48-1 6122-51-6 6127-54-4 6127-55-5
6127-56-6 6127-57-7 6127-58-8 6127-59-9 6127-60-2 6127-61-3
6127-62-4 6127-63-5 6127-64-6 6202-60-4 6212-97-1 6212-98-2
16184-51-3 18167-54-9 18167-56-1 91557-14-1 **94067-46-6**
94308-92-6 94679-37-5 **95561-56-1** 95592-14-6 95803-19-3
96635-13-1 97020-29-6 100211-36-7 103535-68-8 106506-32-5 106742-73-8
IT **94067-46-6** **95561-56-1**
RN 94067-46-6 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl- (7CI) (CA INDEX NAME)



RN 95561-56-1 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, picrate (7CI) (CA INDEX NAME)

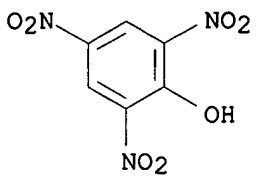
CM 1

CRN 94067-46-6
CMF C18 H20 N2 O



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



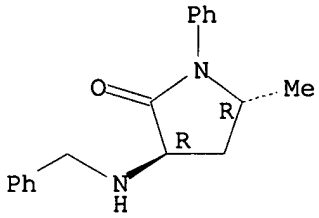
=> D ALL HITSTR 4

L30 ANSWER 4 OF 7 COPYRIGHT 1999 ACS
AN CA64:17520h CAOLD
TI synthesis and antiinflammatory activity of a series 1-aryl-2-pyrrolidinone derivs.
AU Okumura, Kentaro; Inoue, I.; Ikezaki, M.; Hayashi, G.; Nurimoto, S.; Shintomi, K.
IT 4915-39-3 4915-41-7 5145-08-4 5145-09-5 5301-32-6 5301-33-7
5565-09-3 5565-10-6 6103-51-1 6103-56-6 6103-58-8 6103-62-4
6103-70-4 6103-76-0 **6103-77-1** 6103-78-2 6103-79-3
6103-80-6 6103-81-7 6103-83-9 **6103-98-6** 6103-99-7
6104-00-3 6104-01-4 6104-02-5 6225-24-7 6225-25-8 6229-93-2
6229-94-3 **6229-95-4** 6472-88-4
IT **6103-77-1** **6103-98-6** **6229-95-4**
RN 6103-77-1 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, picrate, trans- (8CI)
(CA INDEX NAME)

CM 1

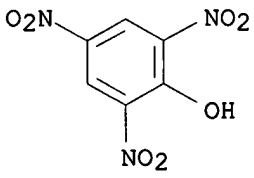
CRN 6103-98-6
CMF C18 H20 N2 O
CDES 2:TRANS

Relative stereochemistry.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

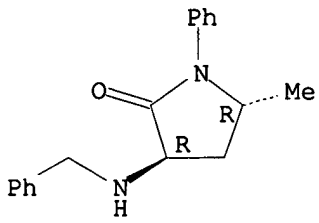


RN 6103-98-6 CAOLD

Searched by John Dantzman 308-4488

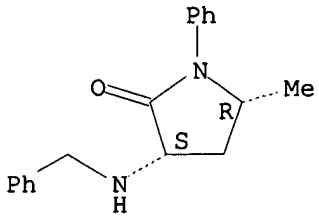
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, trans- (8CI) (CA INDEX NAME)

Relative stereochemistry.



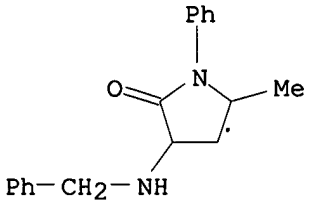
RN 6229-95-4 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, cis- (8CI) (CA INDEX NAME)

Relative stereochemistry.



=> D ALL HITSTR 5

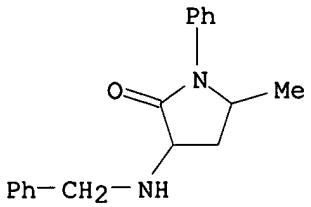
L30 ANSWER 5 OF 7 COPYRIGHT 1999 ACS
AN CA61:13264a CAOLD
TI synthesis studies on 2-pyrrolidinone derivs. - (I) synthesis of 1-phenyl-3-dialkylamino-2-pyrrolidinones and its 5-methyl derivs.
AU Okumura, Kentaro; Inoue, I.
TI reactions of organolithium compds. - (I) synthetic route to thiophenecarboxaldehydes and acylthiophenes, (II) synthesis of fluorinated heterocyclics with perchloryl fluoride
AU Taft, David D.
IT 1899-23-6 1904-00-3 1904-02-5 1980-49-0 1981-32-4 3419-36-1
4871-82-3 5536-65-2 5537-24-6 6081-77-2 6103-42-0 6103-43-1
6122-46-9 6122-51-6 81413-27-6 91557-14-1 92032-61-6 92040-69-2
92108-40-2 92297-29-5 93436-17-0 93872-05-0 94031-95-5
94067-46-6 94308-92-6 94308-93-7 94308-94-8 94679-37-5
95561-56-1 95592-14-6 95803-19-3 96635-13-1 97020-29-6
97079-43-1 **98980-19-9** 100211-36-7 103535-68-8 106506-32-5
106742-73-8
IT **94067-46-6 95561-56-1 98980-19-9**
RN 94067-46-6 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl- (7CI) (CA INDEX NAME)



RN 95561-56-1 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, picrate (7CI) (CA INDEX NAME)

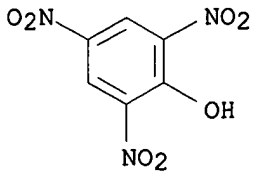
CM 1

CRN 94067-46-6
CMF C18 H20 N2 O

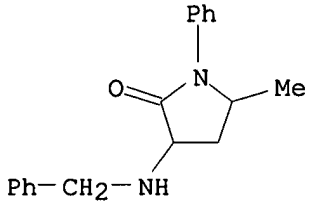


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



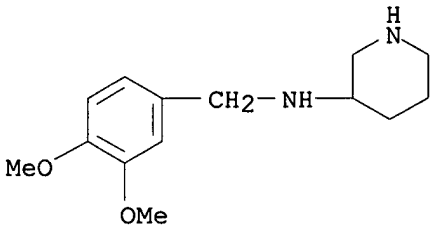
RN 98980-19-9 CAOLD
CN 2-Pyrrolidinone, 3-(benzylamino)-5-methyl-1-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

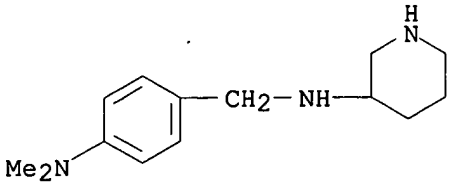
=> D ALL HITSTR 6

L30 ANSWER 6 OF 7 COPYRIGHT 1999 ACS
AN CA55:27301i CAOLD
TI application of Na borohydride redn. to synthesis of substituted aminopiperidines, aminopiperazines, aminopyridines, and hydrazines
AU Walker, Gordon N.; Moore, M. A.; Weaver, B. N.
IT 1209-04-7 4914-18-5 5713-73-5 5713-75-7 14045-17-1 16883-70-8
21852-32-4 22772-77-6 41838-46-4 51527-83-4 56851-22-0 57645-64-4
61893-82-1 78384-41-5 80038-54-6 80038-56-8 89850-72-6 93314-30-8
94678-06-5 96577-47-8 99002-88-7 99813-36-2 99813-37-3 100051-96-5
100087-66-9 100300-28-5 100323-74-8 100708-07-4 100861-94-7 100967-91-7
101087-16-5 102541-61-7 103907-65-9 104440-32-6 105143-59-7 105640-33-3
106381-47-9 **106476-53-3** **106595-70-4** 106595-79-3
106842-32-4 107155-58-8 107155-59-9 108719-17-1 108722-47-0 108953-13-5
108953-63-5 108983-80-8 109090-91-7 109092-28-6 109127-82-4 109127-83-5
109311-78-6 109688-75-7 109841-70-5 110358-91-3 111527-80-1 111562-52-8
111936-51-7 112551-76-5 112551-77-6 112971-62-7 114305-68-9 114930-45-9
114960-03-1 115097-91-1 118835-23-7 119658-51-4 120088-53-1 124117-28-8
124142-28-5 131240-27-2 **132467-51-7** 132467-52-8
IT **106476-53-3** **106595-70-4** **132467-51-7**
RN 106476-53-3 CAOLD
CN Piperidine, 3-veratrylamino-, dihydrochloride (6CI) (CA INDEX NAME)



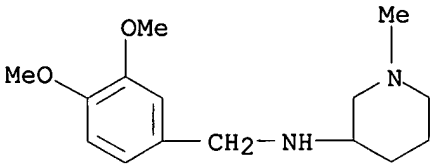
● 2 HCl

RN 106595-70-4 CAOLD
CN Piperidine, 3-[(p-dimethylaminobenzyl)amino]-, trihydrochloride (6CI) (CA
INDEX NAME)



● 3 HCl

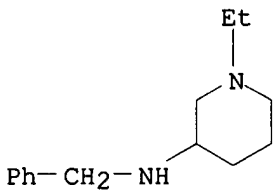
RN 132467-51-7 CAOLD
CN Piperidine, 1-methyl-3-veratrylamino-, dihydrochloride (6CI) (CA INDEX NAME)



● 2 HCl

=> D ALL HITSTR 7

L30 ANSWER 7 OF 7 COPYRIGHT 1999 ACS
AN CA52:1279e CAOLD
TI piperidine derivs.
PA Societe des usines chimiques Rhone-Poulenc
DT Patent
TI piperidine derivs.
AU Tchelitcheff, Serge
DT Patent
IT 6789-94-2 98952-16-0 98952-17-1 99990-81-5 100536-42-3 100799-46-0
100861-52-7 100962-31-0 101260-48-4 101440-25-9 101589-71-3
101602-57-7 102155-43-1 102470-43-9 **103756-25-8** 105903-65-9
110244-78-5 110375-75-2 111383-90-5
IT **100861-52-7 103756-25-8**
RN 100861-52-7 CAOLD
CN Piperidine, 3-benzylamino-1-ethyl- (6CI) (CA INDEX NAME)



RN 103756-25-8 CAOLD
CN Piperidine, 3-[[p-(diethylaminomethyl)benzyl]amino]-1-ethyl- (6CI) (CA INDEX NAME)